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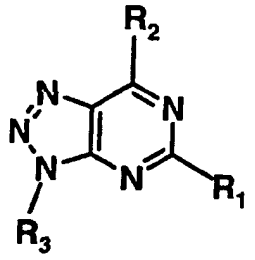
(54) Title: TRIAZOLO[4,5-d] PYRIMIDINE DERIVATIVES AND THEIR USE AS PURINERGIC RECEPTOR ANTAGONISTS



(I)

(57) Abstract: The use of a compound of formula (I): wherein R_1 is selected from H, alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$,$NR_4CO_2R_7$ and $NR_4SO_2R_7$; R_2 is selected from aryl attached via an unsaturated carbon; R_3 is selected from H, alkyl, COR_5, CO_2R_7, $CONR_5R_6$, $CONR_4NR_5R_6$ and SO_2R_7; R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an NR_5R_6 group, R_5 and R_6 may be linked to form a heterocyclic group, or where R_4,R_5 and R_6 are in a ($CONR_4NR_5R_6$) group, R_4 and R_5 may be linked to form a heterocyclic group; and R_7 is selected from alkyl and aryl, or a pharmaceutically acceptable salt thereof or prodrug thereof, in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A_{2A} receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or said disorder is depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection in a subject; compounds of formula (I) for use in therapy; and novel compounds of formula (I) per se.

WO 02/055083 A1

TRIAZOLO[4,5-d]PYRIMIDINE DERIVATIVES AND THEIR USE AS PURINERGIC RECEPTOR ANTAGONISTS

The present invention relates to triazolo[4,5-d]pyrimidine derivatives and their use in therapy. In particular, the present invention relates to the treatment of disorders in which the reduction of purinergic neurotransmission could be beneficial. The invention relates in particular to the blockade of adenosine receptors and particularly adenosine A_{2A} receptors, and to the treatment of movement disorders such as Parkinson's disease.

Movement disorders constitute a serious health problem, especially amongst the elderly sector of the population. These movement disorders are often the result of brain lesions. Disorders involving the basal ganglia which result in movement disorders include Parkinson's disease, Huntington's chorea and Wilson's disease. Furthermore, dyskinesias often arise as sequelae of cerebral ischaemia and other neurological disorders.

There are four classic symptoms of Parkinson's disease: tremor, rigidity, akinesia and postural changes. The disease is also commonly associated with depression, dementia and overall cognitive decline. Parkinson's disease has a prevalence of 1 per 1,000 of the total population. The incidence increases to 1 per 100 for those aged over 60 years. Degeneration of dopaminergic neurones in the substantia nigra and the subsequent reductions in interstitial concentrations of dopamine in the striatum are critical to the development of Parkinson's disease. Some 80% of cells from the substantia nigra need to be destroyed before the clinical symptoms of Parkinson's disease are manifested.

Current strategies for the treatment of Parkinson's disease are based on transmitter replacement therapy (L-dihydroxyphenylacetic acid (L-DOPA)), inhibition of monoamine oxidase (e.g. Deprenyl®), dopamine receptor agonists (e.g. bromocriptine and apomorphine) and anticholinergics (e.g. benztrophine, orphenadrine). Transmitter replacement therapy in particular does not provide consistent clinical benefit, especially after prolonged treatment when "on-off" symptoms develop, and this treatment has also been associated with involuntary movements of athetosis and

chorea, nausea and vomiting. Additionally current therapies do not treat the underlying neurodegenerative disorder resulting in a continuing cognitive decline in patients. Despite new drug approvals, there is still a medical need in terms of improved therapies for movement disorders, especially Parkinson's disease. In particular, effective treatments requiring less frequent dosing, effective treatments which are associated with less severe side-effects, and effective treatments which control or reverse the underlying neurodegenerative disorder, are required.

Blockade of A_2 adenosine receptors has recently been implicated in the treatment of movement disorders such as Parkinson's disease (Richardson, P.J. *et al., Trends Pharmacol. Sci.* 1997, 18, 338-344) and in the treatment of cerebral ischaemia (Gao, Y. and Phillis, J.W., *Life Sci.* 1994, 55, 61-65). The potential utility of adenosine A_{2A} receptor antagonists in the treatment of movement disorders such as Parkinson's Disease has recently been reviewed (Mally, J. and Stone, T.W., *CNS Drugs*, 1998, 10, 311-320).

Adenosine is a naturally occurring purine nucleoside which has a wide variety of well-documented regulatory functions and physiological effects. The central nervous system (CNS) effects of this endogenous nucleoside have attracted particular attention in drug discovery, owing to the therapeutic potential of purinergic agents in CNS disorders (Jacobson, K.A. *et al., J. Med. Chem.* 1992, 35, 407-422). This therapeutic potential has resulted in considerable recent research endeavour within the field of adenosine receptor agonists and antagonists (Bhagwhat, S.S.; Williams, M. *Exp. Opin. Ther. Patents* 1995, 5,547-558).

Adenosine receptors represent a subclass (P_1) of the group of purine nucleotide and nucleoside receptors known as purinoreceptors. The main pharmacologically distinct adenosine receptor subtypes are known as A_1, A_{2A}, A_{2B} (of high and low affinity) and A_3 (Fredholm, B.B., *et al., Pharmacol. Rev.* 1994, 46, 143-156). The adenosine receptors are present in the CNS (Fredholm, B.B., *News Physiol. Sci.*, 1995, 10, 122-128).

The design of P_1 receptor-mediated agents has been reviewed (Jacobson, K.A., Suzuki, F., *Drug Dev. Res.*, 1997, 39, 289-300; Baraldi, P.G. *et al., Curr. Med. Chem.*

1995, 2, 707-722), and such compounds are claimed to be useful in the treatment of cerebral ischemia or neurodegenerative disorders, such as Parkinson's disease (Williams, M. and Burnstock, G. *Purinergic Approaches Exp. Ther.* (1997), 3-26. Editor: Jacobson, Kenneth A.; Jarvis, Michael F. Publisher: Wiley-Liss, New York, N.Y.)

It has been speculated that xanthine derivatives such as caffeine may offer a form of treatment for attention-deficit hyperactivity disorder (ADHD). A number of studies have demonstrated a beneficial effect of caffeine on controlling the symptoms of ADHD (Garfinkel, B.D. *et al., Psychiatry*, 1981, **26**, 395-401). Antagonism of adenosine receptors is thought to account for the majority of the behavioural effects of caffeine in humans and thus blockade of adenosine A_{2A} receptors may account for the observed effects of caffeine in ADHD patients. Therefore a selective A_{2A} receptor antagonist may provide an effective treatment for ADHD but without the unwanted side-effects associated with current therapy.

Adenosine receptors have been recognised to play an important role in regulation of sleep patterns, and indeed adenosine antagonists such as caffeine exert potent stimulant effects and can be used to prolong wakefulness (Porkka-Heiskanen, T. *et al., Science,* 1997, **276**, 1265-1268). Recent evidence suggests that a substantial part of the actions of adenosine in regulating sleep is mediated through the adenosine A_{2A} receptor (Satoh, S., *et al., Proc. Natl. Acad. Sci.,* USA, 1996). Thus, a selective A_{2A} receptor antagonist may be of benefit in counteracting excessive sleepiness in sleep disorders such as hypersomnia or narcolepsy.

It has recently been observed that patients with major depression demonstrate a blunted response to adenosine agonist-induced stimulation in platelets, suggesting that a dysregulation of A_{2A} receptor function may occur during depression (Berk, M. et al, 2001, *Eur. Neuropsychopharmacol.* 11, 183-186). Experimental evidence in animal models has shown that blockade of A_{2A} receptor function confers antidepressant activity (El Yacoubi, M et al. *Br. J. Pharmacol.* 2001, 134, 68-77). Thus, A_{2A} receptor antagonists may offer a novel therapy for the treatment of major depression and other affective disorders in patients.

The pharmacology of adenosine A_{2A} receptors has been reviewed (Ongini, E.; Fredholm, B.B. *Trends Pharmacol. Sci.* 1996, 17(10), 364-372). One potential underlying mechanism in the aforementioned treatment of movement disorders by the blockade of A_2 adenosine receptors is the evidence of a functional link between adenosine A_{2A} receptors to dopamine D_2 receptors in the CNS. Some of the early studies (e.g. Ferre, S. *et al.*, Stimulation of high-affinity adenosine A_2 receptors decreases the affinity of dopamine D_2 receptors in rat striatal membranes. *Proc. Natl. Acad. Sci.* U.S.A. 1991, 88, 7238-41) have been summarised in two more recent articles (Fuxe, K. *et al., Adenosine Adenine Nucleotides Mol. Biol. Integr. Physiol.*, [Proc. Int. Symp.], 5th (1995), 499-507. Editors: Belardinelli, Luiz; Pelleg, Amir. Publisher: Kluwer, Boston, Mass.; Ferre, S. *et al., Trends Neurosci.* 1997, 20, 482-487).

As a result of these investigations into the functional role of adenosine A_{2A} receptors in the CNS, especially *in vivo* studies linking A_2 receptors with catalepsy (Ferre *et al., Neurosci. Lett.* 1991, 130, 162-4; Mandhane, S.N. *et al., Eur. J. Pharmacol.* 1997, 328, 135-141) investigations have been made into agents which selectively bind to adenosine A_{2A} receptors as potentially effective treatments for Parkinson's disease.

While many of the potential drugs for treatment of Parkinson's disease have shown benefit in the treatment of movement disorders, an advantage of adenosine A_{2A} antagonist therapy is that the underlying neurodegenerative disorder may also be treated. The neuroprotective effect of adenosine A_{2A} antagonists has been reviewed (Ongini, E.; Adami, M.; Ferri, C.; Bertorelli, R., *Ann. N. Y. Acad. Sci.* 1997, 825(Neuroprotective Agents), 30-48). In particular, compelling recent evidence suggests that blockade of A_{2A} receptor function confers neuroprotection against MPTP-induced neurotoxicity in mice (Chen, J-F., *J. Neurosci.* 2001, 21, RC143). In addition, several recent studies have shown that consumption of dietary caffeine, a known adenosine A_{2A} receptor antagonist, is associated with a reduced risk of Parkinson's disease in man (Ascherio, A. et al, *Ann Neurol.*, 2001, 50, 56-63; Ross G W, et al., *JAMA*, 2000, 283, 2674-9). Thus, A_{2A} receptor antagonists may offer a novel treatment for conferring neuroprotection in neurodegenerative diseases such as Parkinson's disease.

Xanthine derivatives have been disclosed as adenosine A_2 receptor antagonists as useful for treating various diseases caused by hyperfunctioning of adenosine A_2 receptors, such as Parkinson's disease (see, for example, EP-A-565377).

One prominent xanthine-derived adenosine A_{2A} selective antagonist is CSC [8-(3-chlorostyryl)caffeine] (Jacobson *et al.*, *FEBS Lett.*, 1993, 323, 141-144).

Theophylline (1,3-dimethylxanthine), a bronchodilator drug which is a mixed antagonist at adenosine A_1 and A_{2A} receptors, has been studied clinically. To determine whether a formulation of this adenosine receptor antagonist would be of value in Parkinson's disease an open trial was conducted on 15 Parkinsonian patients, treated for up to 12 weeks with a slow release oral theophylline preparation (150 mg/day), yielding serum theophylline levels of 4.44 mg/L after one week. The patients exhibited significant improvements in mean objective disability scores and 11 reported moderate or marked subjective improvement (Mally, J., Stone, T.W. *J. Pharm. Pharmacol.* 1994, 46, 515-517).

KF 17837 [(E)-8-(3,4-dimethoxystyryl)-1,3-dipropyl-7-methylxanthine] is a selective adenosine A_{2A} receptor antagonist which on oral administration significantly ameliorated the cataleptic responses induced by intracerebroventricular administration of an adenosine A_{2A} receptor agonist, CGS 21680. KF 17837 also reduced the catalepsy induced by haloperidol and reserpine. Moreover, KF 17837 potentiated the anticataleptic effects of a subthreshold dose of L-DOPA plus benserazide, suggesting that KF 17837 is a centrally active adenosine A_{2A} receptor antagonist and that the dopaminergic function of the nigrostriatal pathway is potentiated by adenosine A_{2A} receptor antagonists (Kanda, T. *et al.*, *Eur. J. Pharmacol.* 1994, 256, 263-268). The structure activity relationship (SAR) of KF 17837 has been published (Shimada, J. *et al.*, *Bioorg. Med. Chem. Lett.* 1997, 7, 2349-2352). Recent data has also been provided on the A_{2A} receptor antagonist KW-6002 (Kuwana, Y *et al.*, *Soc. Neurosci. Abstr.* 1997, 23, 119.14; and Kanda, T. *et al.*, *Ann. Neurol.* 1998, 43(4), 507-513).

New non-xanthine structures sharing these pharmacological properties include SCH 58261 and its derivatives (Baraldi, P.G. *et al.*, Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine Derivatives: Potent and Selective A_{2A} Adenosine Antagonists. *J. Med.*

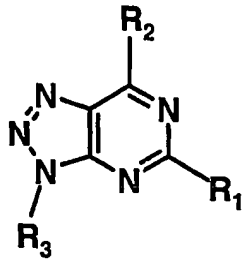
Chem. 1996, 39, 1164-71). SCH 58261 (7-(2-phenylethyl)-5-amino-2-(2-furyl)-pyrazolo-[4,3-e]-1,2,4-triazolo[1,5-c] pyrimidine) is reported as effective in the treatment of movement disorders (Ongini, E. *Drug Dev. Res.* 1997, 42(2), 63-70) and has been followed up by a later series of compounds (Baraldi, P.G. *et al.*, *J. Med. Chem.* 1998, 41(12), 2126-2133).

The foregoing discussion indicates that a potentially effective treatment for movement disorders in humans would comprise agents which act as antagonists at adenosine A_{2A} receptors.

It has now been found that triazolo[4,5-d]pyrimidine derivatives, which are structurally unrelated to known adenosine receptor antagonists, exhibit unexpected antagonist binding affinity at adenosine (P_1) receptors, and in particular at the adenosine A_{2A} receptor. Such compounds may therefore be useful for the treatment of disorders in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial. In particular such compounds may be suitable for the treatment of movement disorders, such as disorders of the basal ganglia which result in dyskinesias. Disorders of of particular interest include Parkinson's disease, Alzheimer's disease, spasticity, Huntington's chorea and Wilson's disease.

Such compounds may also be particularly suitable for the treatment of depression, cognitive or memory impairment including Alzheimer's disease, acute or chronic pain, ADHD and narcolepsy, or for neuroprotection.

According to the present invention there is provided the use of a compound of formula (I):



(I)

wherein

R_1 is selected from H, alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$;

R_2 is selected from aryl attached via an unsaturated carbon;

R_3 is selected from H, alkyl, COR_5, CO_2R_7, $CONR_5R_6$, $CONR_4NR_5R_6$ and SO_2R_7;

R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an NR_5R_6 group, R_5 and R_6 may be linked to form a heterocyclic group, or where R_4, R_5 and R_6 are in a ($CONR_4NR_5R_6$) group, R_4 and R_5 may be linked to form a heterocyclic group; and

R_7 is selected from alkyl and aryl,

or a pharmaceutically acceptable salt thereof or prodrug thereof, in the manufacture of a medicament for the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A_{2A} receptors, may be beneficial.

As used herein, the term "alkyl" means a branched or unbranched, cyclic or acyclic, saturated or unsaturated (e.g. alkenyl or alkynyl) hydrocarbyl radical which may be substituted or unsubstituted. Where cyclic, the alkyl group is preferably C_3 to C_{12}, more preferably C_5 to C_{10}, more preferably C_5, C_6 or C_7. Where acyclic, the alkyl group is preferably C_1 to C_{10}, more preferably C_1 to C_6, more preferably methyl, ethyl, propyl (n-propyl or isopropyl), butyl (n-butyl, isobutyl or tertiary-butyl) or pentyl (including n-pentyl and iso-pentyl), more preferably methyl. It will be appreciated therefore that the term "alkyl" as used herein includes alkyl (branched or unbranched), alkenyl (branched or unbranched), alkynyl (branched or unbranched), cycloalkyl, cycloalkenyl and cycloalkynyl.

As used herein, the term "lower alkyl" means methyl, ethyl, propyl (n-propyl or isopropyl) or butyl (n-butyl, isobutyl or tertiary-butyl).

As used herein, the term "aryl" means an aromatic group, such as phenyl or naphthyl, or a heteroaromatic group containing one or more heteroatom(s), such as pyridyl, pyrrolyl, quinolinyl, furanyl, thienyl, oxadiazolyl, thiadiazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, imidazolyl, pyrimidinyl, indolyl, pyrazinyl and indazolyl.

As used herein, the term "heteroaryl" means an aromatic group containing one or more heteroatom(s) preferably selected from N, O and S, such as pyridyl, pyrrolyl, quinolinyl, furanyl, thienyl, oxadiazolyl, thiadiazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, imidazolyl, pyrimidinyl, indolyl, pyrazinyl and indazolyl.

As used herein, the term "non-aromatic heterocyclyl" means a non-aromatic cyclic group containing one or more heteroatom(s) preferably selected from N, O and S, such as a cyclic amino group (including aziridinyl, azetidinyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl) or a cyclic ether (including tetrahydrofuranyl).

As used herein, the term "alkoxy" means alkyl-O-. As used herein, the term "aryloxy" means aryl-O-.

As used herein, the term "halogen" means a fluorine, chlorine, bromine or iodine radical.

As used herein, the term "prodrug" means any pharmaceutically acceptable prodrug of a compound of the present invention.

Where any of R_1 to R_{14} is selected from alkyl, alkoxy and alkylthio, particularly from alkyl and alkoxy, in accordance with formula (I) as defined above, then that alkyl group, or the alkyl group of the alkoxy or alkylthio group, may be substituted or unsubstituted. Where any of R_1 to $R_{14}$is selected from aryl, aryloxy and arylthio, particularly from aryl and aryloxy, in accordance with formula (I) as defined above, then said aryl group, or the aryl group of the aryloxy group, may be substituted or

unsubstituted. Where R_5 and R_6, or R_4 and R_5, are linked to form a heterocyclic group, the heterocyclic group may be substituted or unsubstituted. Where substituted, there will generally be 1 to 3 substituents present, preferably 1 substituent. Substituents may include:

carbon-containing groups such as

alkyl,

aryl, (e.g. substituted and unsubstituted phenyl (including alkylphenyl, alkoxyphenyl and halophenyl),

arylalkyl; (e.g. substituted and unsubstituted benzyl);

halogen atoms and halogen containing groups such as

haloalkyl (e.g. trifluoromethyl),

haloaryl (e.g. chlorophenyl);

oxygen containing groups such as

alcohols (e.g. hydroxy, hydroxyalkyl, hydroxyaryl, (aryl)(hydroxy)alkyl),

ethers (e.g. alkoxy, aryloxy, alkoxyalkyl, aryloxyalkyl, alkoxyaryl, aryloxyaryl),

aldehydes (e.g. carboxaldehyde),

ketones (e.g. alkylcarbonyl, arylcarbonyl, alkylcarbonylalkyl, alkylcarbonylaryl, arylcarbonylalkyl, arylcarbonylaryl, arylalkylcarbonyl, arylalkylcarbonylalkyl, arylalkylcarbonylaryl)

acids (e.g. carboxy, carboxyalkyl, carboxyaryl),

acid derivatives such as esters

(e.g. alkoxycarbonyl, aryloxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonylalkyl, alkoxycarbonylaryl, aryloxycarbonylaryl, alkylcarbonyloxy, alkylcarbonyloxyalkyl),

amides

(e.g. aminocarbonyl, mono- or di-alkylaminocarbonyl, cyclicaminocarbonyl, aminocarbonylalkyl, mono- or di-

alkylaminocarbonylalkyl, arylaminocarbonyl or arylalkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino or arylalkylcarbonylamino), carbamates (eg. alkoxycarbonylamino, aryloxycarbonylamino, arylalkyloxycarbonylamino, aminocarbonyloxy, mono- or di-alkylaminocarbonyloxy, arylaminocarbonyloxy or arylalkylaminocarbonyloxy) and ureas (eg. mono- or di-alkylaminocarbonylamino, arylaminocarbonylamino or arylalkylaminocarbonylamino);

nitrogen containing groups such as

amines (e.g. amino, mono- or dialkylamino, cyclicamino, arylamino, aminoalkyl, mono- or dialkylaminoalkyl),

azides,

nitriles (e.g. cyano, cyanoalkyl),

nitro,

sulfonamides (e.g. aminosulfonyl, mono- or di-alkylamino sulfonyl, mono- or di-arylaminosulfonyl, alkyl- or aryl-sulfonyl amino, alkyl- or aryl-sulfonyl(alkyl)amino, alkyl- or aryl-sulfonyl(aryl)amino);

sulfur containing groups such as

thiols, thioethers, sulfoxides, and sulfones (e.g. alkylthio, alkylsulfinyl, alkylsulfonyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, arylthio, arylsulfinyl, arylsulfonyl, arylthioalkyl, arylsulfinylalkyl, arylsulfonylalkyl)

and heterocyclic groups containing one or more, preferably one, heteroatom,

(e.g. thienyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, oxadiazolyl, thiadiazolyl, aziridinyl, azetidinyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, tetrahydrofuranyl, pyranyl, pyronyl, pyridyl, pyrazinyl, pyridazinyl, piperidyl, hexahydroazepinyl, piperazinyl, morpholinyl, thianaphthyl, benzofuranyl, isobenzofuranyl, indolyl, oxyindolyl, isoindolyl, indazolyl, indolinyl, 7-azaindolyl, benzopyranyl, coumarinyl, isocoumarinyl, quinolinyl, isoquinolinyl, naphthridinyl, cinnolinyl, quinazolinyl, pyridopyridyl, benzoxazinyl, quinoxalinyl, chromenyl, chromanyl, isochromanyl, phthalazinyl and carbolinyl).

Where any of R_1 to R_{14} is selected from aryl or from an aryl-containing group such as aryloxy or arylthio, preferred substituent group(s) are selected from halogen, alkyl (substituted or unsubstituted; and where substituted particularly from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl), hydroxy, alkoxy, CN, NO_2, amines (including amino, mono- and di-alkylamino), alkoxycarbonyl, aminocarbonyl, carboxamido, sulfonamido, alkoxycarbonylamino and aryl, and particularly from unsubstituted alkyl, substituted alkyl (including alkoxyalkyl and aminoalkyl), halogen and amines.

In one embodiment, where any of R_1 to R_{14} is directly substituted by an alkyl substituent group, or by an alkyl-containing substituent group (such as alkoxy or alkylcarbonylamino for example), then the alkyl moiety of the substituent group directly attached to any of R_1 to R_{14} may be further substituted by the substituent groups hereinbefore described and particularly by halogen, hydroxy, alkoxy, CN, amines (including amino, mono- and di-alkyl amino) and aryl.

In a further embodiment, where any of R_1 to R_{14} is directly substituted by an aryl substitutent group, or by an aryl-containing substituent group (such as aryloxy or

arylaminocarbonylamino for example), then the aryl moiety of the substituent group directly attached to any of R_1 to R_{14} may be further substituted by the substituent groups hereinbefore described and particularly by halogen, alkyl (substituted or unsubstituted; and where substituted particularly from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl), hydroxy, alkoxy, CN, NO_2, amines (including amino, mono- and di-alkylamino), alkoxycarbonyl, aminocarbonyl, carboxamido, sulfonamido, alkoxycarbonylamino and aryl. In a further embodiment, said aryl moiety is substituted by halogen, alkyl (including CF_3), hydroxy, alkoxy, CN, amines (including amino, mono- and di-alkyl amino) and NO_2. In a further embodiment, said aryl moiety is substituted by unsubstituted alkyl, substituted alkyl (particularly alkoxyalkyl and aminoalkyl), halogen and amines.

The terms "directly substituted" and "directly attached", as used herein, mean that the substituent group is bound directly to any of R_1 to R_{14} without any intervening divalent atoms or groups.

In the compounds of formula (I), R_1 is selected from H, alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, and cyclic and acyclic alkyl), aryl (including heteroaryl), alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6 (including NH_2), NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

In one embodiment, the compounds of formula (I) are those wherein R_1 is selected from alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, and cyclic and acyclic alkyl), aryl (including heteroaryl), alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6 (including NH_2), NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

Preferably, R_1 is selected from alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, and cyclic and acyclic alkyl), alkoxy, alkylthio, NR_5R_6 (including NH_2), NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$, more preferably from NR_5R_6 (including NH_2), NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$, more preferably from NR_5R_6 (including NH_2) and NR_4COR_5, more preferably from NR_5R_6 (including NH_2) and most preferably from NH_2.

Where R_1 is selected from NR_5R_6, in one embodiment R_5 and R_6 are independently selected from hydrogen, alkyl and aryl, preferably from hydrogen.

Where R_1 is selected from NR_4COR_5, in one embodiment R_4 is hydrogen.

Where R_1 is selected from alkyl, R_1 is preferably C_{1-6} alkyl, more preferably C_{1-6} saturated alkyl, and more preferably lower alkyl. In one embodiment, R_1 is selected from substituted alkyl, particularly haloalkyl (including CF_3) and arylalkyl (including heteroarylalkyl), and particularly haloalkyl (including CF_3).

Preferably, R_2 is a heteroaryl group, and preferably a heteroaryl group which is attached to the pyrimidine ring of formula (I) such that at least one heteroatom is adjacent to the unsaturated carbon atom attached to said pyrimidine ring. Preferably, R_2 is an N, O or S-containing heteroaryl group. R_2 may contain one or more heteroatom(s) selected from N, O and S.

In one embodiment, the aryl group of R_2 (including wherein R_2 is a heteroaryl group) is not ortho,ortho-disubstituted. Preferably, the aryl group of R_2 (including wherein R_2 is a heteroaryl group) is not substituted at either ortho position. As used herein, reference to ortho-substitution of the R_2 group means the ortho positions of the R_2 group relative to the point of attachment of R_2 to the pyrimidine moiety of formula (I).

In a preferred embodiment, R_2 is selected from furyl (including 2-furyl), thienyl (including 2-thienyl), pyridyl (including 2-pyridyl), thiazolyl (including 2- and 5-thiazolyl), pyrazolyl (including 3-pyrazolyl), triazolyl (including 4-triazolyl), pyrrolyl (including 2-pyrrolyl) and oxazolyl (including 5-oxazolyl). In a further embodiment, R_2 is selected from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl, 3-pyrazolyl, 2-pyrrolyl, 4-triazolyl and 5-oxazolyl. In a further preferred embodiment, R_2 is selected from furyl, thienyl, pyridyl, thiazolyl and pyrazolyl, and particularly from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl and 3-pyrazolyl. In a further embodiment, R_2 is selected from furyl, thienyl and pyridyl, preferably 2-furyl, 2-thienyl and 2-pyridyl. In a particularly preferred embodiment, R_2 is selected from furyl, and preferably from 2-furyl, substituted or unsubstituted.

Where R_2 is other than a heteroaryl group, R_2 is preferably phenyl.

In the compounds of formula (I), R_3 is selected from H, alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, cyclic and acyclic alkyl), COR_5, CO_2R_7, $CONR_5R_6$, $CONR_4NR_5R_6$ and SO_2R_7.

Where R_3 is selected from alkyl, R_3 is preferably acyclic alkyl, preferably acyclic C_{1-6} alkyl (including alkenyl and alkynyl), preferably acyclic C_{1-6} saturated alkyl, preferably lower alkyl. In one embodiment, R_3 is selected from substituted or unsubstituted methyl, ethyl and propyl (n-propyl or isopropyl) groups.

Where R_3 is selected from alkyl, particularly from saturated acyclic C_{1-6} alkyl, particularly from lower alkyl and particularly from methyl, ethyl and propyl, it is preferred that R_3 is substituted alkyl. Preferred substituents are aryl (including heteroaryl), cycloalkyl, non-aromatic heterocyclyl, CN, COR_5, CO_2R_5, $CONR_5R_6$, $CONR_4NR_5R_6$ and $C(=NR_4)NR_5R_6$, preferably aryl (including heteroaryl), $CONR_5R_6$, CO_2R_5 and COR_5 (preferably wherein R_5 is aryl), more preferably aryl (including heteroaryl), $CONR_5R_6$ and CO_2R_5, more preferably aryl (including heteroaryl) and $CONR_5R_6$, and most preferably aryl (including heteroaryl).

Where R_3 is selected from arylalkyl (including heteroarylalkyl), the aryl (including heteroaryl) group may be unsubstituted, or substituted as defined in detail below in respect of the group referred to as R_{11}. Preferably, the arylalkyl (including heteroarylalkyl group) is an arylmethyl (including heteroarylmethyl) group. The preferred aryl groups are set out below in detail in respect of the group referred to as Ar.

Where R_3 is selected from $CONR_5R_6$, R_5 and R_6 are selected from H, alkyl (including substituted alkyl such as arylalkyl (including heteroarylalkyl)) and aryl (including heteroaryl) or R_5 and R_6 may be linked to form a heterocyclic ring. In one embodiment, R_5 and R_6 are selected from unsubstituted alkyl and arylalkyl (including heteroarylalkyl). Said aryl groups may be substituted or unsubstituted. In a preferred embodiment one of R_5 and R_6 is hydrogen. In a further preferred embodiment, R_5 is H and R_6 is selected from arylalkyl (including heteroarylalkyl), preferably arylmethyl (including heteroarylmethyl).

In a preferred embodiment, R_3 is selected from H and substituted alkyl, preferably wherein said alkyl is substituted by aryl (including heteroaryl) or $CONR_5R_6$, and preferably by aryl (including heteroaryl), and more preferably by substituted aryl (including heteroaryl).In one embodiment, R_3 is selected from $(CR_9R_{10})_nR_8$ wherein n is 1 to 6 (preferably n is 1, 2 or 3, and preferably n is 1), R_9 and R_{10} are independently selected from H, alkyl and aryl, and R_8 is selected from aryl (including heteroaryl), cycloalkyl, non-aromatic heterocyclic, CN, COR_5, CO_2R_5, $CONR_5R_6$, $CONR_4NR_5R_6$ and $C(=NR_4)NR_5R_6$, preferably aryl (including heteroaryl), $CONR_5R_6$, CO_2R_5 and COR_5 (preferably wherein R_5 is aryl), more preferably aryl (including heteroaryl), $CONR_5R_6$ and CO_2R_5, more preferably aryl (including heteroaryl) and $CONR_5R_6$, and most preferably aryl (including heteroaryl). Preferably, R_9 and R_{10} are independently selected from H and alkyl (preferably acyclic saturated C_{1-6} alkyl, preferably lower alkyl, preferably methyl, ethyl or propyl), more preferably H. Preferably, at least one of R_9 and R_{10} is hydrogen, and preferably both R_9 and R_{10} are hydrogen.

Where R_8 is aryl (including heteroaryl), the aryl (including heteroaryl) group may be unsubstituted, or may be substituted as defined in detail below for R_{11}. The preferred aryl groups are set out below in detail in respect of the group referred to as Ar.

Where R_8 is selected from $CONR_5R_6$, R_5 and R_6 are selected from H, alkyl (including substituted alkyl such as arylalkyl (including heteroarylalkyl)) and aryl (including heteroaryl) or R_5 and R_6 may be linked to form a heterocyclic ring. In one embodiment, one or both of R_5 and R_6 are selected from unsubstituted alkyl and arylalkyl (including heteroarylalkyl). In a further embodiment, at least one of R_5 and R_6 is selected from aryl (including heteroaryl). Said aryl group may be substituted or unsubstituted. In a preferred embodiment, one of R_5 and R_6 is hydrogen.

Where R_8 is selected from COR_5, R_5 is preferably aryl (including heteroaryl).

Where R_8 is selected from CO_2R_5, R_5 is preferably alkyl or aryl.

In a further preferred embodiment, R_3 is selected from H and $(CR_9R_{10})_nR_8$, more preferably from $(CH_2)_nR_8$, preferably wherein R_8 is selected from aryl (including

heteroaryl) and $CONR_5R_6$, more preferably wherein R_8 is selected from aryl (including heteroaryl), and more preferably wherein R_8 is selected from substituted aryl (including heteroaryl).

In a further embodiment, R_3 is selected from $(CR_9R_{10})_nR_{11}$ wherein R_9, R_{10} and n are as defined above and R_{11} is selected from the group consisting of substituted aryl (including heteroaryl) groups, preferably mono-, di- or tri-substituted aryl (including heteroaryl) groups represented by the formula $Ar(R_{12})_a(R_{13})_b(R_{14})_c$ wherein Ar is an aryl (including heteroaryl) group; wherein R_{12}, R_{13} and R_{14} are substituent group(s), the same or different; and wherein a, b and c are 0 or 1 such that $a+b+c \geq 1$.

In one embodiment, the group Ar is selected from phenyl. In an alternative embodiment, the group Ar is selected from heteroaryl groups such as those described hereinabove, preferably from mono or bicyclic heteroaryl groups, more preferably from pyridyl (including 2-pyridyl, 3-pyridyl and 4-pyridyl, preferably 2-pyridyl), indolyl (including 2-indolyl, 3-indolyl, 4-indolyl, 5-indolyl, 6-indolyl and 7-indolyl), furyl (including 2-furyl and 3-furyl, preferably 2-furyl), thienyl (including 2-thienyl and 3-thienyl, preferably 2-thienyl), isoindolyl, indolinyl, isoxazolyl, oxazolyl, thiazolyl, pyrazinyl, pyrimidinyl, quinolinyl, benzoxadiazolyl, benzothiadiazolyl, benzotriazolyl, indazolyl, benzodioxolyl and dihydrobenzofuranyl, more preferably from pyridyl (preferably 2-pyridyl), indolyl, furyl (preferably 2-furyl) and thienyl (preferably 2-thienyl), and most preferably from pyridyl (preferably 2-pyridyl), furyl (preferably 2-furyl) and thienyl (preferably 2-thienyl).

In one embodiment, the group Ar is selected from phenyl, pyridyl (preferably 2-pyridyl), furyl (preferably 2-furyl), thienyl (preferably 2-thienyl) and indolyl, and particularly from phenyl, pyridyl (preferably 2-pyridyl), furyl (preferably 2-furyl) and thienyl (preferably 2-thienyl).

The substituent groups R_{12}, R_{13} and R_{14} may be selected from any of the substituent groups described herein above.

In a preferred embodiment, R_{12}, R_{13} and R_{14} are selected from NR_5R_6 (including NH_2, and NHR_5) alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic alkyl), alkoxy

(including fluoroalkoxy), halogen (including F, Cl, Br and I), NO_2, CN, hydroxy, NHOH, CHO, $CONR_5R_6$, CO_2R_5, NR_4COR_5 (preferably $NHCOR_5$), $NR_4CO_2R_7$ (preferably $NHCO_2R_7$), $NR_4SO_2R_7$ (preferably $NHSO_2R_7$), OCO_2R_7 and aryl (including heteroaryl).

In a more preferred embodiment, R_{12}, R_{13} and R_{14} are selected from NR_5R_6 (including NH_2 and NHR_5), alkyl (substituted or unsubstituted; and preferably C_{1-6} acyclic saturated alkyl) and halogen (preferably F or Cl, particularly F).

In a particularly preferred embodiment, R_{12}, R_{13} and R_{14} are selected from NR_5R_6 (including NH_2 and NHR_5, preferably NH_2) and alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic saturated alkyl).

Where R_{12}, R_{13} and R_{14} are selected from substituted alkyl, said alkyl is preferably selected from alkoxyalkyl, hydroxyalkyl, aminoalkyl (including NH_2-alkyl, mono-alkylaminoalkyl and di-alkylaminoalkyl), haloalkyl (particularly fluoroalkyl (including CF_3)), cyanoalkyl, alkylthioalkyl, alkylcarboxyaminoalkyl, alkoxycarbonylaminoalkyl and alkylsulfonylamino, more preferably from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl (particularly fluoroalkyl (including CF_3)) and most preferably from alkoxyalkyl and aminoalkyl.

In one embodiment, the substituent groups R_{12}, R_{13} and R_{14} are selected from halogen, alkyl (including CF_3), hydroxy, alkoxy, alkylthio, CN, amines (including amino, mono- and di-alkyl amino) and NO_2.

Where the Ar group is phenyl, the phenyl ring may be mono-, di- or tri-substituted, preferably wherein the substituent group is selected from NR_5R_6, alkyl, alkoxy, halogen, NO_2, CN, hydroxy, $CONR_5R_6$, CO_2R_5, NR_4COR_5, $NR_4CO_2R_7$, $NR_4SO_2R_7$ and OCO_2R_7, as described above, and more preferably from NR_5R_6 (including NH_2 and NHR_5, and preferably NH_2), alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic saturated alkyl; and, where substituted, preferably from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl (particularly fluoroalkyl (including CF_3)), and more preferably from alkoxyalkyl and aminoalkyl) and halogen (preferably F or Cl, particularly F). Where

(a+b+c) is 2 or 3, it is preferred that at least one of the substituent groups is NR_5R_6, particularly NH_2.

Where the Ar group is pyridyl, the pyridyl group (which is preferably a 2-pyridyl group) is preferably mono-substituted, preferably 6-substituted. The preferred substituent group(s) are selected from alkyl (including substituted and unsubstituted, saturated and unsaturated (such as alkenyl, including vinyl); and preferably C_{1-6} acyclic alkyl), alkoxy, halogen, aryl, NO_2, NHOH and CHO, as described above, and more preferably from alkyl (substituted or unsubstituted; preferably C_{1-6} acyclic saturated alkyl; and, where substituted, preferably from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl (particularly fluoroalkyl (including CF_3)), and more preferably from alkoxyalkyl and aminoalkyl).

In a preferred embodiment R_3 is selected from CHR_9R_{11} wherein R_9 and R_{11} are as defined above, and preferably wherein Ar is substituted pyridyl or substituted phenyl. Where Ar is substituted phenyl, preferably at least one of R_{12} and R_{13}, or at least one of R_{12}, R_{13} and R_{14}, is NR_5R_6, preferably NH_2.

In the compounds of formula (I), R_4, R_5 and R_6 are independently selected from H, alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, cyclic and acyclic alkyl) and aryl (including heteroaryl) or where R_5 and R_6 are in any NR_5R_6 group, R_5 and R_6 may be linked to form a heterocyclic ring, or where R_4, R_5 and R_6 are in a ($CONR_4NR_5R_6$) group, R_4 and R_5 may be linked to form a heterocyclic ring.

In the compounds of formula (I), R_7 is selected from alkyl (including branched and unbranched alkyl, substituted and unsubstituted alkyl, cyclic and acyclic alkyl) and aryl (including heteroaryl).

Where R_4 to R_7 are independently selected from alkyl, preferably R_4 to R_7 are selected from C_{1-6} alkyl, preferably C_{1-6} saturated alkyl and more preferably from lower alkyl.

Where R_5 and R_6, or R_4 and R_5, are linked to form a heterocyclic ring said heterocyclic ring may be saturated, partially unsaturated or aromatic, and is preferably saturated.

Said heterocyclic ring is preferably a 5, 6 or 7-membered ring, preferably a 5 or 6-membered ring, and may contain one or more further heteroatom(s) preferably selected from N, O and S.

In a preferred embodiment, R_1 is NH_2, R_2 is 2-furyl and R_3 is arylmethyl (including heteroarylmethyl).

In one embodiment of the invention, the compounds of formula (I) are selected from those set out in claim 41.

In a further embodiment of the invention, the compounds of formula (I) are selected from those set out in claim 42.

Where chiral the compounds of formula (I) may be in the form of a racemic mixture of pairs of enantiomers or in enantiomerically pure form.

According to a further aspect of the present invention there is provided a method of treating or preventing a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial, the method comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof.

The disorder may be caused by the hyperfunctioning of the purine receptors.

The disorders of particular interest are those in which the blocking of purine receptors, partiucularly adenosine receptors and more particularly adenosine A_{2A} receptors, may be beneficial. These may include movement disorders such as Parkinson's disease, drug-induced Parkinsonism, post-encephalitic Parkinsonism, Parkinsonism induced by poisoning (for example MPTP, manganese, carbon monoxide) and post-traumatic Parkinson's disease (punch-drunk syndrome).

Other movement disorders in which the blocking of purine receptors, may be of benefit include progressive supernuclear palsy, Huntingtons disease, multiple system

atrophy, corticobasal degeneration, Wilsons disease, Hallerrorden-Spatz disease, progressive pallidal atrophy, Dopa-responsive dystonia-Parkinsonism, spasticity or other disorders of the basal ganglia which result in abnormal movement or posture. The present invention may also be effective in treating Parkinson's with on-off phenomena; Parkinson's with freezing (end of dose deterioration); and Parkinson's with prominent dyskinesias.

The compounds of formula (I) may be used or administered in combination with one or more additional drugs useful in the treatment of movement disorders, such as L-DOPA or a dopamine agonist, the components being in the same formulation or in separate formulations for administration simultaneously or sequentially.

Other disorders in which the blocking of purine receptors, particularly adenosine receptors and more particularly adenosine A_{2A} receptors may be beneficial include acute and chronic pain; for example neuropathic pain, cancer pain, trigeminal neuralgia, migraine and other conditions associated with cephalic pain, primary and secondary hyperalgesia, inflammatory pain, nociceptive pain, tabes dorsalis, phantom limb pain, spinal cord injury pain, central pain, post-herpetic pain and HIV pain; affective disorders including mood disorders such as bipolar disorder, seasonal affective disorder, depression, manic depression, atypical depression and monodepressive disease; central and peripheral nervous system degenerative disorders including corticobasal degeneration, demyelinating disease (multiple sclerosis, disseminated sclerosis), Freidrich's ataxia, motoneurone disease (amyotrophic lateral sclerosis, progressive bulbar atrophy), multiple system atrophy, myelopathy, radiculopathy, peripheral neuropathy (diabetic neuropathy, tabes dorsalis, drug-induced neuropathy, vitamin deficiency), systemic lupus erythamatosis, granulomatous disease, olivo-ponto-cerebellar atrophy, progressive pallidal atrophy, progressive supranuclear palsy, spasticity; schizophrenia and related pyshoses; cognitive disorders including dementia, Alzheimers Disease, Frontotemporal dementia, multi-infarct dementia, AIDS dementia, dementia associated with Huntingtons Disease, Lewy body dementia, senile dementia, age-related memory impairment, cognitive impairment associated with dementia, Korsakoff syndrome, dementia pugilans; attention disorders such as attention-deficit hyperactivity disorder (ADHD), attention deficit disorder, minimal brain dysfunction, brain-injured child

syndrome, hyperkinetic reaction childhood, and hyperactive child syndrome; central nervous system injury including traumatic brain injury, neurosurgery (surgical trauma), neuroprotection for head injury, raised intracranial pressure, cerebral oedema, hydrocephalus, spinal cord injury; cerebral ischaemia including transient ischaemic attack, stroke (thrombotic stroke, ischaemic stroke, embolic stroke, haemorrhagic stroke, lacunar stroke) subarachnoid haemorrhage, cerebral vasospasm, neuroprotection for stroke, peri-natal asphyxia, drowning, cardiac arrest, subdural haematoma; myocardial ischaemia; muscle ischaemia; sleep disorders such as hypersomnia and narcolepsy; eye disorders such as retinal ischaemia-reperfusion injury and diabetic neuropathy; cardiovascular disorders such as claudication and hypotension; and diabetes and its complications.

According to a further aspect of the present invention there is provided use of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for the treatment or prevention of movement disorders in a subject.

According to a further aspect of the invention there is provided a method of treating or preventing movement disorders comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) invention or a pharmaceutically acceptable salt or prodrug thereof.

According to a further aspect of the invention there is provided use of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof in the manufacture of a medicament for neuroprotection in a subject.

According to a further aspect of the invention there is provided a method of neuroprotection comprising administration to a subject in need of such treatment an effective dose of a compound of formula (I) or a pharmaceutically acceptable salt or prodrug thereof.

The medicament for or method of neuroprotection may be of use in the treatment of subjects who are suffering from or at risk from a neurodegenerative disorder, such as a movement disorder.

According to a further aspect of the invention, there is provided for use in therapy a compound of formula (I), or a pharmaceutically acceptable salt or prodrug thereof.

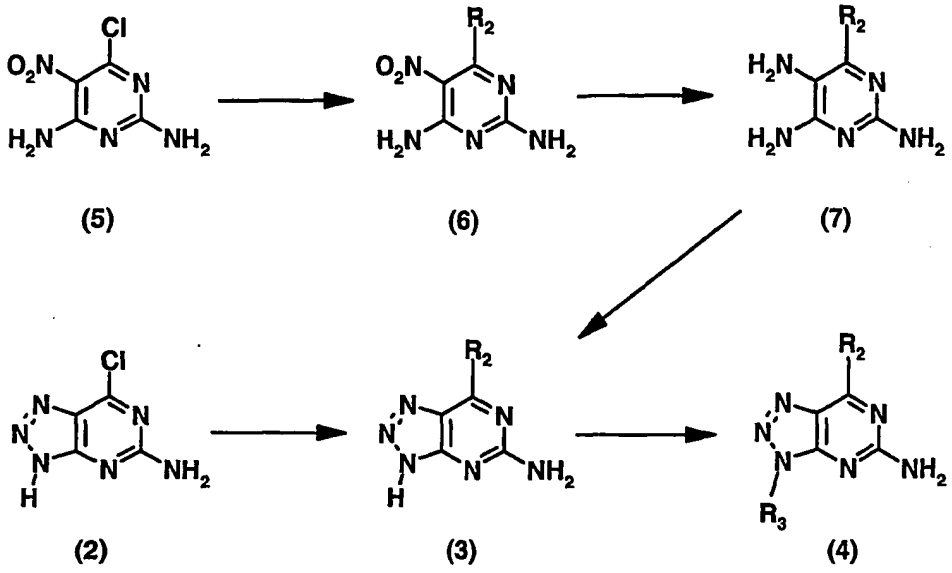
The present invention may be employed in respect of a human or animal subject, more preferably a mammal, more preferably a human subject.

According to a further aspect of the present invention, there is provided a compound of formula (I), *per se*, other than compounds wherein R_1 is H and R_3 is selected from methyl, more preferably other than compounds wherein R_1 is H and R_3 is selected from unsubstituted lower alkyl, more preferably other than compounds wherein R_1 is H and R_3 is selected from unsubstituted alkyl, and more preferably other than compounds wherein R_1 is H.

According to a further aspect of the present invention, there is provided a compound of formula (I), *per se*, other than compounds wherein R_3 is methyl, preferably other than compounds wherein R_3 is unsubstituted lower alkyl and more preferably other than compounds wherein R_3 is unsubstituted alkyl.

According to a further aspect of the invention there is provided a method of preparing the novel compounds of formula (1). Compounds of formula (1) may be prepared according to conventional synthetic methods. For example compounds of formula (1) where R_1 is NH_2 may be synthesised by standard methods such as those illustrated in Reaction Scheme 1.

Reaction Scheme 1



Compounds of formula (4) where R_3 is alkyl (including arylalkyl, heteroarylalkyl and $(CR_9R_{10})_nCO_2R_5$) may be prepared from compounds of formula (3) by standard methods such as reaction with an appropriate alkyl halide, or substituted alkyl halide in the presence of a suitable base such as sodium hydride.

Compounds of formula (4) where R_3 is $(CR_9R_{10})_nCONR_5R_6$ or $(CR_9R_{10})_nCONR_4NR_5R_6$ may be prepared from compounds of formula (4) where R_3 is $(CR_9R_{10})_nCO_2R_5$ by standard methods such as direct reaction with an appropriate amine or hydrazine or by initial hydrolysis of the ester group CO_2R_5 to a carboxylic acid followed by reaction with an appropriate amine or hydrazine in the presence of a standard coupling reagent such as DCC.

Compounds of formula (4) where R_3 is $(CR_9R_{10})_nC(=NR_4)NR_5R_6$ may be prepared from compounds of formula (4) where R_3 is$(CR_9R_{10})_nCN$ by standard methods such as treatment with an appropriate amine in the presence of trimethyl aluminium.

Compounds of formula (4) where R_3 is$(CR_9R_{10})_nCN$ may be prepared from compounds of formula (3) by standard methods such as treatment with an appropriate substituted alkyl halide in the presence of a suitable base such as sodium hydride.

Compounds of formula (4) where R_3 is $CONR_5R_6$ or $CONR_4NR_5R_6$ may be prepared from compounds of formula (3) by standard methods such as treatment with an appropriate isocyanate (R_5NCO or R_6NCO) or carbamoyl chloride (R_5R_6NCOCl or $R_5R_6NR_4NCOCl$).

Compounds of formula (4) where R_3 is COR_5, CO_2R_7 or SO_2R_7 may be prepared from compounds of formula (3) by standard methods such as treatment with an appropriate acid chloride (R_5COCl), chloroformate ($ClCO_2R_7$) or sulphonyl chloride (R_7SO_2Cl) in the presence of a suitable base such as triethylamine.

Compounds of formula (3) may be prepared from the known chloro compound of formula (2) by standard methods such as aryl or heteroaryl coupling reactions. Suitable aryl or heteroaryl coupling reactions would include reaction with an appropriate aryl or heteroarylboronic acid derivative, an aryl or heteroaryl trialkylstannane derivative or an aryl or heteroarylzinc halide derivative in the presence of a suitable catalyst such as a palladium complex.

Compounds of formula (3) may also be prepared from compounds of formula (7) by standard methods such as treatment with isoamyl nitrite. Compounds of formula (7) are either known in the literature or may be prepared from compounds of formula (6) by standard methods such as reduction with hydrogen in the presence of a suitable catalyst such as Pd. Compounds of formula (6) are either known in the literature or may be prepared from the known compound of formula (5) by standard methods such as aryl or heteroaryl coupling reactions as described above.

Compounds of formula (1) where R_1 is NR_5R_6 may be prepared from compounds of formula (4) by standard methods such as reductive amination with an appropriate aldehyde or ketone, or by treatment with an appropriate alkyl halide in the presence of a suitable base.

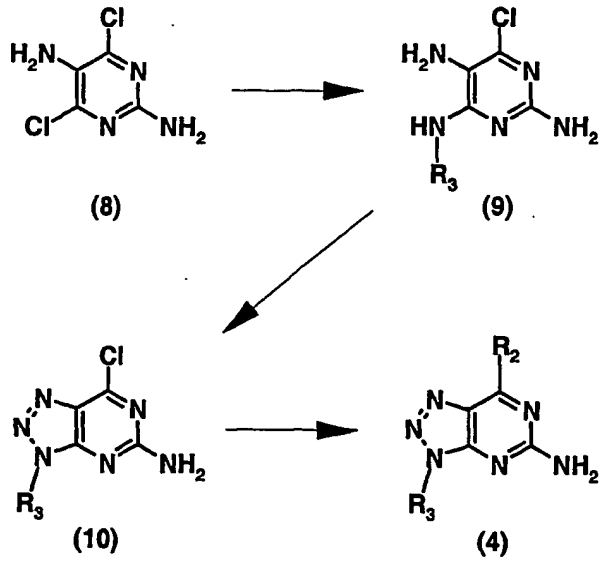
Compounds of formula (1) where R_1 is $NR_4CONR_5R_6$, wherein R_4 is H, may be prepared from compounds of formula (4) by standard methods such as treatment with an appropriate isocyanate (R_5NCO or R_6NCO) or carbamoyl chloride (R_5R_6NCOCl). Compounds of formula (1) where R_1 is $NR_4CONR_5R_6$, wherein R_4 is alkyl, may be

prepared as described above having first performed an additional alkylation step as described above.

Compounds of formula (1) where R_1 is NR_4COR_5, $NR_4CO_2R_7$ or $NR_4SO_2R_7$, wherein R_4 is H, may be prepared from compounds of formula (4) by standard methods such as treatment with an appropriate acid chloride (R_5COCl), chloroformate ($ClCO_2R_7$) or sulphonyl chloride (R_7SO_2Cl) in the presence of a suitable base. Compounds of formula (1) where R_1 is NR_4COR_5, $NR_4CO_2R_7$ or $NR_4SO_2R_7$, wherein R_4 is alkyl, may be prepared as described above having first performed an additional alkylation step as described above.

Compounds of formula (1) where R_1 is NH_2 may also be synthesised by standard methods such as those illustrated in Reaction Scheme 2.

Reaction Scheme 2

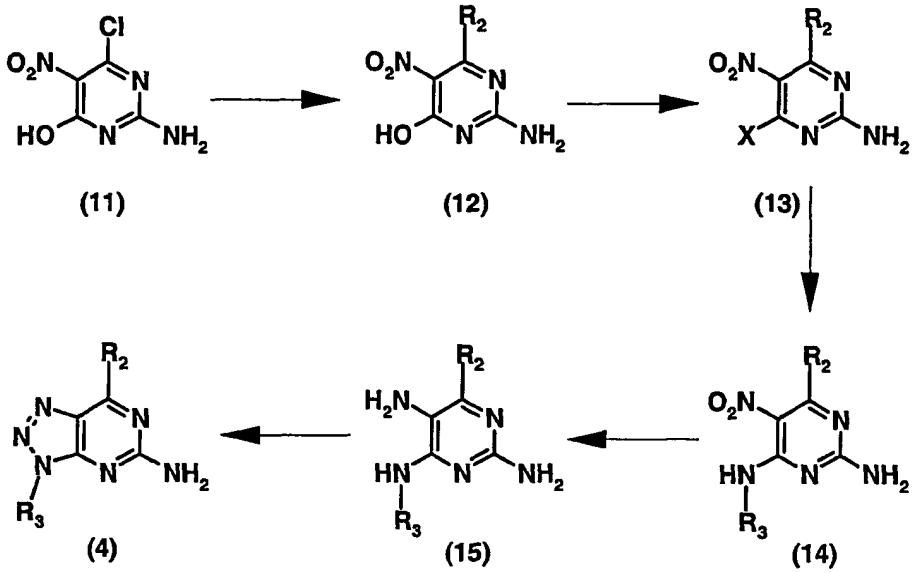


Compounds of formula (4) where R_3 is alkyl (including arylalkyl, heteroarylalkyl and $(CR_9R_{10})_nCO_2R_5$) may be prepared from compounds of formula (10) by standard methods such as aryl or heteroaryl coupling reactions as described above. Compounds of formula (10) where R_3 is alkyl are either known in the literature or may be prepared by methods analogous to those described in the literature. For example compounds of formula (10) where R_3 is alkyl may be prepared from compounds of formula (9) where R_3 is alkyl by standard methods such as treatment with isoamyl nitrite. Compounds of formula (9) where R_3 is alkyl are either known in the literature or may

be prepared by methods analogous to those described in the literature such as the treatment of the known compound of formula (8) with an appropriate amine in a suitable solvent preferably at elevated temperature.

Compounds of formula (1) where R_1 is NH_2 may also be synthesised by standard methods such as those illustrated in Reaction Scheme 3.

Reaction Scheme 3

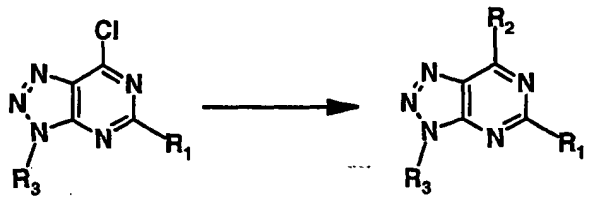


Compounds of formula (4) where R_3 is alkyl (including arylalkyl, heteroarylalkyl and $(CR_9R_{10})_nCO_2R_5$) may be prepared from compounds of formula (15) where R_3 is alkyl by standard methods such as treatment with isoamyl nitrite. Compounds of formula (15) where R_3 is alkyl may be prepared from compounds of formula (14) where R_3 is alkyl by standard methods such as reduction with hydrogen in the presence of a suitable catalyst such as Pd. Compounds of formula (14) where R_3 is alkyl are either known in the literature or may be prepared from compounds of formula (13), where X is a suitable leaving group such as a tosylate or triflate group, by standard methods such as treatment with a suitable amine in the presence of a suitable base such as triethylamine. Compounds of formula (13) where X is a suitable leaving group are either known in the literature or may be prepared from compounds of formula (12) by standard methods such as treatment with tosyl chloride or triflic anhydride in the prence of a suitable base such as triethylamine or 2,6-dimethylpyridine. Compounds

of formula (12) are either known in the literature or may be prepared from the known compound of formula (11) by standard methods such as aryl or heteroaryl coupling reactions as described above.

Other compounds of formula (1) may be prepared by standard methods such as those illustrated in Reaction Scheme 4.

Reaction Scheme 4



Compounds of formula (1) where R_1 is H, alkyl or aryl may be prepared from compounds of formula (16) where R_1 is H, alkyl or aryl by standard methods such as aryl or heteroaryl coupling reactions as described above. Compounds of formula (16) where R_1 is H, alkyl or aryl are either known in the literature or may be prepared by methods analogous to those described in the literature.

Compounds of formula (1) where R_1 is alkoxy, aryloxy, alkylthio, arylthio, CN or NR_5R_6 may be prepared from compounds of formula (1) where R_1 is halogen by standard methods such as nucleophilic displacement using an appropriate nucleophilic reagent such as an alcohol, thiol, cyanide or amine (HNR_5R_6) in the presence of a suitable base if required. Compounds of formula (1) where R_1 is halogen may be prepared from compounds of formula (16) where R_1 is halogen as described above. Compounds of formula (16) where R_1 is halogen are either known in the literature or may be prepared by methods analogous to those described in the literature.

Compounds of formula (1) where R_1 is $NR_4CONR_5R_6$, NR_4COR_5, $NR_4CO_2R_7$ or $NR_4SO_2R_7$, wherein R_4 is alkyl or aryl, may be prepared from compounds of formula (1) where R_1 is NR_5R_6, wherein R_5 is H and R_6 is alkyl or aryl, by the methods described above.

In certain cases it may be advantageous to prepare a compound of formula (1) where R_3 is selected to perform the function of a protecting group, for example a suitable protecting group would be a benzyl group or substituted benzyl group such as a 3,4-dimethoxybenzyl group. Compounds of this nature may prepared as described above and the protecting group R_3 may be removed by standard methods such as treatment with, for example, TFA to give a compound of formula (1) where R_3 is H. Compounds of formula (1) where R_3 is H may then be used to prepare other compounds of formula (1), where R_3 is as previously defined, by the methods described above.

In the compounds of formula (1) the groups R_1, R_2 and R_3 may be further substituted as defined above and it will be appreciated by those skilled in the art that suitable substituent groups may be introduced directly according to the methods described above or alternatively may be introduced by further functionalisation of substituent groups which themselves are introduced directly. For example where the group R_1, R_2 or R_3 contains a nitro substituent this may be reduced by standard methods to an amino group. The resulting amino group may then be further transformed by a variety of standard methods known to those skilled in the art to an alternative functional group such as an amide, urea, carbamate, sulphonamide or alkylamine.

According to a further aspect of the invention, there is provided a pharmaceutical composition comprising a compound of formula (I) in combination with a pharmaceutically acceptable carrier or excipient and a method of making such a composition comprising combining a compound of formula (I) with a pharmaceutically acceptable carrier or excipient.

The pharmaceutical compositions employed in the present invention comprise a compound of the present invention, or pharmaceutically acceptable salts or prodrugs thereof, and may also contain a pharmaceutically acceptable carrier and optionally other therapeutic ingredients known to those skilled in the art. The term, "pharmaceutically acceptable salts", refers to salts prepared from pharmaceutically acceptable non-toxic acids including inorganic acids and organic acids.

Where the compounds of formula (I) are basic, salts may be prepared from pharmaceutically acceptable non-toxic acids including inorganic and organic acids. Such acids include acetic, benzenesulfonic, benzoic, camphorsulfonic, citric, ethenesulfonic, fumaric, gluconic, glutamic, hippuric, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, mandelic, methanesulfonic, mucic, nitric, pamoic, pantothenic, phosphoric, succinic, sulfuric, tartaric, oxalic, p-toluenesulfonic and the like. Particularly preferred are hydrochloric, hydrobromic, phosphoric, and sulfuric acids, and most particularly preferred is the hydrochloride salt.

Any suitable route of administration may be employed for providing the patient with an effective dosage of a compound of the present invention. For example, oral, rectal, parenteral (intravenous, intramuscular), transdermal, subcutaneous, and the like may be employed. Dosage forms include tablets, troches, dispersions, suspensions, solutions, capsules, patches, and the like. The most suitable route in any given case will depend on the severity of the condition being treated. The most preferred route of administration of the present invention is the oral route. The compositions may be conveniently presented in unit dosage form and prepared by any of the methods well known in the art of pharmacy.

In practical use, the compounds can be combined as the active ingredient in intimate admixture with a pharmaceutical carrier according to conventional pharmaceutical compounding techniques. The carrier may take a wide variety of forms depending on the form of preparation desired for administration, *e.g.* oral or parenteral (*e.g.* intravenous). In preparing the compositions for oral dosage form, any of the usual pharmaceutical media may be employed as carriers, such as, for example, water, glycols, oils, alcohols, flavouring agents, preservatives, colouring agents, and the like in the case of oral liquid preparations (such as suspensions, solutions and elixirs) or aerosols; or carriers such as starches, sugars, micro-crystalline cellulose, diluents, granulating agents, lubricants, binders, disintegrating agents, and the like may be used in the case of oral solid preparations such as, for example, powders, capsules, and tablets, with the solid oral preparations being preferred over the liquid preparations. The most preferred solid oral preparation is tablets.

Because of their ease of administration, tablets and capsules represent the most advantageous oral dosage unit form in which case solid pharmaceutical carriers are employed. If desired, tablets may be coated by standard aqueous or non-aqueous techniques.

In addition to the common dosage forms set out above, the compounds may also be administered by controlled release means and/or delivery devices such as those described in United States Patent Nos.: 3,845,770; 3,916,899; 3,536,809; 3,598,123; 3,630,200; 4,008,719; 4,687,660; and 4,769,027, the disclosures of which are hereby incorporated by reference.

Pharmaceutical compositions employed in the present invention suitable for oral administration may be presented as discrete units such as capsules, cachets, or tablets, or aerosol sprays each containing a predetermined amount of the active ingredient as a powder or granules, a solution or a suspension in an aqueous liquid, an oil-in-water emulsion, or a water-in-oil liquid emulsion. Such compositions may be prepared by any of the methods of pharmacy, but all methods include the step of bringing the active ingredient into association with the carrier which constitutes one or more necessary ingredients. In general, the compositions are prepared by uniformly and intimately admixing the active ingredient with liquid carriers or finely divided solid carriers or both, and then, if necessary, shaping the product into the desired presentation.

For example, a tablet may be prepared by compression or moulding, optionally with one or more accessory ingredients. Compressed tablets may be prepared by compressing in a suitable machine the active ingredient in a free-flowing form such as powder or granules, optionally mixed with a binder, a lubricant, an inert diluent, and/or a surface active or dispersing agent. Moulded tablets may be made by moulding in a suitable machine a mixture of the powdered compound moistened with an inert liquid diluent.

The invention is further defined by reference to the following examples. It will be apparent to those skilled in the art that many modifications, both to materials and

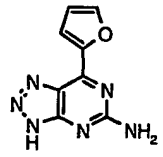
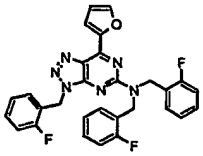
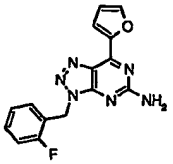
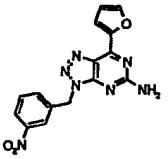
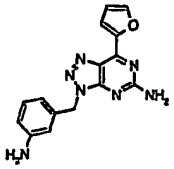
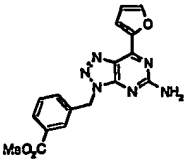
methods, may be practised without departing from the purpose and interest of this invention.

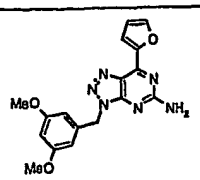
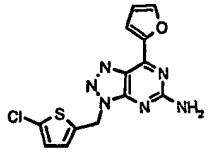
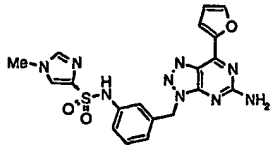
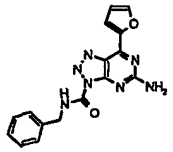
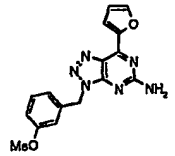
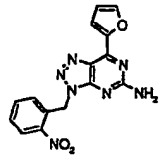
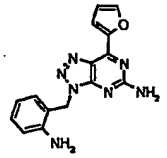
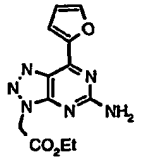
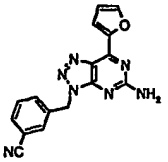
EXAMPLES

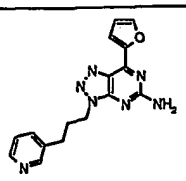
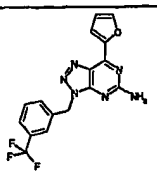
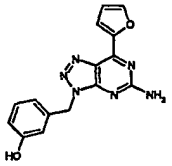
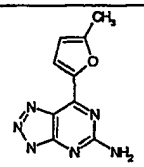
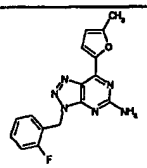
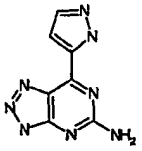
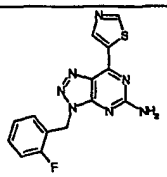
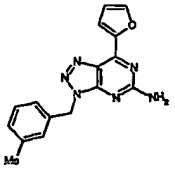
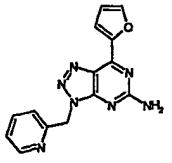
Synthetic Examples

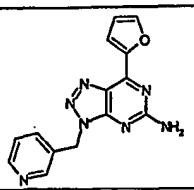
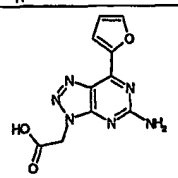
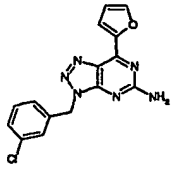
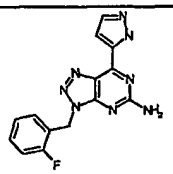
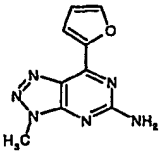
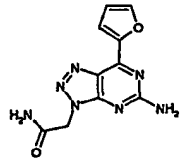
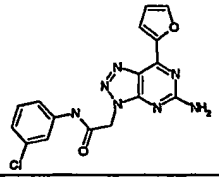
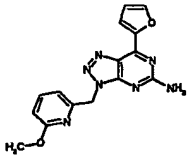
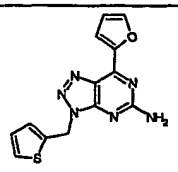
The invention is illustrated with reference to the following Examples, as set out in Table 1.

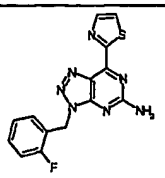
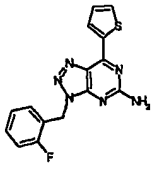
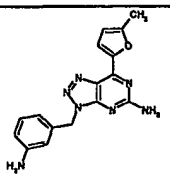
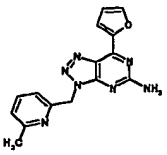
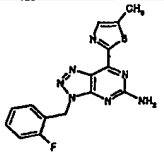
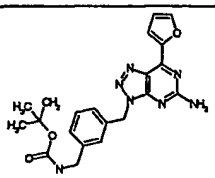
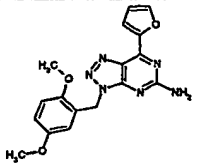
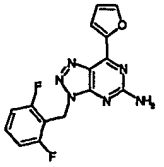
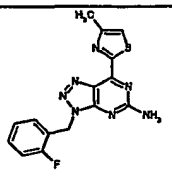
Table 1

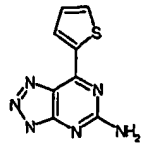
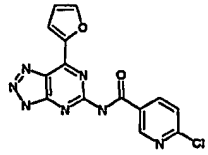
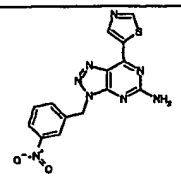
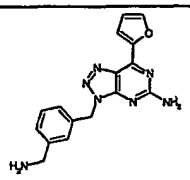
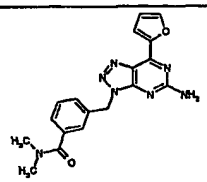
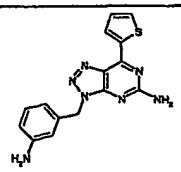
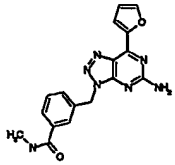
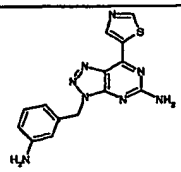
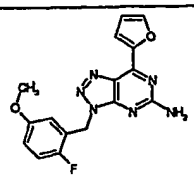
Example	Structure	Compound Name
1		7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
2		N,N-bis(2-fluorobenzyl)-3-(2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
3		3-(2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
4		7-(2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
5		3-(3-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
6		methyl 3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methylbenzoate

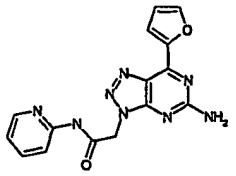
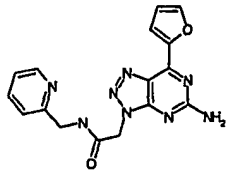
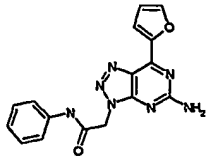
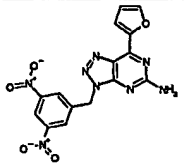
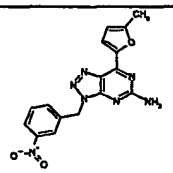
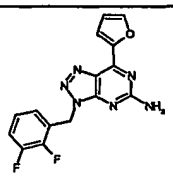
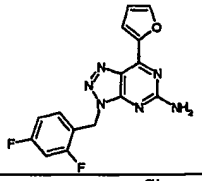
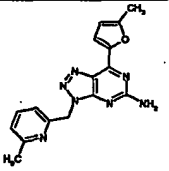
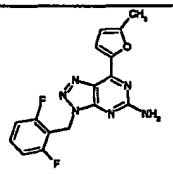
7		3-(3,5-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
8		3-(5-chloro-2-thienyl)methyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
9		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methyl)phenyl-(1-methyl-1*H*-imidazol-4-yl)sulphonamide
10		5-amino-N-benzyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylcarboxamide
11		7-(2-furyl)-3-(3-methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
12		7-(2-furyl)-3-(2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
13		3-(2-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
14		ethyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetate
15		3-(3-cyanobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

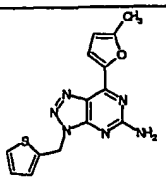
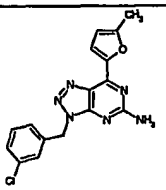
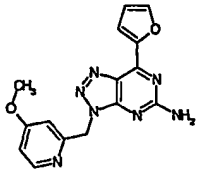
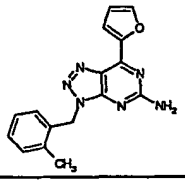
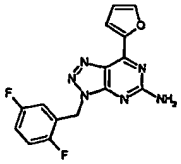
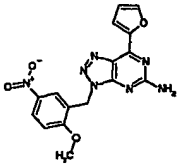
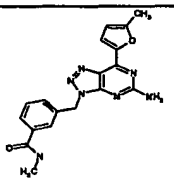
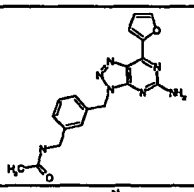
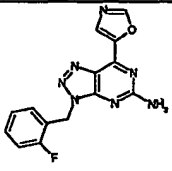
16		7-(2-furyl)-3-(3-(3-pyridyl)propyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
17		7-(2-furyl)-3-(3-trifluoromethylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
18		7-(2-furyl)-3-(3-hydroxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
19		7-(5-methyl-2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
20		3-(2-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
21		7-(1*H*-pyrazol-3-yl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
22		3-(2-fluorobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
23		7-(2-furyl)-3-(3-methylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
24		7-(2-furyl)-3-(2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

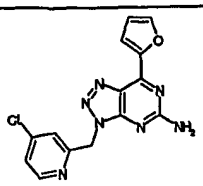
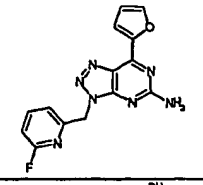
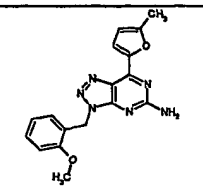
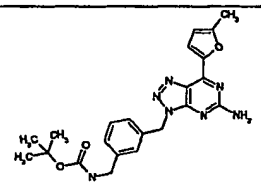
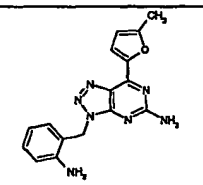
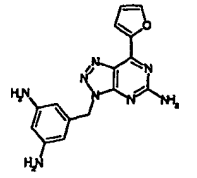
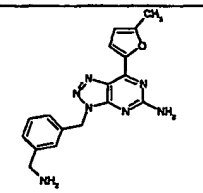
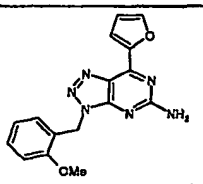
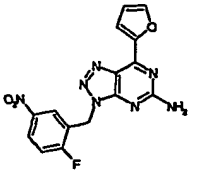
25		7-(2-furyl)-3-(3-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
26		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetic acid
27		3-(3-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
28		3-(2-fluorobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
29		7-(2-furyl)-3-methyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
30		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetamide
31		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(3-chlorophenyl)acetamide
32		7-(2-furyl)-3-(6-methoxy-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
33		7-(2-furyl)-3-(2-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

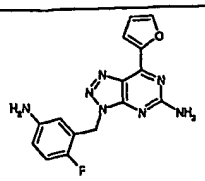
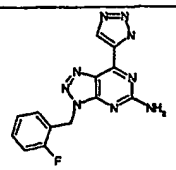
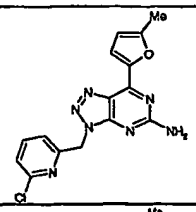
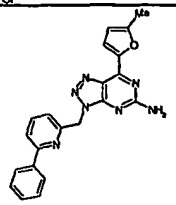
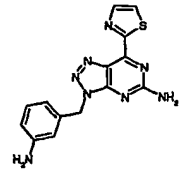
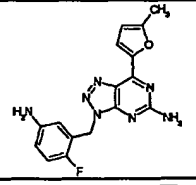
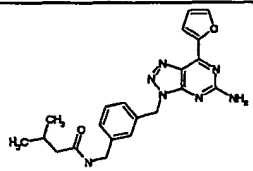
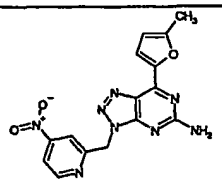
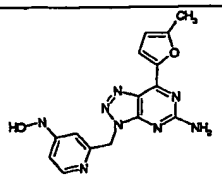
34		3-(2-fluorobenzyl)-7-(2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
35		3-(2-fluorobenzyl)-7-(2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
36		3-(3-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
37		7-(2-furyl)-3-(6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
38		3-(2-fluorobenzyl)-7-(5-methyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
39		*tert*-butyl N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate
40		3-(2,5-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
41		3-(2,6-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
42		3-(2-fluorobenzyl)-7-(4-methyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

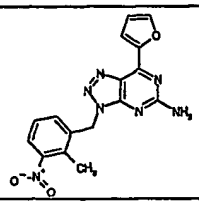
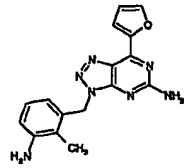
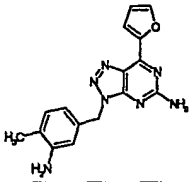
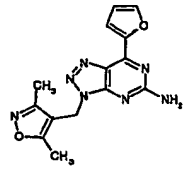
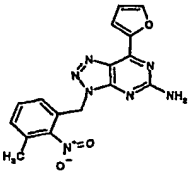
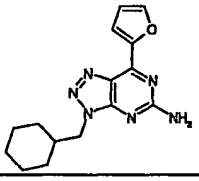
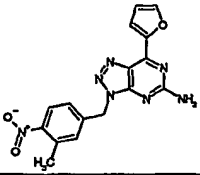
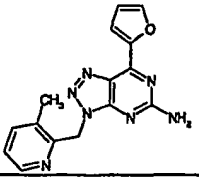
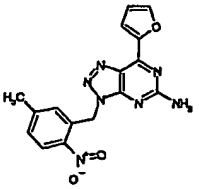
43		7-(2-thienyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
44		6-chloro-N-(7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidin-5-yl)pyridine-3-carboxamide
45		3-(3-nitrobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
46		3-(3-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
47		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N,N-dimethylbenzamide
48		3-(3-aminobenzyl)-7-(2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
49		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-methylbenzamide
50		3-(3-aminobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
51		3-(2-fluoro-5-methoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

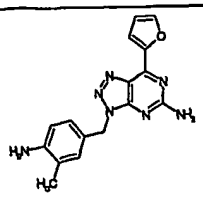
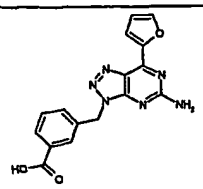
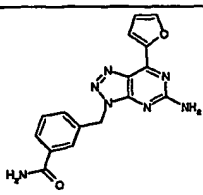
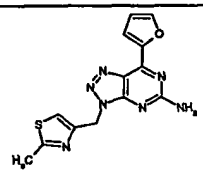
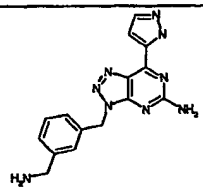
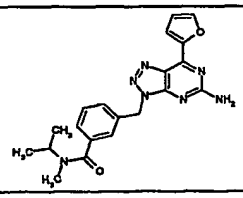
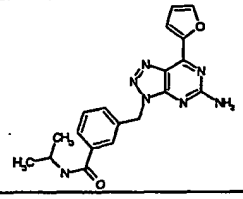
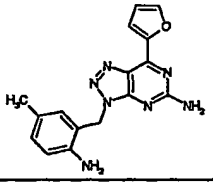
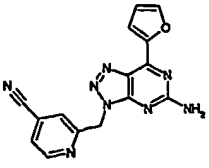
52		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(2-pyridyl)acetamide
53		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(2-pyridylmethyl)acetamide
54		(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-phenylacetamide
55		3-(3,5-dinitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
56		7-(5-methyl-2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
57		3-(2,3-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
58		3-(2,4-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
59		7-(5-methyl-2-furyl)-3-(6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
60		3-(2,6-difluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

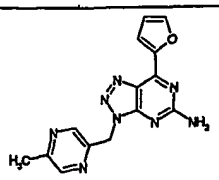
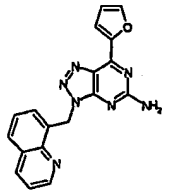
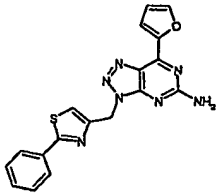
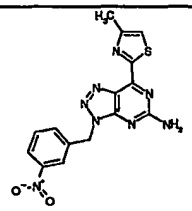
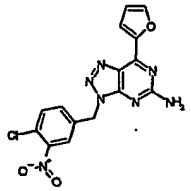
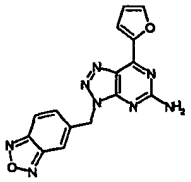
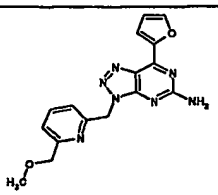
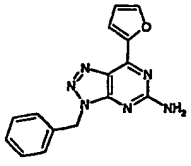
61		7-(5-methyl-2-furyl)-3-(2-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
62		3-(3-chlorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
63		7-(2-furyl)-3-(4-methoxy-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
64		7-(2-furyl)-3-(2-methylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
65		3-(2,5-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
66		7-(2-furyl)-3-(2-methoxy-5-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
67		3-(5-amino-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-methylbenzamide
68		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)acetamide
69		3-(2-fluorobenzyl)-7-(5-oxazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

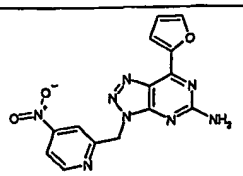
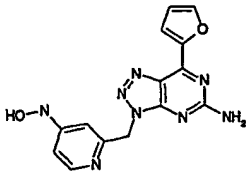
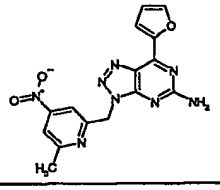
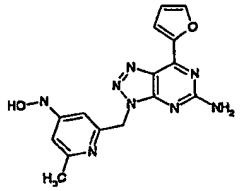
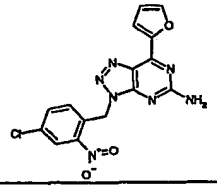
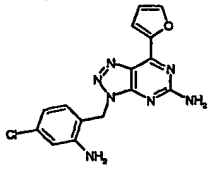
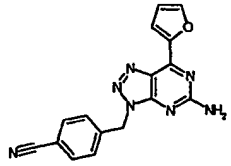
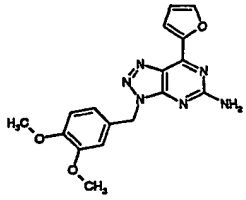
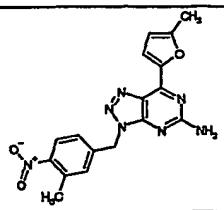
70		3-(4-chloro-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
71		3-(6-fluoro-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
72		3-(2-methoxybenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
73		*tert*-butyl N-(3-(5-amino-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate
74		3-(2-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
75		3-(3,5-diaminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
76		3-(3-aminomethylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
77		7-(2-furyl)-3-(2-methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
78		3-(2-fluoro-5-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

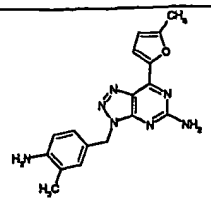
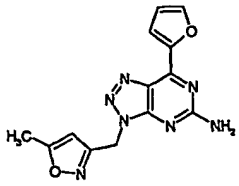
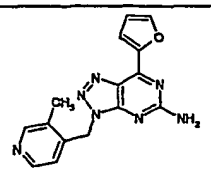
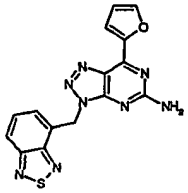
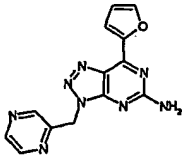
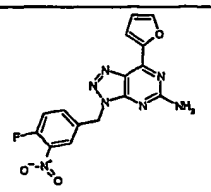
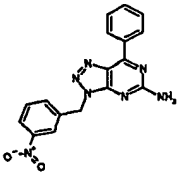
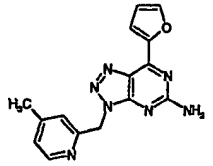
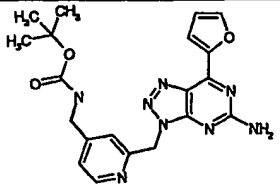
79		3-(5-amino-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
80		3-(2-fluorobenzyl)-7-(1*H*-triazol-4-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
81		3-(6-chloro-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
82		7-(5-methyl-2-furyl)-3-(6-phenyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
83		3-(3-aminobenzyl)-7-(2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
84		3-(5-amino-2-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
85		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)-3-methylbutanamide
86		7-(5-methyl-2-furyl)-3-(4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
87		3-(4-hydroxylamino-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

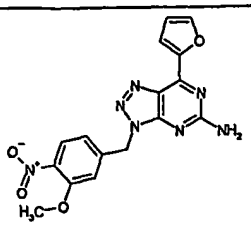
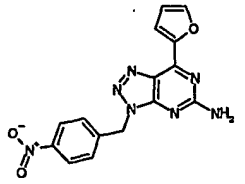
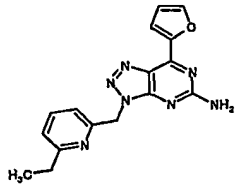
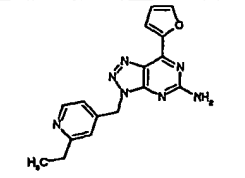
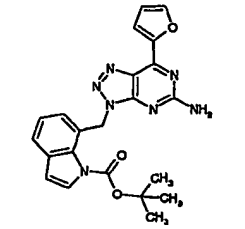
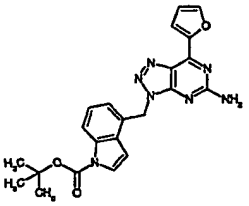
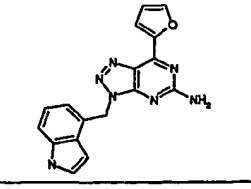
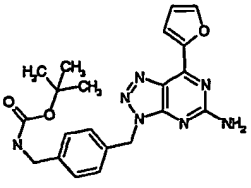
88		7-(2-furyl)-3-(2-methyl-3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
89		3-(3-amino-2-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
90		3-(3-amino-4-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
91		3-(3,5-dimethylisoxazol-4-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
92		7-(2-furyl)-3-(3-methyl-2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
93		3-cyclohexylmethyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
94		7-(2-furyl)-3-(3-methyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
95		7-(2-furyl)-3-(3-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
96		7-(2-furyl)-3-(5-methyl-2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

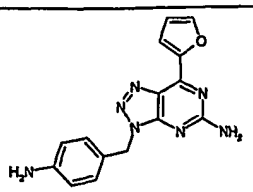
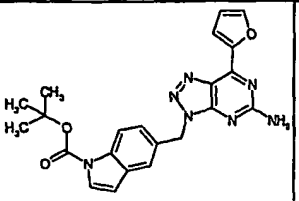
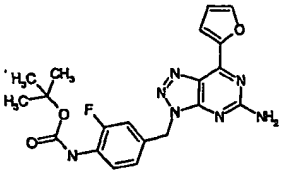
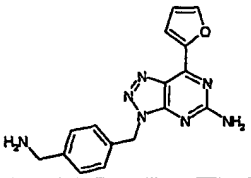
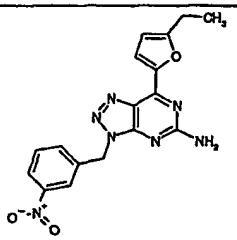
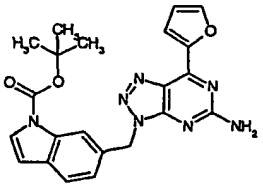
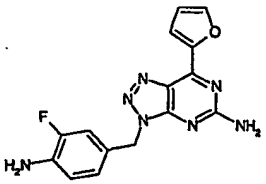
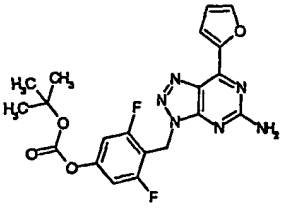
97		3-(4-amino-3-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
98		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzoic acid
99		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzamide
100		7-(2-furyl)-3-(2-methylthiazol-4-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
101		3-(3-aminomethylbenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
102		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-isopropyl-N-methylbenzamide
103		3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-isopropylbenzamide
104		3-(2-amino-5-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
105		3-(4-cyano-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

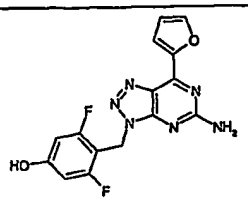
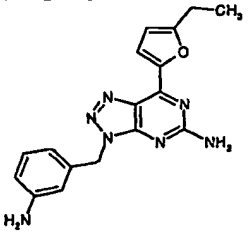
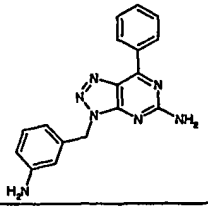
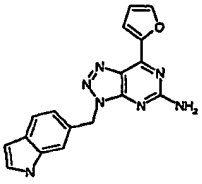
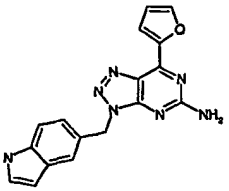
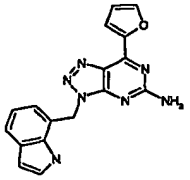
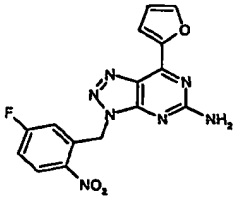
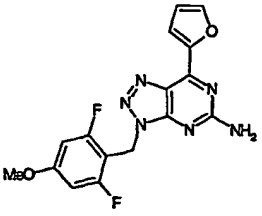
106		7-(2-furyl)-3-(5-methyl-2-pyrazinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
107		7-(2-furyl)-3-(8-quinolinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
108		7-(2-furyl)-3-(2-phenylthiazol-4-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
109		7-(4-methyl-2-thiazolyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
110		3-(4-chloro-3-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
111		3-(1,2,5-benzoxadiazol-5-yl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
112		7-(2-furyl)-3-(6-methoxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
113		3-benzyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
114		3-(3-amino-4-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

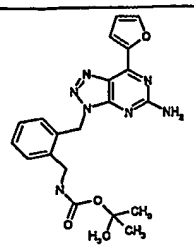
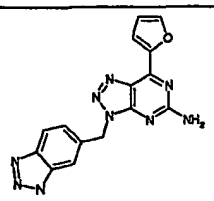
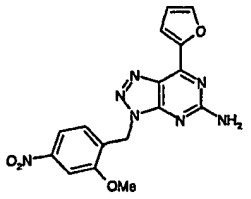
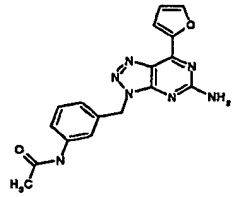
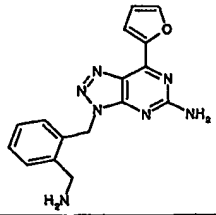
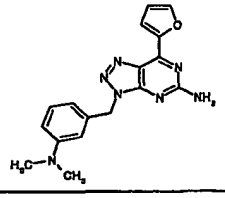
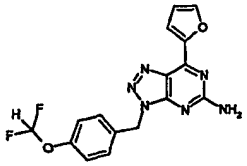
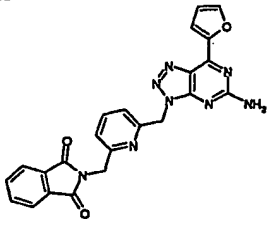
115		7-(2-furyl)-3-(4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
116		7-(2-furyl)-3-(4-hydroxylamino-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
117		7-(2-furyl)-3-(6-methyl-4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
118		7-(2-furyl)-3-(4-hydroxylamino-6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
119		3-(4-chloro-2-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
120		3-(2-amino-4-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
121		3-(4-cyanobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
122		3-(3,4-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine trifluoroacetate salt
123		7-(5-methyl-2-furyl)-3-(3-methyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

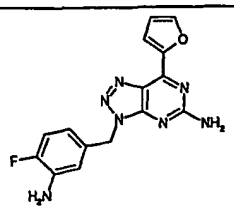
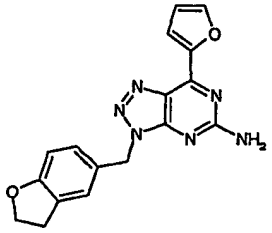
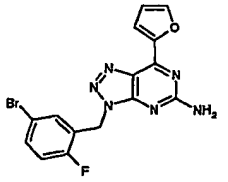
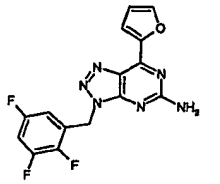
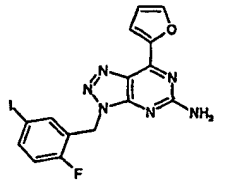
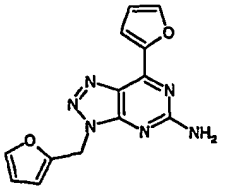
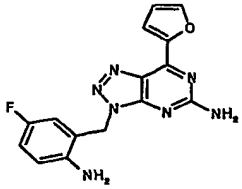
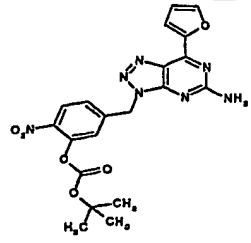
124		3-(4-amino-3-methylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
125		7-(2-furyl)-3-(5-methyl-3-oxazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
126		7-(2-furyl)-3-(3-methyl-4-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
127		3-(1,2,5-benzothiadiazol-4-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
128		7-(2-furyl)-3-(2-pyrazinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
129		3-(4-fluoro-3-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
130		3-(3-nitrobenzyl)-7-phenyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
131		7-(2-furyl)-3-(4-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
132		*tert*-butyl N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-4-pyridylmethyl)carbamate

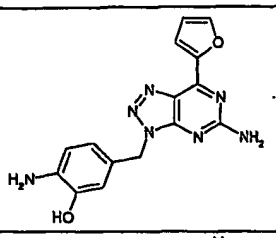
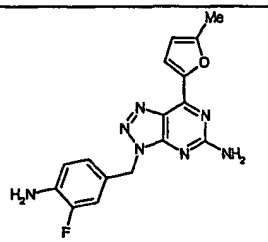
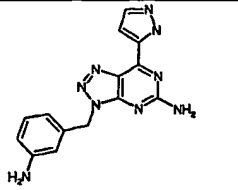
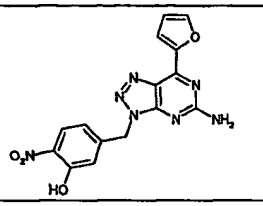
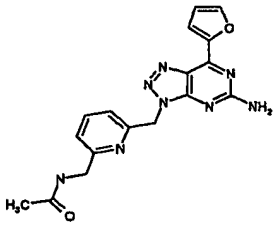
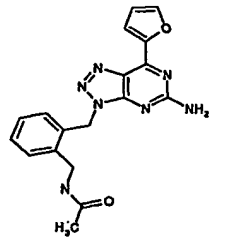
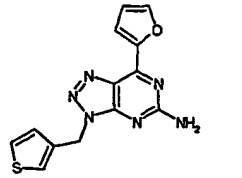
133		7-(2-furyl)-3-(3-methoxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
134		7-(2-furyl)-3-(4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
135		3-(6-ethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
136		3-(2-ethyl-4-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
137		*tert*-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
138		*tert*-butyl 4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
139		7-(2-furyl)-3-(4-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
140		*tert*-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate

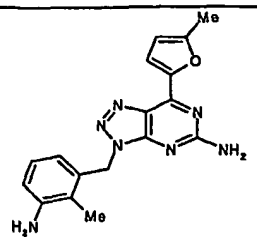
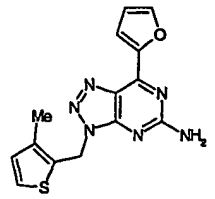
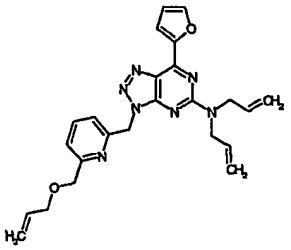
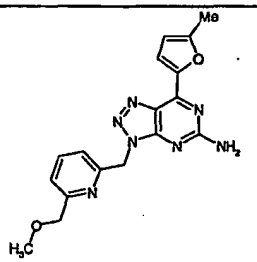
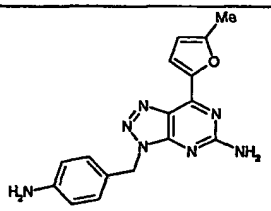
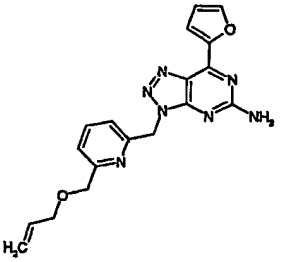
141		3-(4-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
142		*tert*-butyl 5-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
143		*tert*-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-2-fluorophenyl)carbamate
144		3-(4-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
145		7-(5-ethyl-2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
146		*tert*-butyl 6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
147		3-(4-amino-3-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
148		*tert*-butyl (4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-3,5-difluorophenyl)carbonate

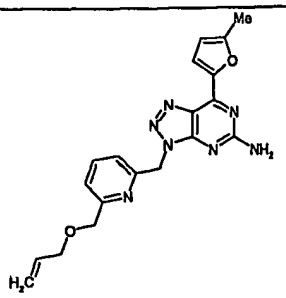
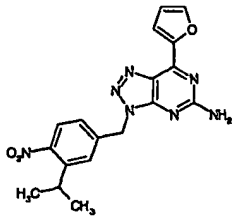
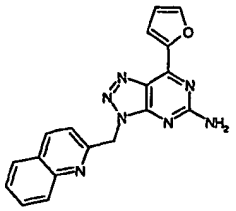
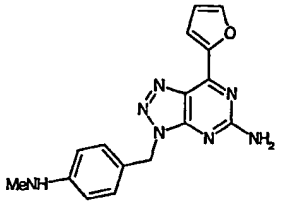
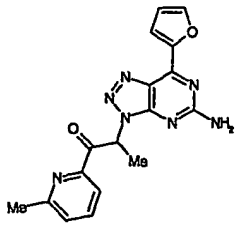
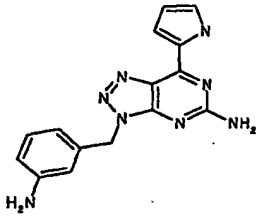
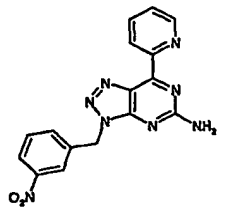
149		3-(2,6-difluoro-4-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
150		3-(3-aminobenzyl)-7-(5-ethyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
151		3-(3-aminobenzyl)-7-phenyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
152		7-(2-furyl)-3-(6-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
153		7-(2-furyl)-3-(5-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
154		7-(2-furyl)-3-(7-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
155		3-(5-fluoro-2-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
156		3-(2,6-difluoro-4-methoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

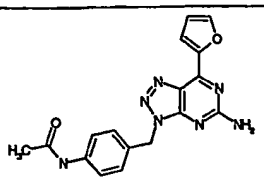
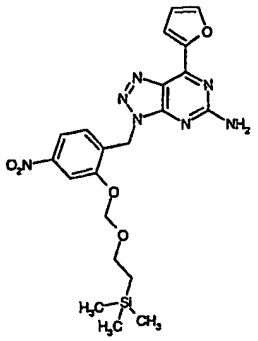
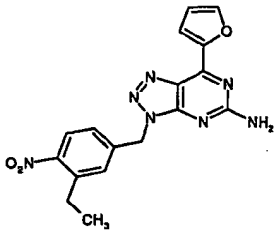
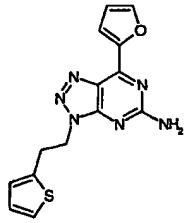
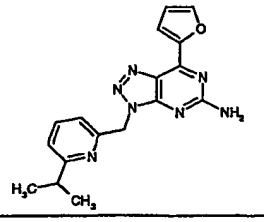
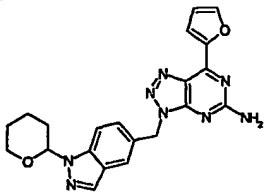
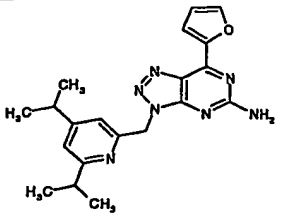
157		*tert*-butyl N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate
158		3-(1*H*-benzotriazol-5-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
159		7-(2-furyl)-3-(2-methoxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
160		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)phenylacetamide
161		3-(2-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
162		3-(3-(N,N-dimethylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
163		3-(4-difluoromethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
164		7-(2-furyl)-3-(6-phthalimidomethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

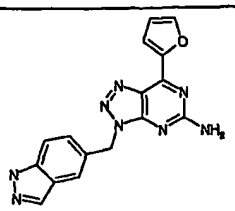
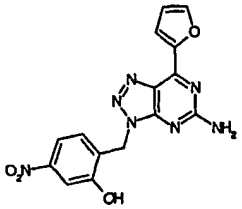
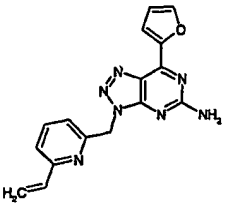
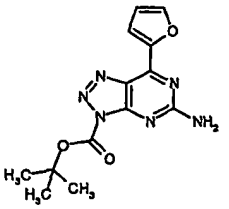
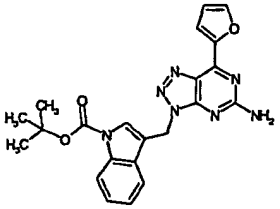
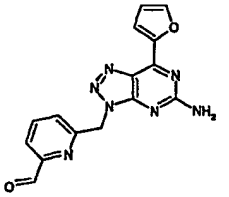
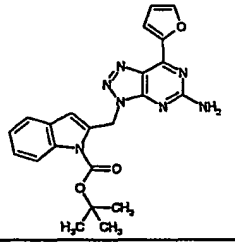
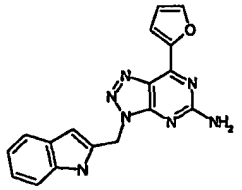
165		3-(3-amino-4-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
166		3-(2,3-dihydrobenzofuran-5-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
167		3-(5-bromo-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
168		7-(2-furyl)-3-(2,3,5-trifluorobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
169		3-(2-fluoro-5-iodobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
170		7-(2-furyl)-3-(2-furylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
171		3-(2-amino-5-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
172		*tert*-butyl (5-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-2-nitrophenyl)carbonate

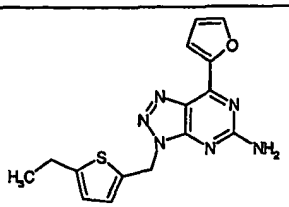
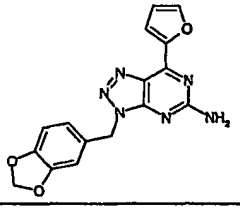
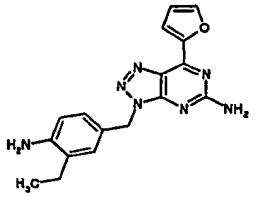
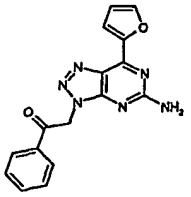
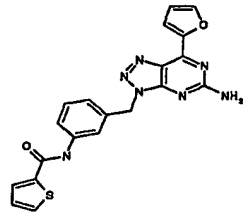
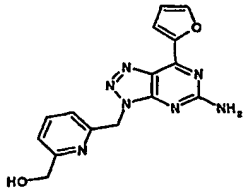
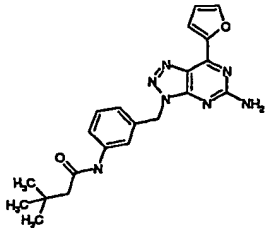
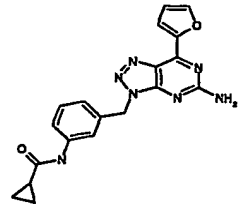
173		3-(4-amino-3-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
174		3-(4-amino-3-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
175		3-(3-aminobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
176		7-(2-furyl)-3-(3-hydroxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
177		N-(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl)acetamide
178		N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)acetamide
179		7-(2-furyl)-3-(3-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

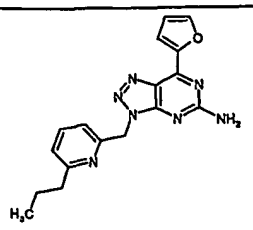
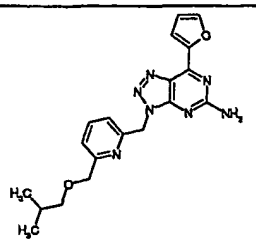
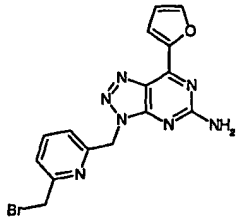
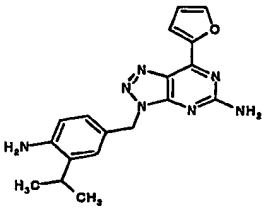
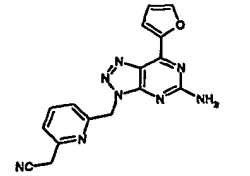
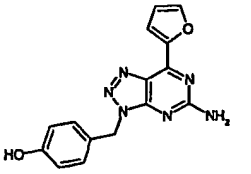
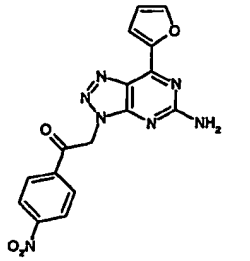
180		3-(3-amino-2-methylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
181		7-(2-furyl)-3-(3-methyl-2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
182		3-(6-allyloxymethyl-2-pyridylmethyl)- N,N-diallyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
183		3-(6-methoxymethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
184		3-(4-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
185		3-(6-allyloxymethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

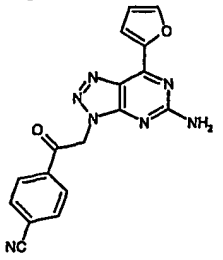
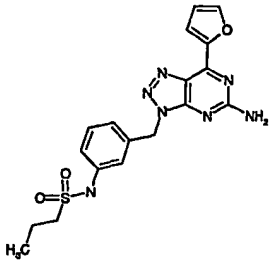
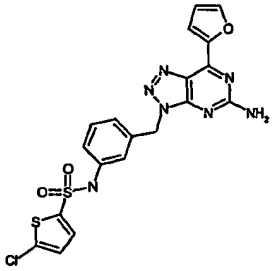
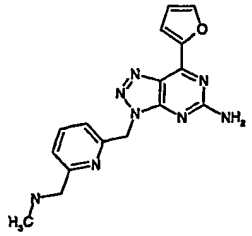
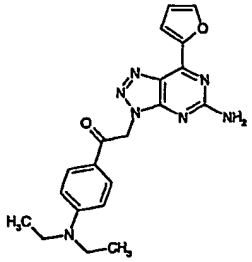
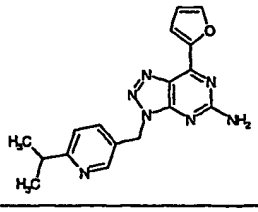
186		3-(6-allyloxymethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
187		7-(2-furyl)-3-(3-isopropyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
188		7-(2-furyl)-3-(quinolin-2-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
189		7-(2-furyl)-3-(4-(N-methylamino)benzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
190		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(6-methyl-2-pyridyl)propanone
191		3-(3-aminobenzyl)-7-(1*H*-pyrrol-2-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
192		3-(3-nitrobenzyl)-7-(2-pyridyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

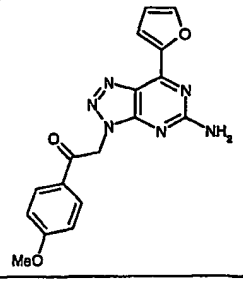
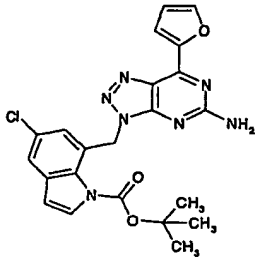
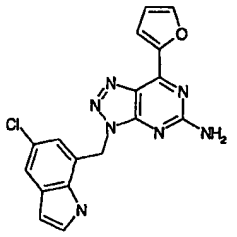
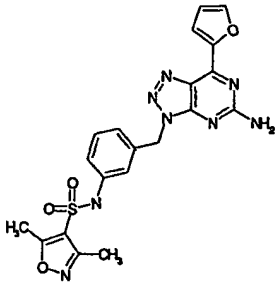
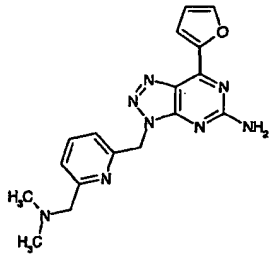
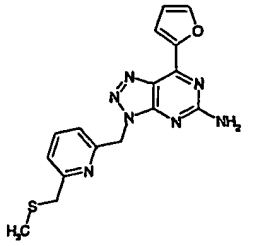
193		N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)acetamide
194		7-(2-furyl)-3-(4-nitro-2-(2-trimethylsilylethoxy)methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
195		3-(3-ethyl-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
196		7-(2-furyl)-3-(2-(2-thienylethyl))-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
197		7-(2-furyl)-3-(6-isopropyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
198		7-(2-furyl)-3-(1-(2*H*-tetrahyropyran-2-yl)indazol-5-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
199		3-(4,6-diisopropyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

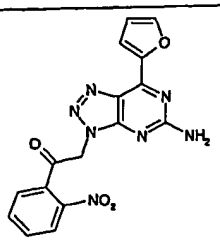
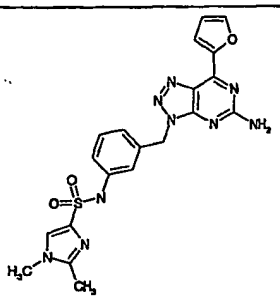
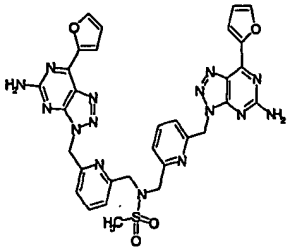
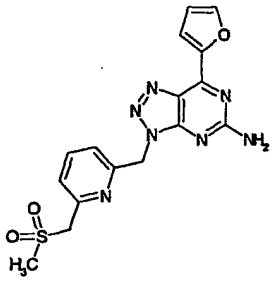
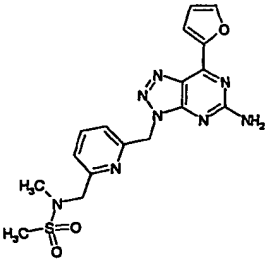
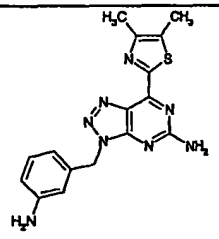
200		7-(2-furyl)-3-(5-indazolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
201		7-(2-furyl)-3-(2-hydroxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
202		7-(2-furyl)-3-(6-vinyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
203		*tert*-butyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-carboxylate
204		*tert*-butyl 3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
205		6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)pyridine-2-carboxaldehyde
206		*tert*-butyl 2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate
207		3-(2-indolylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

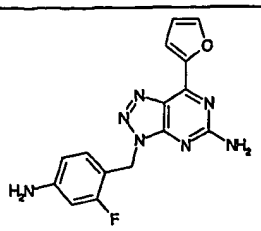
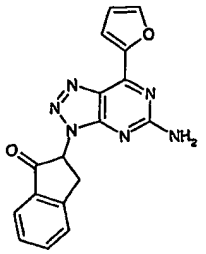
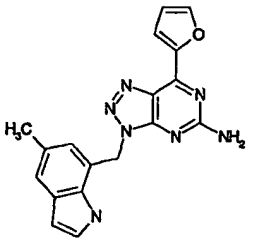
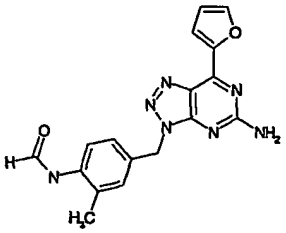
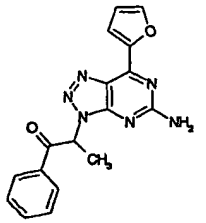
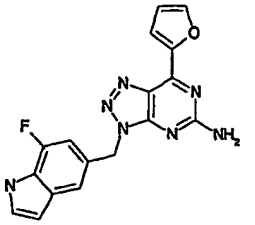
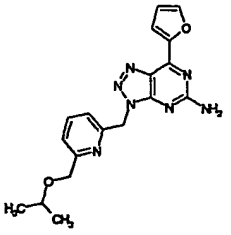
208		3-(5-ethyl-2-thienylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
209		7-(2-furyl)-3-(3,4-methylenedioxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
210		3-(4-amino-3-ethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
211		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-phenylethanone
212		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)thiophene-2-carboxamide
213		7-(2-furyl)-3-(6-hydroxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
214		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)-3,3-dimethylbutanamide
215		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)cyclopropanecarboxamide

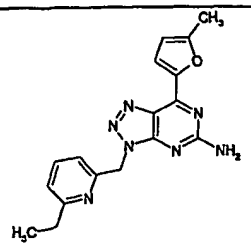
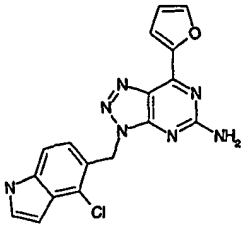
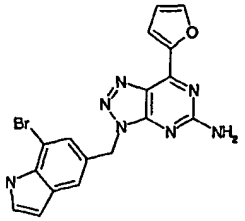
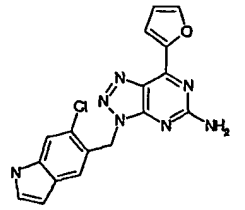
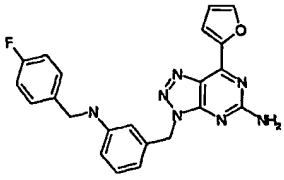
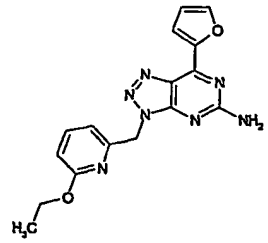
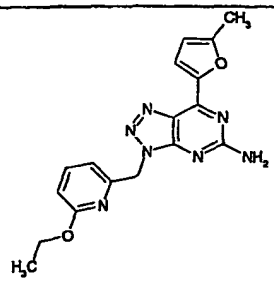
216		7-(2-furyl)-3-(6-*n*-propyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
217		7-(2-furyl)-3-(6-isobutyloxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
218		3-(6-bromomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
219		3-(4-amino-3-isopropylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
220		3-(6-cyanomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
221		3-(4-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
222		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-nitrophenyl)ethanone

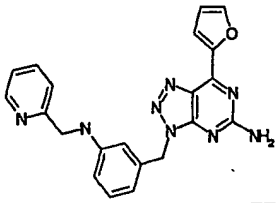
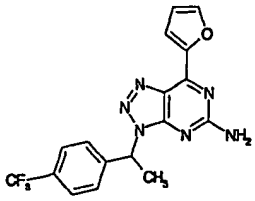
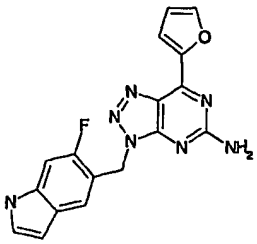
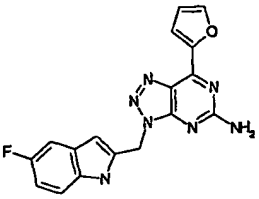
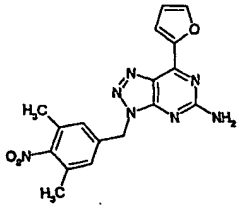
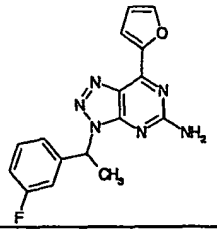
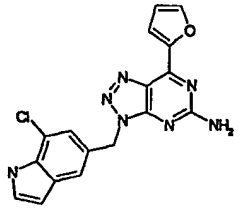
223		4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetyl)-benzonitrile
224		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)propanesulphonamide
225		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-5-chloro-2-thiophenesulphonamide
226		7-(2-furyl)-3-(6-(N-methylamino)methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
227		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-(N,N-diethylamino)phenyl)ethanone
228		7-(2-furyl)-3-(6-isopropyl-3-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

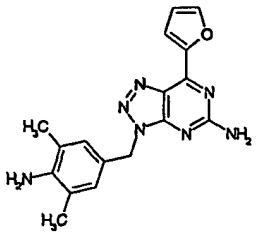
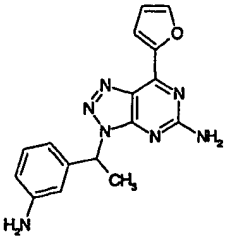
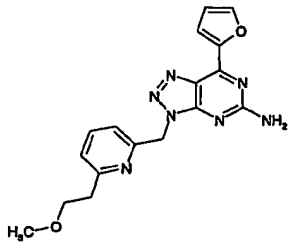
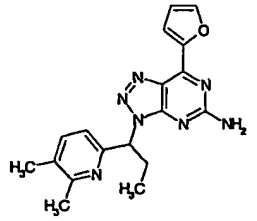
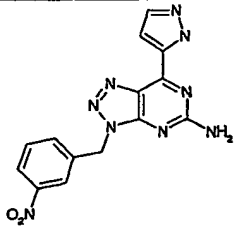
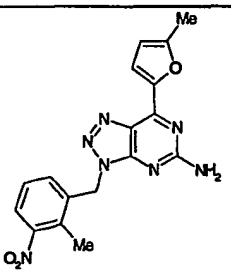
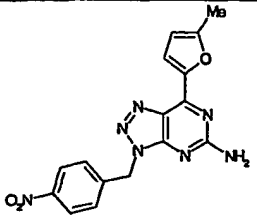
229		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-methoxyphenyl)ethanone
230		*tert*-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-5-chloroindole-1-carboxylate
231		3-(5-chloro-7-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
232		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-3,5-dimethylisoxazol-4-ylsulphonamide
233		3-(6-(N,N-dimethylamino)methyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
234		7-(2-furyl)-3-(6-methylthiomethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

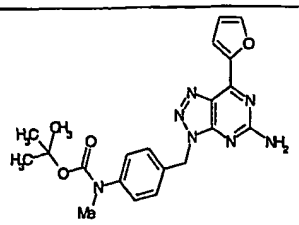
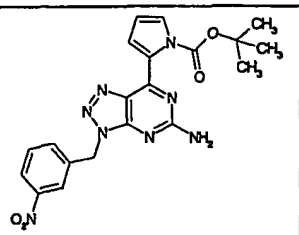
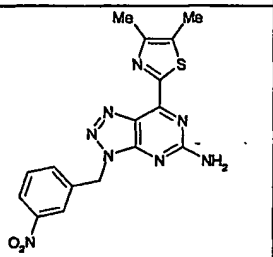
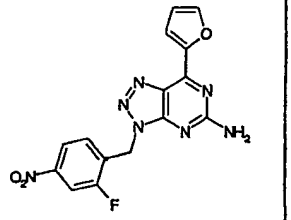
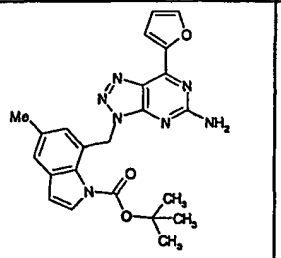
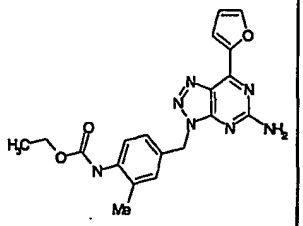
235		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(2-nitrophenyl)ethanone
236		N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-1,2-dimethyl-1*H*-imidazol-4-ylsulphonamide
237		N,N-bis(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl) methanesulphonamide
238		7-(2-furyl)-3-(6-methylsulphonylmethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
239		N-(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl)-N-methyl methanesulphonamide
240		3-(3-aminobenzyl)-7-(4,5-dimethyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

241		3-(4-amino-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
242		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-indanone
243		7-(2-furyl)-3-(5-methyl-7-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
244		N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-methylphenyl)formamide
245		2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-phenylpropanone
246		3-(7-fluoro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
247		7-(2-furyl)-3-(6-isopropoxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

248		3-(6-ethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
249		3-(4-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
250		3-(7-bromo-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
251		3-(6-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
252		3-(3-(4-fluorobenzylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
253		3-(6-ethoxy-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
254		3-(6-ethoxy-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

255		3-(3-(2-pyridylmethylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
256		7-(2-furyl)-3-(1-(4-trifluoromethylphenyl)ethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
257		3-(6-fluoro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
258		3-(5-fluoro-2-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
259		3-(3,5-dimethyl-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
260		3-(1-(3-fluorophenyl)ethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
261		3-(7-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

262		3-(4-amino-3,5-dimethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
263		3-(1-(3-aminophenyl)ethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
264		7-(2-furyl)-3-(6-(2-methoxyethyl)-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
265		7-(2-furyl)-3-(1-(5,6-dimethyl-2-pyridyl)propyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
266		3-(3-nitrobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride
267		7-(5-methyl-2-furyl)-3-(2-methyl-3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
268		7-(5-methyl-2-furyl)-3-(4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

269		*tert*-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)phenyl)-N-methylcarbamate
270		*tert*-butyl 2-(5-amino-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-7-yl)pyrrole-1-carboxylate
271		7-(4,5-dimethylthiazol-2-yl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
272		3-(2-fluoro-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine
273		*tert*-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-5-methylindole-1-carboxylate
274		ethyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methyl)-2-methylphenyl)carbamate

The general synthetic methods used for the preparation of these Examples are set out below as Methods A to BH. Table 2 sets out the Method used for each Example, together with analytical data.

HPLC is carried out using the following conditions: Column. Waters Xterra RP 18 (50 x 4.6 mm); Particle size 5 μM; Mobile phase MeOH: 10 mM aq NH_4OAc (pH 7 buffer); Gradient 50:50 isocratic for 1 min. then linear gradient 50:50 to 80:20 over 5 min. then 80:20 isocratic for 3 min.; Flow rate 2.0 mL/min.; Detection wavelength λ = 230 nM. Retention times are provided.

Method A

7-(2-Furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 1)

A solution of 7-chloro-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (570 mg, 3.34 mmol) in N-methyl-2-pyrrolidinone (4 mL) was treated with $PdCl_2(PPh_3)_2$ (117 mg, 0.17 mmol) and 2-(tributylstannyl)furan (1.05 mL, 1 mmol), stirred at 80 °C for 5 h, diluted with EtOAc, filtered through a silica pad and concentrated *in vacuo*. The residue was triturated with diethyl ether and the *title compound* isolated as a yellow solid (438 mg, 65 %).

Method B

3-(2-Fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 3)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (101 mg, 0.5 mmol) in DMF (2 mL), at 0 °C, was treated with NaH (20 mg, 60 %, 0.5 mmol), stirred for 20 mins then treated with 2-fluorobenzyl bromide (60 μL, 0.5 mmol). The reaction mixture was allowed to warm to room temperature, stirred for 1 h, quenched with water, extracted with EtOAc, dried ($MgSO_4$) and concentrated *in vacuo*. The crude product was purified by chromatography (EtOAc : Heptane, 1:4 - EtOAc : Heptane, 2:1) to give N,N-bis(2-fluorobenzyl)-3-(2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 2) (28 mg, 11 %) as a yellow solid and the *title compound* (34 mg, 22 %) as a yellow solid.

Method C

3-(3-Aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 5)

A solution of 7-(2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (152 mg, 0.45 mmol) in EtOH (2 mL) at 50 °C was treated with a solution of $SnCl_2$ (305 mg, 1.35 mmol) in conc. HCl (0.7 mL), stirred for 2 h, cooled, diluted with water, basified to pH 10 (5-M, NaOH) and filtered to give the *title compound* (127 mg, 92 %) as a white solid.

Method D

N-(3-(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methyl)phenyl-(1-methyl-1*H*-imidazol-4-yl)sulphonamide (Example 9)

A solution of 3-(3-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (125 mg, 0.41 mmol) in DMF (2 mL) was treated with Et_3N (85 µL, 0.61 mmol) and 1-methylimidazole-4-sulphonyl chloride (74 mg, 0.41 mmol), stirred at room temperature overnight, poured into water, extracted with EtOAc, dried ($MgSO_4$) and concentrated *in vacuo*. The crude product was purified by chromatography [SiO_2; EtOAc : MeOH (1:10)] to give the *title compound* (26 mg, 14 %) as a cream solid.

Method E

5-Amino-N-benzyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylcarboxamide (Example 10)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (202 mg, 1.0 mmol) in DMF (3 mL) was treated with benzyl isocyanate (123 µL, 1.0 mmol) and a catalytic amount of DMAP, stirred at room temperature overnight, diluted with EtOAc and filtered to give the title compound (62 mg, 19 %) as a peach coloured solid.

Method F

Ethyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetate (Example 14)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (101 mg, 0.5 mmol) in DMF (4 mL) was treated with 4-(N,N-dimethylamino)pyridine (5 mg, 0.04 mmol) and ethyl bromoacetate (55 µL, 0.5 mmol), stirred at room temperature for 16 h and purified

directly by chromatography [SiO_2; EtOAc : Heptane (1:2)] to give the *title compound* (50 mg, 35 %) as a white solid.

Method G

7-(2-Furyl)-3-(3-hydroxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 18)

A solution of 7-(2-furyl)-3-(3-methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (119 mg, 0.37 mmol) in dichloromethane (20 mL) at 0 °C was treated with boron tribromide (1-M in dichloromethane, 8.8 mL, 8.8 mmol) portion-wise over 3 days, concentrated *in vacuo* and isolated by filtration to give the *title compound* (114 mg, 100 %) as a yellow solid.

Method H

6-(5-Methyl-2-furyl)-5-nitropyrimidine-2,4-diamine

A solution of 6-chloro-5-nitropyrimidine-2,4-diamine (10 g, 60 % pure, 32 mmol) in THF (300 mL) was treated with saturated aq $NaHCO_3$ (75 mL), 5-methylfuran-2-boronic acid (7.33 g, 0.058 mol) and $Pd(PPh_3)_4$ (1 g, 0.865 mmol) and refluxed with vigorous stirring under argon overnight. The mixture was cooled to room temperature, diluted with EtOAc (400 mL) and water (300 mL), filtered to remove insoluble material and the filtrate was extracted with EtOAc (2 x 100 mL). The combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and the resulting solid triturated with dichloromethane and filtered to give the *title compound* (6 g, 72 %) as a yellow solid; mp 196.3 – 196.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3442, 3169, 2930, 1629, 1463, 1377, 1027, and 790; NMR δ_H (400 MHz, DMSO) 7.40 (2H, br s), 7.09 (2H, br s), 6.87 (1H, dd, *J* 0.5, 3.2 Hz), 6.26 (2H, dd, *J* 1.0, 3.3 Hz) and 2.28 (3H, s).

Method I

6-(5-Methyl-2-furyl)pyrimidine-2,4,5-triamine

A suspension of 6-(5-methyl-2-furyl)-5-nitropyrimidine-2,4-diamine (6.6 g, 29.6 mmol) and 10 % Pd/C (0.66 g) in MeOH (100 mL) was heated at 40 ^{0}C under an atmosphere of

H_2 for 3 h, cooled to room temperature, filtered through Celite, and concentrated *in vacuo* to give the *title compound* (5.8 g, 99 %) as an off-white solid; IR ν_{max} (DR)/cm^{-1} 3333, 2237, 1634, 1458, 1237, 1025, 963 and 828; NMR δ_H (400 MHz, DMSO) 6.77 (1H, dd, *J* 0.5, 3.2 Hz), 6.21 - 6.17 (3H, m), 5.14 (2H, s), 4.21 (2H, s), and 2.35 (3H, s).

Method J

7-(5-Methyl-2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 19)

A solution of 6-(5-methyl-2-furyl)pyrimidine-2,4,5-triamine (5.8 g, 30.1 mmol) in dioxane (116 mL) was treated with isoamyl nitrite (4.1 mL, 30.5 mmol), heated at 80 ^{0}C for 3.5 h, cooled to room temperature and the resulting precipitate was filtered, washed with dioxane (10 mL) and heptane (2 x 15 mL) then triturated with heptane and filtered to give the *title compound* (4.7 g, 77 %) as a sandy solid.

Method K

7-(1*H*-Pyrazol-3-yl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 21)

A solution of 7-(1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-pyrazol-3-yl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (120 mg, 0.361 mmol) in MeOH (2 mL) was treated with HCl (4-M in dioxan, 1 mL), stirred for 2 h, filtered and the resulting solid washed with Et_2O to give the *title compound* (76 mg, 100 %) as a yellow solid.

Method L

(2-Amino-6-(2-furyl)-5-nitropyrimidin-4-yl) 4-methylbenzenesulphonate

A suspension of 2-amino-6-(2-furyl)-5-nitropyrimidine-4(1*H*)-one (1.00 g, 4.50 mmol) in dichloromethane (50 mL) was treated with triethylamine (0.941 mL, 6.75 mmol) and *p*-toluenesulfonyl chloride (944 mg, 4.95 mmol), stirred for 1 h, diluted with dichloromethane (50 mL), washed with 2-M HCl (20 mL), dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (2:1)] to give the *title compound* (410 mg, 24 %) as a yellow solid; NMR δ_H (400 MHz, $CDCl_3$) 7.95 (2H, d, *J* 8.5 Hz), 7.59-7.57 (1H, m), 7.39 (2H, d, *J* 8.5 Hz), 7.23-7.21 (1H, m), 6.59-6.51 (1H, m), 5.39 (2H, br s), 2.48 (3H, s); Retention time 5.68 min.

Method M

6-(2-Furyl)-5-nitro-N^4-(2-pyridylmethyl)pyrimidine-2,4-diamine

A solution of (2-amino-6-(2-furyl)-5-nitropyrimidin-4-yl) 4-methylbenzenesulphonate (478 mg, 1.27 mmol) in dimethoxyethane (15 mL) was treated with triethylamine (0.531 mL, 3.81 mmol) and 2-pyridinemethylamine (0.393 mL, 3.81 mmol), stirred for 16 h, poured into water (100 mL) and the resulting solid was filtered to give the *title compound* (275 mg, 69 %) as a yellow solid; NMR δ_H (400 MHz, $CDCl_3$) 8.70 - 8.58 (2H, m), 7.70 - 7.66 (1H, m), 7.55 - 7.54 (1H, m), 7.28 (1H, d, *J* 8.0 Hz), 7.24 - 7.20 (1H, m), 7.07 - 7.06 (1H, m), 6.54 - 6.52 (1H, m), 5.26 (2H, br s) and 4.83 (2H, d, *J* 5.0 Hz); Retention time 1.44 min.

Method N

7-(2-Furyl)-3-(2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 24)

A solution of 6-(2-furyl)-5-nitro-N^4-(2-pyridylmethyl)pyrimidine-2,4-diamine (270 mg, 0.864 mmol) and 10 % Pd/C (92 mg, 0.086 mmol) in EtOH (30 mL) and EtOAc (10 mL) was stirred under an hydrogen atmosphere for 1 h, filtered through Celite and concentrated *in vacuo*. The resulting yellow oil was dissolved in in dioxane (25 mL), treated with isoamyl nitrite (0.109 mL, 0.815 mmol), stirred at 100 °C for 6 h, cooled to room temperature, filtered through Celite, concentrated *in vacuo* and triturated with Et_2O to give the *title compound* (110 mg, 46 %) as a yellow solid.

Method O

(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetic acid (Example 26)

A solution of ethyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetate (547 mg, 1.89 mmol) in MeOH (5 mL) was treated with aqueous NaOH (2 mL, 2-M, 4 mmol), refluxed for 10 min, cooled, acidified with aqueous HCl (1-M), filtered and dried to give the *title compound* (417 mg, 85 %) as a white solid.

Method P

(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(3-chlorophenyl)acetamide (Example 31)

A suspension of (5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetic acid (140 mg, 0.5 mmol) in DMF (1 mL) was treated with carbonyl diimidazole (81 mg, 0.5 mmol), stirred at room temperature for 1 h, treated with 3-chloroaniline (53 μL, 0.5 mmol) and the mixture heated to 50 °C for 16 h. The reaction mixture was cooled, diluted with water (3 mL) and filtered to give the *title compound* (94 mg, 48 %) as a cream solid.

Method Q

3-(2-Fluorobenzyl)-7-(2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 34)

A stirred solution of thiazole (0.10 mL, 1.43 mmol) in dry THF (5 mL) at –78 °C, under argon was treated with n-BuLi (0.9 mL, 1.6-M in hexanes, 1.43 mmol), stirred for 30 min, treated with a solution of $ZnCl_2$ (1.8 mL, 1-M in Et_2O, 1.80 mmol) and allowed to warm gradually to room temperature. The mixture was treated with 7-chloro-3-(2-fluorobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (200 mg, 0.714 mmol) and $Pd(PPh_3)_4$ (50 mg), refluxed for 2 h and partitioned between saturated NH_4Cl (20 mL) and EtOAc (20 mL). The organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; iso-hexane : EtOAc (1:1)] to give the *title compound* (70 mg, 30 %) as a cream solid.

Method R

N-(2-Amino-6-(2-furyl)-5-nitropyrimidine-4-yl)-6-chloropyridine-3-carboxamide

A solution of 6-(2-furyl)-5-nitropyrimidine-2,4-diamine (500 mg, 2.26 mmol) in pyridine (10 mL) was treated with 6-chloronicotinoyl chloride (438 mg, 2.49 mmol), stirred for 16 h at 80 °C, cooled to room temperature, poured into water (100 mL) and extracted with EtOAc (2 x 25 mL) and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:2)] to give the *title compound* (430 mg, 82 %) as a yellow solid; NMR δ_H (400 MHz, DMSO) 11.14 (1H, s), 8.86 (1H, d, *J* 2.5 Hz), 8.27 (1H, dd, *J* 8.5, 2.5 Hz), 7.93 (1H, m), 7.77 (2H, br s), 7.65 (1H, d, *J* 7.5 Hz), 7.09 (1H, d, *J* 4.5 Hz), 6.72 - 6.70 (1H, m); Retention time 2.41 min.

Method T

6-Chloro-N-(7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidin-5-yl)pyridine-3-carboxamide (Example 44)

A solution of N-(2-amino-6-(2-furyl)-5-nitropyrimidine-4-yl)-6-chloropyridine-3-carboxamide (153 mg, 0.423 mmol) and 10% Pd/C (82 mg, 42.3 μmol) in EtOH (20 mL) and EtOAc (5 mL) was stirred under an hydrogen atmosphere for 3 h, filtered through Celite and concentrated *in vacuo* to give N-(2,5-diamino-6-(2-furyl)pyrimidin-4-yl)-6-chloropyridine-3-carboxamide as a yellow oil. A solution of this product in EtOH (5 mL) and 2-M HCl (5 mL) at 0 °C was treated dropwise with an ice-cold solution of sodium nitrite (87 mg, 1.27 mmol) in water (2 mL). The mixture was stirred at 0 °C for 1 h, stirred at room temperature for 1 h, neutralised with 5-M NaOH, stirred for 16 h and the resulting solid was filtered to give title compound (40 mg, 28 %) as a brown solid.

Method U

6-Chloro-N^4-(3-nitrobenzyl)pyrimidine-2,4,5-triamine

A mixture of 4,6-dichloropyrimidine-2,5-diamine (700 mg, 3.91 mmol), 3-nitrobenzylamine hydrochloride (885 mg, 4.69 mmol) and triethylamine (1.6 mL, 11.7 mmol) in n-BuOH (20 mL) was refluxed for 17 h, concentrated *in vacuo* and the residue partitioned between EtOAc (10 mL) and H_2O (5 mL). The organic phase was dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* as an orange solid (906 mg, 76 %) which was used in the next reaction without further purification; NMR δ_H (400 MHz, $CDCl_3$) 8.16 (1H, s), 8.10 (1H, d, *J* 8.0 Hz), 7.80 (1H, d, *J* 7.5 Hz), 7.62 (1H, t, *J* 7.5 Hz), 7.25 (1H, t, *J* 6.0 Hz), 5.69 (2H, s), 4.67 (2H, d, *J* 6.0 Hz) and 3.93 (2H, br s).

Method V

3-Chloromethyl-N,N-dimethylbenzamide

A solution of 3-(chloromethyl)benzoyl chloride (426 μL, 3 mmol) and Et_3N (626 μL, 4.5 mmol) in THF (5 mL) was treated with dimethylamine (1.5 mL, 2-M in THF, 3 mmol), stirred at room temperature for 1 h, poured into water, extracted with EtOAc, dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (580 mg, 98 %) as a colourless oil; NMR δ_H (400 MHz, $CDCl_3$) 7.46 – 7.34 (4H, m), 4.59 (2H, s), 3.11 (3H, s) and 2.98 (3H, s); Anal. Calcd for $C_{12}H_{12}N_6O_2 \cdot 0.2\ H_2O$: C, 49.38; H, 4.28, N, 28.79. Found: C, 49.25; H, 4.09; N, 28.47.

Method W

7-(2-Furyl)-3-(2-methoxy-5-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 66)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (606 mg, 3 mmol) in DMF (5 mL) at 0 °C, was treated with $CsCO_3$ (977 mg, 3 mmol), stirred for 1 h, treated with 2-methoxy-5-nitrobenzyl bromide (738 mg, 3 mmol) and stirred at room temperature for 1 h. The reaction mixture was diluted with water (10 mL), filtered and the resulting solid purified by chromatography [SiO_2; EtOAc : Heptane (2:1)] to give the *title compound* (279 mg, 25 %) as a yellow solid.

Method X

3-(2-Fluorobenzyl)-7-(5-oxazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 69)

A stirred solution of oxazole (138 mg, 2.0 mmol) in dry THF (10 mL) at –78 °C, under argon was treated with n-BuLi (1.25 mL, 1.6-M in hexanes, 2.0 mmol), stirred for 30 min, treated with a solution of $ZnCl_2$ (2.0 mL, 1-M in Et_2O, 2.0 mmol)) and allowed to warm gradually to room temperature. The mixture was treated with 7-chloro-3-(2-fluorobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (280 mg, 1.0 mmol) and $Pd(PPh_3)_4$ (100 mg), refluxed for 4 h and partitioned between saturated NH_4Cl solution (10 mL) and EtOAc (10 mL). The organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; iso-hexane : EtOAc (1:1), then neat EtOAc] to give the *title compound* (6 mg, 2 %) as a beige solid.

Method Y

3-(2-Fluorobenzyl)-7-(1*H*-triazol-4-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 80)

A mixture of 7-chloro-3-(2-fluorobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (145 mg, 0.50 mmol), tributyl 1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-triazol-5-ylstannane (336 mg, 0.75 mmol) and $Pd(PPh_3)_2Cl_2$ (35 mg, 0.05 mmol) in DMF (2 mL) was shaken at 80 °C for 17 h then purified directly by chromatography [SiO_2; iso-hexane : EtOAc (2:1)] to give 3-(2-fluorobenzyl)-7-(1-(2-trimethylsilyl)ethoxymethyl)-1*H*-triazol-5-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine as a colourless oil. This material was dissolved in MeOH (1 mL), treated with a solution of HCl (0.5 mL, 4-M in dioxane), stirred for 17 h,

concentrated *in vacuo* and the residue triturated with Et_2O to give the *title compound* (16 mg, 10 %) as an off-white solid.

Method Z

3-(4-Hydroxylamino-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 87)

A solution of 7-(5-methyl-2-furyl)-3-(4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (60 mg, 0.17 mmol) in EtOH (40 mL), MeOH (20 mL) and water (15 mL) was treated with ammonium chloride (280 mg, 5.23 mmol) and zinc (138 mg, 2.05 mmol), stirred for 1 h, filtered through Celite, concentrated *in vacuo* to ~20 mL, diluted with brine (20 mL), extracted with EtOAc (3 x 20 mL) and the combined organic phase dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (40 mg, 73 %) as a yellow solid.

Method AA

3-(3-Aminomethylbenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (example 101)

A stirred solution of the 7-(1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-pyrazol-5-yl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (330 mg, 1 mmol) in dry DMF (5 mL) was treated with NaH (40 mg, 60 % in oil, 1 mmol), stirred for 15 min, treated with *tert*-butyl N-(3-(bromomethyl)benzyl)carbamate (300 mg, 1 mmol) and stirred for 1 h. The mixture was partitioned between EtOAc (20 mL) and H_2O (20 mL), the organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; iso-hexane : EtOAc (1:1)]. The resulting yellow syrup was dissolved in MeOH (3 mL), treated with HCl (2 mL, 4-M in dioxane), stirred for 17 h and the resulting solid filtered to give the *title compound* (258 mg, 80 %) as a cream solid.

Method AB

2-Bromomethyl-6-(methoxymethyl)pyridine

A solution of 6-methoxymethyl-2-pyridinemethanol (860 mg, 5.64 mmol) and triphenylphosphine (1.78 g, 6.77 mmol) in dichloromethane (40 mL) at 0 °C was treated portionwise with CBr_4 (2.80 g, 8.43 mmol), stirred for 1 h, concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:1)] to give the *title compound*

(1.20 g, 99 %) as a colourless oil; NMR δ_H (400 MHz, $CDCl_3$) 7.71 (1H, t, *J* 8.0 Hz), 7.35 (2H, d, *J* 8.0 Hz), 4.58 (2H, s) and 4.54 (2H, s).

The following novel compounds were also synthesised by Method AB from the appropriate alcohol.

2-Bromomethyl-4-methylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 8.43 (1H, d, *J* 5.0 Hz), 7.26 - 7.25 (1H, m), 7.03 (1H, d, *J* 5.0 Hz), 4.51 (2H, s) and 2.36 (3H, s).

2-Bromomethyl-6-ethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.60 (1H, t, *J* 7.5 Hz), 7.26 (1H, d, *J* 7.5 Hz), 7.08 (1H, d, *J* 7.5 Hz), 4.53 (2H, s), 2.82 (2H, q, *J* 7.5 Hz) and 1.30 (3H, t, *J* 7.5 Hz).

4-Bromomethyl-2-ethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 8.51 (1H, d, *J* 5.0 Hz), 7.17 - 7.16 (1H, m), 7.13 - 7.11 (1H, m), 4.37 (2H, s), 2.84 (2H, q, *J* 7.5 Hz) and 1.32 (3H, t, *J* 7.5 Hz).

***tert*-Butyl (4-bromomethyl-3,5-difluorophenyl) carbonate**

NMR δ_H (400 MHz, $CDCl_3$) 6.80 (2H, m), 4.49 (2H, s) and 1.56 (9H, s).

2-Fluoro-5-iodobenzyl bromide

NMR δ_H (400 MHz, DMSO) 7.94 - 7.91 (1H, dd, *J* 2.5, 7.5 Hz), 7.76 - 7.71 (1H, m), 7.12 - 7.06 (1H, dd, *J* 8.5, 10.0 Hz) and 4.66 - 4.64 (2H, s).

2-Allyloxymethyl-6-bromomethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.71 (1H, t, *J* 7.5 Hz), 7.40 (1H, d, *J* 7.5 Hz), 7.34 (1H, d, *J* 7.5 Hz), 6.03 - 5.93 (1H, m), 5.37 - 5.32 (1H, m), 5.26 - 5.22 (1H, m), 4.64 (2H, s), 4.54 (2H, s) and 4.14 - 4.12 (2H, m).

4-Nitro-2-(2-trimethylsilylethoxy)methoxybenzyl bromide

NMR δ_H (400 MHz, $CDCl_3$) 7.99 (1H, d, *J* 2.0 Hz), 7.84 (1H, dd, *J* 8.4, 2.0 Hz), 7.49 (1H, d, J 8.4 Hz), 5.41 (2H, s), 4.55 (2H, s), 3.82 (2H, m), 0.96 (2H, m) and 0.01 (9H, s).

2-Bromomethyl-4,6-diisopropylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.12 (1H, s), 6.93 (1H, s), 4.52 (2H, s), 3.03 (1H, sept, *J* 7.0 Hz), 2.87 (1H, sept, *J* 7.0 Hz), 1.29 (6H, d, *J* 7.0 Hz) and 1.25 (6H, d, *J* 7.0 Hz).

2-Bromomethyl-6-isopropylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.63 - 7.59 (1H, m), 7.27 - 7.25 (1H, m), 7.10 - 7.08 (1H, m), 4.54 (2H, s), 3.06 (1H, sept, *J* 7.0 Hz) and 1.30 (6H, d, *J* 7.0 Hz).

2-Bromomethyl-6-vinylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.66 (1H, t, *J* 7.5 Hz), 7.34 - 7.28 (2H, m), 6.81 (1H, dd, *J* 10.5, 17.5 Hz), 6.22 (1H, dd, *J* 1.0, 17.5 Hz), 5.51 (1H, dd, *J* 1.0, 10.5 Hz) and 4.55 (2H, s).

2-Bromomethyl-5-ethylthiophene

NMR δ_H (400 MHz, DMSO) 6.85 - 6.82 (1H, d, *J* 3.5 Hz), 6.71 - 6.68 (1H, d, *J* 3.5 Hz), 4.59 - 4.55 (2H, s), 2.81 - 2.75 (2H, m) and 1.25 - 1.20 (3H, m).

2-Bromomethyl-6-*n*-propylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.59 (1H, t, *J* 7.5 Hz), 7.26 (1H, d, *J* 7.5 Hz), 7.06 (1H, d, *J* 7.5 Hz), 4.53 (2H, s), 2.76 (2H, t, *J* 7.5 Hz), 1.74 (2H, sext, *J* 7.5 Hz) and 0.97 (3H, t, *J* 7.5 Hz).

2-Bromomethyl-6-isobutyloxymethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.71 (1H, t, *J* 7.5 Hz), 7.41 (1H, d, *J* 7.5 Hz), 7.33 (1H, d, *J* 7.5 Hz), 4.62 (2H, s), 4.53 (2H, s), 3.33 (2H, d, *J* 6.5 Hz), 1.91 - 2.01 (1H, m) and 0.96 (6H, d, *J* 6.5 Hz).

5-Bromomethyl-2-isopropylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 8.54 (1H, m), 7.65 (1H, dd, *J* 2.5, 8.0 Hz), 7.16 (1H, d, *J* 8.0 Hz), 4.47 (2H, s), 3.07 (1H, sept, *J* 7.0 Hz) and 1.30 (6H, d, *J* 7.0 Hz).

2-Bromomethyl-6-isopropyloxymethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.71 - 7.67 (1H, m), 7.42 - 7.40 (1H, m), 7.33 - 7.31 (1H, m), 4.63 (2H, s), 4.53 (2H, s), 3.75 (1H, sept, *J* 6.0 Hz) and 1.25 (6H, d, *J* 6.0 Hz).

Method AC

2-Bromomethyl-4-nitropyridine

A solution of 2-methyl-4-nitropyridine (1.79 g, 13.0 mmol) in CCl_4 (30 mL) was treated with *N*-bromosuccinimide (2.31 g, 13.0 mmol) and benzoyl peroxide (420 mg, 1.30 mmol), stirred at 80 °C for 16 h, cooled to room temperature, filtered through Celite, concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (15:1)] to give the *title compound* (700 mg, 25 %) as a colourless oil; NMR δ_H (400 MHz, $CDCl_3$) 8.89 (1H, d, *J* 5.0 Hz), 8.19 (1H, d, *J* 2.0 Hz), 7.96 (1H, dd, *J* 5.0, 2.0 Hz) and 4.66 (2H, s).

The following novel compounds were also synthesised by bromination of the appropriate arylalkyl compounds using Method AC.

2-Bromomethyl-6-methyl-4-nitropyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.99 - 7.98 (1H, m), 7.79 (1H, d, *J* 2.0 Hz), 4.60 (2H, s) and 2.71 (3H, s).

***tert*-Butyl 7-bromomethylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.57 (1H, d, *J* 4.0 Hz), 7.55 (1H, dd, *J* 8.0, 1.5 Hz), 7.27 (1H, d, *J* 7.5 Hz), 7.19 (1H, t, *J* 7.5 Hz), 6.58 (1H, d, *J* 3.5 Hz), 5.24 (2H, s) and 1.68 (9H, s).

***tert*-Butyl 5-bromomethylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.11 (1H, br d, *J* 8.5 Hz), 6.72 (1H, d, *J* 3.5 Hz), 7.59 (1H, d, *J* 1.5 Hz), 7.35 (1H, dd, *J* 8.5, 1.5 Hz), 6.54 (1H, d, *J* 4.0 Hz), 4.64 (2H, s) and 1.67 (9H, s).

***tert*-Butyl 7-bromomethyl-5-chloroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.58 (1H, d, *J* 3.5 Hz), 7.51 (1H, d, *J* 2.0 Hz), 7.27 (1H, m), 6.52 (1H, d, *J* 3.5 Hz), 5.15 (2H, s), and 1.67 (9H, s).

***tert*-Butyl 7-bromomethyl-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.53 (1H, d, *J* 4.0 Hz), 7.32 (1H, s), 7.09 (1H, s), 6.49 (1H, d, *J* 3.5 Hz), 5.21 (2H, s), 2.41 (3H, s) and 1.66 (9H, s).

***tert*-Butyl 5-bromomethyl-7-fluoroindole-1-carboxylate**

NMR δ_H ($CDCl_3$) 7.65 (1H, d, *J* 4.0 Hz), 7.35 (1H, d, *J* 1.5 Hz), 7.07 (1H, dd, *J* 13.0, 1.5 Hz), 6.56 (1H, dd, *J* 3.5, 1.5 Hz), 4.56 (2H, s) and 1.65 (9H, s).

***tert*-Butyl 5-bromomethyl-4-chloroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.03 (1H, d, *J* 8.5 Hz), 7.63 (1H, d, *J* 4.0 Hz), 7.36 (1H, d, 8.5 Hz), 6.71 (1H, d, *J* 3.5 Hz), 4.75 (2H, s) and 1.67 (9H, s).

***tert*-Butyl 7-bromo-5-bromomethylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.57 (1H, s), 7.56 – 7.52 (2H, m), 6.54 (1H, d, *J* 3.5 Hz), 4.56 (2H, s) and 1.66 (9H, s).

***tert*-Butyl 5-bromomethyl-6-chloroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.23 (1H, br s), 7.60 (1H, s), 7.58 (1H, d, *J* 3.5 Hz), 6.51 (1H, d, *J* 4.5 Hz), 4.71 (2H, s) and 1.67 (9H, s).

***tert*-Butyl 5-bromomethyl-6-fluoroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.88 (1H, br d, *J* 11.0 Hz), 7.57 (1H, d, *J* 4.0 Hz), 7.54 (1H, d, *J* 7.0 Hz), 6.52 (1H, d, *J* 4.5 Hz), 4.64 (2H, s) and 1.67 (9H, s).

***tert*-Butyl 2-bromomethyl-5-fluoroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.12 (1H, dd, *J* 9.0, 4.5 Hz), 7.15 (1H, dd, *J* 8.5, 2.5 Hz), 7.04 (1H, dt, *J* 9.0, 2.5 Hz), 6.66 (1H, s), 4.90 (2H, s) and 1.72 (9H, s).

***tert*-Butyl 5-bromomethyl-7-chloroindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.56 (1H, d, *J* 4.0 Hz), 7.49 (1H, d, *J* 2.0 Hz), 7.37 (1H, s), 6.54 (1H, d, *J* 3.5 Hz), 4.56 (2H, s) and 1.65 (9H, s).

2-(1-Bromopropyl)-5,6-dimethylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.39 (1H, d, *J* 8.0 Hz), 7.18 (1H, d, *J* 8.0 Hz), 4.93 (1H, t, *J* 7.5 Hz), 2.49 (3H, s), 2.27 (3H, s), 2.29 - 2.24 (2H, m) and 1.02 (3H, t, *J* 7.0 Hz); M/Z 228 $(M+H)^+$.

The following novel compound was synthesised by bromination of 2-(1-methoxypropyl)-6-methylpyridine using Method AC.

2-Bromo-1-(6-methylpyridin-2-yl)propanone

NMR δ_H (400 MHz, $CDCl_3$) 7.91 (1H, d, *J* 7.5 Hz), 7.74 (1H, t, *J* 7.5 Hz), 7.35 (1H, d, *J* 7.5 Hz), 6.13 (1H, q, *J* 7.0 Hz), 2.62 (3H, s) and 1.89 (3H, d, *J* 7.0 Hz).

Method AD

***tert*-Butyl 7-methylindole-1-carboxylate**

A stirred solution of 7-methylindole (1.18g, 9 mmol) in dry THF (50 mL) was treated with NaH (360 mg, 60 % in oil, 9 mmol), stirred for 10 min, treated with di-*tert*-butyl dicarbonate (2.3 mL, 9.3 mmol), stirred for 1 h, treated with 4-(N,N-dimethylamino)pyridine (catalytic amount) and stirred for 1 h. The mixture was partitioned between EtOAc (50 mL) and saturated NH_4Cl solution (30 mL) and the organic phase was dried ($MgSO_4$), concentrated *in vacuo*, and purified by chromatography [SiO_2; iso-hexane : EtOAc (5:1)] to give the *title compound* (2.35 g, 100 %) as an orange oil; NMR δ_H (400 MHz, $CDCl_3$) 7.51 (1H, d, *J* 4.0 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.13 (1H, t, *J* 7.5 Hz), 7.08 (1H, d, 7.5 Hz), 6.51 (1H, d, *J* 4.0 Hz), 2.64 (3H, s) and 1.63 (9H, s).

The following novel compounds were synthesised from the appropriate indoles using Method AD.

***tert*-Butyl 5-chloro-7-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.52 (1H, d, *J* 4.0 Hz), 7.35 (1H, d, *J* 2.0 Hz), 7.07 (1H, d, *J* 1.5 Hz), 6.46 (1H, d, *J* 3.5 Hz), 2.61 (3H, s), and 1.63 (9H, s).

***tert*-Butyl 5,7-dimethylindole-1-carboxylate**

NMR δ_H ($CDCl_3$) 7.48 (1H, d, *J* 4.0 Hz) 7.16 (1H, s), 6.92 (1H, s), 6.44 (1H, d, *J* 4.0 Hz), 2.60 (3H, s) 2.38 (3H, s) and 1.62 (9H, s).

***tert*-Butyl 7-fluoro-5-methylindole-1-carboxylate**

NMR δ_H ($CDCl_3$) 7.59 (1H, d, *J* 4.0 Hz), 7.10 (1H, s), 6.84 (1H, d, *J* 13.5 Hz), 6.50 - 6.47 (1H, m), 2.40 (3H, s) and 1.64 (9H, s).

***tert*-Butyl 4-chloro-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.92 (1H, d, *J* 8.0 Hz), 7.57 (1H, d, *J* 3.5 Hz), 7.15 (1H, d, *J* 8.5 Hz), 6.66 (1H, d, *J* 3.5 Hz), 2.46 (3H, s) and 1.66 (9H, s).

***tert*-Butyl 7-bromo-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.47 (1H, d, *J* 3.5 Hz), 7.35 (1H, s), 7.26 (1H, s), 6.44 (1H, d, *J* 4.0 Hz), 2.38 (3H, s) and 1.64 (9H, s).

***tert*-Butyl 6-chloro-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.17 (1H, br s), 7.53 (1H, d, *J* 3.5 Hz), 7.38 (1H, s), 6.46 (1H, d, *J* 3.0 Hz), 2.44 (3H, s), 1.67 (9H, s).

***tert*-Butyl 6-fluoro-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.79 (1H, br d, *J* 10.5 Hz), 7.51 (1H, d, *J* 3.5 Hz), 7.30 (1H, d, *J* 7.5 Hz), 6.46 (1H, d, *J* 3.5 Hz), 2.34 (3H, s) and 1.66 (9H, s).

***tert*-Butyl 5-fluoro-2-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 8.04 (1H, dd, *J* 9.0, 4.5 Hz), 7.07 (1H, dd *J* 9.0, 2.5 Hz), 6.92 (1H, dt, *J* 9.5, 3.0 Hz), 6.27 (1H, s), 2.58 (3H, d, *J* 1.5 Hz) and 1.67 (9H, s).

***tert*-Butyl 7-chloro-5-methylindole-1-carboxylate**

NMR δ_H (400 MHz, $CDCl_3$) 7.50 (1H, d, *J* 3.5 Hz), 7.22 (1H, s), 7.14 (1H, s), 6.46 (1H, d, *J* 4.0 Hz), 2.38 (3H, s) and 1.64 (9H, s).

The following novel compound was synthesised from 2,6-difluoro-4-hydroxybenzyl alcohol using Method AD.

***tert*-Butyl (3,5-difluoro-4-hydroxymethylphenyl) carbonate**

IR ν_{max} (DR)/cm^{-1} 3388, 2983, 1775, 1605, 1446, 1396, 1373, 1289, 1146, 1072, 967 and 882; NMR δ_H (400 MHz, $CDCl_3$) 6.79 (2H, m), 4.75 (2H, d, *J* 6.5 Hz), 1.84 (1H, t, *J* 6.5 Hz) and 1.56 (9H, s).

Method AE

2,6-Difluoro-4-hydroxybenzyl alcohol

A mixture of 3,5-difluorophenol (25 g, 0.19 mol) and KOH (85 %, 12.7 g, 0.19 mol) was treated dropwise with water (50 mL), stirred at 60 °C for 1 h, treated dropwise with formaldehyde solution (37 %, 15.6 mL, 0.19 mol) and water (50 mL) and stirred overnight at 40 °C. The mixture was cooled, acidified with 6-M HCl, filtered and the resulting solid washed with water and dried to give the *title compound* (15 g, 49 %) as a white solid: NMR δ_H (400 MHz, DMSO) 10.28 (1H, s), 6.43 (2H, m), 4.99 (1H, t, *J* 5.6 Hz) and 4.37 (2H, d, *J* 5.6 Hz).

Method AF

7-(2-Furyl)-3-(6-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 152)

A mixture of *tert*-butyl 6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate (135 mg, 4.08 mmol), and NaOMe (22 mg, 4.08 mmol) in MeOH (10 mL) was refluxed for 1 h, treated with NaOMe (110 mg, 20.4 mmol), refluxed for a further 4 h then stirred at room temperature for 17 h. The mixture was concentrated *in vacuo* to half volume and the resulting precipitate was filtered and washed with H_2O to give the *title compound* (90 mg, 96 %) as a cream solid.

Method AG

3-(2,6-Difluoro-4-methoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 156)

A solution of 3-(2,6-difluoro-4-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride (130 mg, 0.34 mmol) in DMF (5 mL) at 0 °C, was treated with $CsCO_3$ (223 mg, 0.68 mmol), stirred for 10 min, treated with methyl iodide (21 μL, 0.34 mmol) and stirred at room temperature for 30 min. The reaction mixture was

diluted with water (10 mL) and filtered to give the *title compound* (122 mg, 100 %) as a white solid.

Method AH

2-Fluoro-5-iodobenzyl alcohol

A solution of 2-fluoro-5-iodobenzaldehyde (1.173 g, 4.692 mmol) in isopropanol (25 mL) was treated with sodium borohydride (0.379 g, 10.02 mmol), stirred at room temperature for 18 h, poured into water (125 mL), and extracted with isopropyl ether (2 x 25 mL). The combined organic phase was dried (Na_2SO_4), and concentrated *in vacuo* to give the *title compound* (1.187 g, 99 %) as a pale yellow solid; NMR δ_H (400 MHz, $CDCl_3$) 7.77 (1H, m), 7.57 (1H, m), 6.82 (1H, t, *J* 8.8 Hz), 4.73 (2H, d, *J* 6.1 Hz), and 1.79 (1H, t, *J* 6.1 Hz).

Method AI

3-(*tert*-Butoxycarbonyloxy)-4-nitrobenzoic acid

A solution of 3-hydroxy-4-nitrobenzoic acid (1.83 g, 10 mmol) in THF (10 mL) was treated with Et_3N (3.4 mL, 24 mmol) and di-*tert*-butyl dicarbonate (2.40 mL, 11 mmol) and stirred at room temperature for 16 h. The reaction mixture was poured into 10% citric acid solution (20 mL), extracted with EtOAc (2 x 10 mL), dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (2.36 g, 83 %) as a cream solid; IR ν_{max} (Nujol)/cm^{-1} 2985, 1772, 1717 and 1592; NMR δ_H (400 MHz, DMSO) 13.85 (1H, s), 8.26 (1H, d, *J* 8.5 Hz), 8.04 (1H, dd, *J* 8.5, 2.0 Hz), 7.98 (1H, d, *J* 2.0 Hz) and 1.49 (9H, s).

Method AJ

***tert*-Butyl (5-bromomethyl-2-nitrophenyl) carbonate**

A solution of 3-(*tert*-butoxycarbonyloxy)-4-nitrobenzoic acid (2.26 g, 8 mmol) and N-methylmorpholine (1.85 mL, 16.8 mmol) in THF (20 mL) at 0 °C, was treated with isobutylchloroformate (1.09 mL, 8.4 mmol) and stirred for 1 h. The reaction mixture was added to a cooled (-78 °C) solution of $NaBH_4$ (605 mg, 16 mmol) in MeOH (16 mL) and stirred at room temperature for 1 h. The reaction mixture was diluted with EtOAc (20 mL), washed with saturated $NaHCO_3$ (10 mL) and 10% citric acid solution (10 mL), dried ($MgSO_4$) and concentrated *in vacuo* to give *tert*-butyl (5-hydroxymethyl-2-nitrophenyl) carbonate (1.36 g, 86 %) as a cream solid. This material was brominated directly using Method AB to give the title compound (961 mg, 58 %) as a yellow oil: NMR δ_H (400

MHz, $CDCl_3$) 8.09 (1H, d, *J* 8.4 Hz), 7.41 (1H, dd, *J* 8.4, 2.0 Hz), 7.34 (1H, d, *J* 2.0 Hz), 4.47 (2H, s) and 1.58 (9H, s).

Method AK

3-(3-Nitrobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride (Example 266)

A soluition of 7-chloro-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (306 mg, 1 mmol), 1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-pyrazol-5-ylboronic acid (2 mmol), $Pd(PPh_3)_4$ (100 mg) and saturated $NaHCO_3$ (5 mL) in THF (20 mL) was refluxed for 2 h, diluted with water (20 mL), extracted with EtOAc(2 x 20 mL), dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; CH_2Cl_2 : EtOAc (6:1)] to give 3-(3-nitrobenzyl)-7-(1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-pyrazol-5-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (156 mg, 34 %) as a pale yellow syrup.

A solution of 3-(3-nitrobenzyl)-7-(1-(2-(trimethylsilyl)ethoxymethyl)-1*H*-pyrazol-5-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (156 mg, 0.34 mmol) in MeOH (2 mL) was treated with 4-M HCl in dioxane (4 mL) stirred at room temperature for 2 h, concentrated *in vacuo,* triturated with Et_2O and filtered to give the *title compound* (110 mg, 97 %) as a yellow solid.

Method AL

3-(6-Acetamidomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 177)

A suspension of 7-(2-furyl)-3-(6-phthalimidomethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (210 mg, 0.465 mmol) in EtOH (50 mL) was treated with ethylenediamine (62 µl, 0.929 mmol), stirred for 3 h at 90 °C and the resulting clear solution, cooled to room temperature and concentrated *in vacuo* to give 3-(6-aminomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine.

A solution of this material in pyridine (10 mL) at 0 °C was treated with acetyl chloride (109 ml, 1.53 mmol), stirred for 10 min, poured into water (70 mL), extracted with EtOAc (3 x 20 mL) and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo*

and purified by chromatography [SiO_2; EtOAc] to give the *title compound* (110 mg, 65 %) as a beige solid.

Method AM

3-(6-Allyloxymethyl-2-pyridylmethyl)- N,N-diallyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 182)

A solution of 7-(2-furyl)-3-(6-hydroxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (120 mg, 0.377 mmol) in DMF (5 mL) at 0 °C was treated with sodium hydride (30 mg, 0.743 mmol), stirred for 15 min, treated with allyl bromide (96 µl, 1.11 mmol), stirred at room temperature for 16 h, concentrated *in vacuo* to ~2 mL and purified by chromatography [SiO_2; isohexane:EtOAc (3:1)] to give the *title compound* (50 mg, 30 %) as a yellow solid.

Method AN

6-Allyloxymethyl-2-pyridinemethanol

A solution of 2,6-pyridinedimethanol (5.0 g, 35.9 mmol) in DMF (30 mL) at 0 °C was treated with sodium hydride (1.44 g, 35.9 mmol), stirred for 30 min, treated with allyl bromide (3.42 ml, 39.5 mmol), stirred for 16 h at room temperature, poured into water (150 mL), extracted with EtOAc (3 x 30 mL) and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:1 to 1:1)] to give the *title compound* (1.56 g, 24 %) as a colourless oil: NMR δ_H (400 MHz, $CDCl_3$) 7.69 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.13 (1H, d, *J* 7.5 Hz), 6.04 – 5.93 (1H, m), 5.38 – 5.21 (2H, m), 4.74 (2H, d, *J* 5.0 Hz), 4.65 (2H, s), 4.15 – 4.09 (2H, m) and 3.76 (1H, t, *J* 5.0 Hz).

The following novel compounds were synthesised from the appropriate alcohols by Method AN

2-(1-Methoxypropyl)-6-methylpyridine

NMR δ_H (400 MHz, $CDCl_3$) 7.58 (1H, t, *J* 8.0 Hz), 7.18 (1H, d, *J* 8.0 Hz), 7.04 (1H, d, *J* 8.0 Hz), 4.17 (1H, dd, *J* 5.5, 7.5 Hz), 3.30 (3H, s), 2.55 (3H, s), 1.84 - 1.69 (2H, m) and 0.93 (3H, t, *J* 7.5 Hz).

6-Isobutyloxymethylpyridine-2-methanol

NMR δ_H (400 MHz, $CDCl_3$) 7.69 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.13 (1H, d, *J* 7.5 Hz), 4.74 (2H, s), 4.63 (2H, s), 3.94 (1H, br s), 3.33 (2H, d, *J* 6.5 Hz), 1.91 - 2.01 (1H, m) and 0.96 (6H, d, *J* 6.5 Hz).

6-Isopropyloxymethylpyridine-2-methanol

NMR δ_H (400 MHz, $CDCl_3$) 7.70 - 7.66 (1H, m), 7.39 (1H, d, *J* 7.5 Hz), 7.11 (1H, d, *J* 8.0 Hz), 4.74 (2H, s), 4.64 (2H, s), 3.75 (1H, sept, *J* 6.0 Hz) and 1.25 (6H, d, *J* 6.0 Hz).

Method AO

3-Isopropyl-4-nitrobenzyl bromide

A solution of 4-nitrobenzyl bromide (432 mg, 2 mmol) in THF (5 mL) at –70 °C, was treated dropwise with isopropylmagnesium chloride (1 mL, 2-M in Et_2O, 2 mmol), stirred for 1 h, treated with DDQ (499 mg, 2.2 mmol) and stirred at room temperature for 16 h. The reaction mixture was poured into water (10 mL), extracted with EtOAc (2 x 10 mL), dried ($MgSO_4$), concentrated *in vacuo* and filtered. The resulting solid was purified by chromatography [SiO_2; EtOAc : Heptane (1:4)] to give the *title compound* (183 mg, 35 %) as a pale yellow solid which was used in the next reaction without further purification.

The following novel compound was also synthesised from 4-nitrobenzyl bromide using Method AO

3-Ethyl-4-nitrobenzyl bromide

NMR δ_H (400 MHz, $CDCl_3$) 7.87 (1H, d, *J* 8.3 Hz), 7.37 (1H, s), 7.35 (1H, d, *J* 8.3 Hz), 4.47 (2H, s), 2.92 (2H, q, *J* 7.5 Hz) and 1.30 (3H, t, *J* 7.5 Hz).

Method AP

2-(Trimethylsilyl)ethoxymethyl 4-nitro-2-((2-trimethylsilyl)ethoxymethoxy)benzoic acid

A solution of 2-hydroxy-4-nitrobenzoic acid (1.83 g, 10 mmol) in THF (20 mL), was treated with N,N-diisopropylethylamine (3.92 mL, 22 mmol) and 2-(trimethylsilyl)ethoxymethyl chloride (3.46 mL, 20 mmol) and stirred for 16 h then purified directly by chromatography [SiO_2: EtOAc : heptane (1:4)] to give the *title*

compound (5.82 mg, quantitative) as white solid which was used in the next reaction without further purification; NMR δ_H (400 MHz, $CDCl_3$) 8.09 – 8.07 (1H, m), 7.87 – 7.85 (2H, m), 5.50 (2H, s), 5.35 (2H, s), 3.78 (4H, t, *J* 8.5 Hz), 1.00 – 0.88 (4H, m), 0.00 (9H, s) and 0.03 (9H, s).

Method AQ

2-(2-Trimethylsilyl)ethoxymethoxy-4-nitrobenzyl alcohol

A solution of 2-(trimethylsilyl)ethoxymethyl 4-nitro-2-(2-(trimethylsilyl)ethoxymethoxy)benzoic acid (2.91 mg, 5 mmol) in Et_2O (10 mL) at 0 °C, was treated with $LiAlH_4$ (190 mg, 22 mmol) and stirred for 30 min. The reaction mixture was poured into water (20 mL), extracted with EtOAc (2 x 10 mL), dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (1.35 g, 91 %) as a slightly impure colourless oil; NMR δ_H (400 MHz, $CDCl_3$) 7.96 – 7.99 (1H, m), 7.90 (1H, dd, *J* 8.5, 2.0 Hz), 7.55 (1H, d, *J* 8.5 Hz), 5.35 (2H, s), 4.78 (2H, s), 3.80 – 3.74 (2H, m), 0.99 – 0.94 (2H, m) and 0.00 (9H, s).

Method AR

4,6-Diisopropyl-2-pyridinemethanol

A solution of 2-pyridinemethanol (5.00 g, 45.8 mmol), conc. sulfuric acid (2.44 ml, 45.8 mmol), iron(II) sulfate heptahydrate (1.53 g, 5.50 mmol) and isopropyl iodide (13.7 ml, 137 mmol) in DMSO (150 mL) was treated dropwise with hydrogen peroxide (27.5wt% in H_2O, 17.0 mL, 137 mmol), with ice-bath cooling to maintain the internal temperature at 25-30 °C. A further portion of iron(II) sulfate heptahydrate (1.53 g, 5.50 mmol) was added, the mixture was allowed to cool to room temperature over 1 h, poured into water (500 mL), basified to pH 9 with 5-M NaOH, extracted with dichloromethane (3 x 100 mL) and the combined organic phase was dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (3:2)] to give 4,6-diisopropyl-2-pyridinemethanol (500 mg, 6 %) as a colourless oil; NMR δ_H (400 MHz, $CDCl_3$) 6.90 (1H, s), 6.85 (1H, s), 4.69 (2H, br s), 4.35 (1H, br s), 3.03 (1H, sept, *J* 7.0 Hz), 2.87 (1H, sept, *J* 7.0 Hz), 1.30 (6H, d, *J* 7.0 Hz) and 1.25 (6H, d, *J* 7.0 Hz), and 6-isopropyl-2-pyridinemethanol (900 mg, 13 %) as a colourless oil.

The following novel compound was also synthesised from 2-pyridinemethanol by Method AR.

6-*n*-Propyl-2-pyridinemethanol

NMR δ_H (400 MHz, $CDCl_3$) 7.58 (1H, t, *J* 7.5 Hz), 7.03 (2H, t, *J* 7.5 Hz), 4.72 (2H, s), 4.15 (1H, br s), 2.77 (2H, t, *J* 7.5 Hz), 1.77 (2H, sept, *J* 7.5 Hz) and 0.97 (3H, t, *J* 7.5 Hz).

Method AS

***tert*-Butyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-carboxylate (Example 203)**

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (300 mg, 1.49 mmol) in DMF (5 mL), at 0 °C, was treated with 60% sodium hydride in mineral oil (60 mg, 1.49 mmol), stirred for 30 minutes, treated with tert-butyl 4-(bromomethyl)phenylcarbonate (471 mg, 1.64 mmol), stirred at room temperature for 16 h, and purified by chromatography [SiO_2; EtOAc: heptane, (1:2)] to give the *title compound* (55 mg, 12 %) as a beige solid.

Method AT

2-(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-phenylethanone (Example 211)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (101 mg, 0.5 mmol) in DMF (2 mL) was treated with 2-bromoacetophenone (100 mg, 0.5 mmol) and triethylamine (105 µL, 0.75 mmol), stirred at room temperature for 3 days, diluted with water (100 mL) and filtered. The resulting solid was purified by chromatography [SiO_2; Hexane: EtOAc, (3:1 to 1:1)] to give the *title compound* (20 mg, 13 %) as a yellow solid.

Method AU

7-(2-Furyl)-3-(6-hydroxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride (Example 213)

A solution of 6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)pyridine-2-carboxaldehyde (62 mg, 0.193 mmol) in MeOH (20 mL) was treated with acetic acid (5 mL), dimethylamine (2-M in MeOH, 1.93 mL, 3.86 mmol) and sodium cyanoborohydride (242 mg, 3.86 mmol), stirred for 16 h and concentrated *in vacuo*. The

residue was treated with saturated $NaHCO_3$ solution (20 mL), extracted with EtOAc (3 x 10 mL) and the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2; EtOAc] to give the free base as a yellow solid. The solid was suspended in MeOH (1 mL), treated with HCl (4-M in dioxane, 0.25 mL), stirred for 10 min, concentrated *in vacuo* and triturated with Et_2O to give the *title compound* (22 mg, 29 %) as a yellow solid.

Method AV

3-(6-Cyanomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 220)

A solution of 3-(6-bromomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (200 mg, 0.517 mmol) and sodium cyanide (51 mg, 1.03 mmol) in DMF (5 mL) was stirred at 60 °C for 16 h, poured into water (40 mL), extracted with EtOAc (3 x 8 mL), the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [SiO_2;isohexane:EtOAc (1:1)] to give *title compound* (50 mg, 26 %) as a yellow solid.

Method AW

3-(4-Hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 221)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (400 mg, 1.98 mmol) in DMF (3 mL), at 0 °C, was treated with 60% sodium hydride in mineral oil (80 mg, 1.98 mmol), stirred for 30 min, treated with 4-(2-(trimethylsilyl)ethoxymethoxy)benzyl bromide (1.23 g, 3.96 mmol), stirred at room temperature for 48 h and purified by chromatography [SiO_2; EtOAc: heptane, (1:2)]. The resulting yellow solid was dissolved in MeOH:DMF (1:2), passed through an ion exchange cartridge (Isolute SPE SCX-2), concentrated *in vacuo*, and washed with water and ether to give the *title compound* (41 mg, 7 %) as a pale yellow solid.

Method AX

N-(3-(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)propanesulphonamide (Example 224)

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (153 mg, 0.5 mmol) in pyridine (2 mL) at 0 °C was treated with propanesulfonyl chloride (62 µL, 0.55 mmol) and shaken at room temperature for 16 h. The mixture was poured into water (50 mL), extracted with EtOAc (2 x 10 mL), washed with 10 % citric acid (10 mL) and the combined organic phase dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (111 mg, 54 %) as a cream solid.

Method AY

7-(2-Furyl)-3-(6-(N-methylamino)methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 226)

A solution of *N*-methyl-2,2,2-trifluoroacetamide (197 mg, 1.55 mmol) in DMF (5 mL) at 0 °C was treated with sodium hydride (60 % dispersion in mineral oil; 62 mg, 1.55 mmol), stirred for 15 min, treated with 3-(6-bromomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (120 mg, 0.310 mmol), stirred at 50 °C for 1 h, cooled to room temperature, poured into water (20 mL), extracted with EtOAc (3 x 10 mL) and the combined organic phase dried ($MgSO_4$) and concentrated *in vacuo* to give N-(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl)-N-methyltrifluoroacetamide. A solution of this product in MeOH (20 mL) was treated with a solution of sodium (71 mg, 3.10 mmol) in MeOH (10 mL), stirred for 16 h, concentrated *in vacuo*, treated with EtOAc (20 mL), filtered through Celite and concentrated *in vacuo*. The resulting solid was suspended in MeOH (2 mL), treated with HCl (4-M in dioxane, 1.0 mL), stirred for 10 min, concentrated *in vacuo* and triturated with Et_2O to give the *title compound* (80 mg, 58 %) as a yellow solid.

Method AZ

3-(1*H*-Benzotriazol-5-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 158)

A mixture of 5-methyl-1*H*-benzotriazole (666 mg, 5 mmol) in THF (20 mL) was treated with NaH (60 % dispersion, 200 mg, 5 mmol), stirred at room temperature for 10 min, treated with di-*tert*-butyl dicarbonate (115 mg, 5 mmol) and stirred overnight. The mixture was treated with saturated $NaHCO_3$ solution (10 mL), extracted with EtOAc (2 x 10 mL), dried ($MgSO_4$), filtered through a plug of SiO_2 and concentrated *in vacuo* to give *tert*-butyl

5-methylbenzotriazole-1-carboxylate (as a mixture with the 6-methyl regioisomer) (1.08 g, 92 %) as a colourless oil.

A solution of *tert*-butyl 5-methyl-1*H*-benzotriazole-1-carboxylate (as a mixture with the 6-methyl regioisomer) (1.08 g, 4.63 mmol), benzoyl peroxide (112 mg, 0.46 mmol) and N-bromosuccinnimide (0.76 g, 4.63 mmol) in CCl_4 (25 mL) was refluxed overnight, cooled, filtered, concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane : EtOAc (10:1)] to give *tert*-butyl 5-(bromomethyl)-1*H*-benzotriazole-1-carboxylate (666 mg, 46 %) (as a mixture with the 6-bromomethyl regioisomer) as a colourless oil.

A solution of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (404 mg, 2 mmol) in DMF (4 mL) was treated with NaH (60 % dispersion, 80 mg, 2 mmol), stirred at room temperature for 10 min, treated with a solution of *tert*-butyl 5-(bromomethyl)-1*H*-benzotriazole-1-carboxylate (as a mixture with the 6-bromomethyl regioisomer) (624 mg, 2 mmol) in DMF (2 mL) and stirred overnight. The mixture was concentrated *in vacuo* and purified by chromatography [SiO_2, isohexane : EtOAc (2:1)] to give *tert*-butyl 5-(5-amino-7-(2-furyl)-3*H*-triazolo[4,5-d]pyrimidin-3-yl)methyl-1*H*-benzotriazol-1-carboxylate (135 mg, 24 %) (as a mixture with the 6-substituted regioisomer) as a white solid.

A solution of *tert*-butyl 5-(5-amino-7-(2-furyl)-3*H*-triazolo[4,5-d]pyrimidin-3-yl)methyl-1*H*-benzotriazol-1-carboxylate (as a mixture with the 6-substituted regioisomer) (135 mg, 0.31 mmol) in MeOH (5 mL) and THF (5 mL) was treated with 40 % aqueous dimethylamine (0.176 mL, 1.56 mmol), refluxed for 25 min, concentrated *in vacuo* and the resulting solid triturated with ether, filtered, triturated with MeOH, filtered and dried to give the *title compound* (31 mg, 30 %) as a yellow solid.

Method BA

Ethyl 4-((5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-yl)methyl)-2-methylphenylcarbamate (Example 274)

A suspension of 3-(4-amino-3-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (260 mg, 0.812 mmol) in pyridine (5 mL) at room temperature was treated dropwise with ethyl chloroformate (0.155 mL, 1.62 mmol), stirred for 30 min, poured into water (30 mL), extracted with EtOAc (2 x 10 mL) and the combined organic phase was dried ($MgSO_4$) and concentrated *in vacuo* to give the *title compound* (318 mg, 100 %) as a beige solid.

Method BB

N-(4-(5-Amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-methylphenyl)formamide (Example 244)

A mixture of ethyl 4-((5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-yl)methyl)-2-methylphenylcarbamate (318 mg, 0.81 mmol) and $LiAlH_4$ (62 mg, 1.62 mmol) in dry THF (30 mL) was refluxed overnight, cooled to room temperature, treated with 13 % aqueous NaOH solution (0.1 mL) then water (0.3 mL), stirred for 30 min, filtered through Celite and concentrated *in vacuo*. The resulting solid was triturated with THF and filtered to give the *title compound* (20 mg, 7 %) as a yellow solid.

Method BC

7-Fluoro-5-methylindole

A solution of chloral hydrate (7.3 g, 44 mmol), sodium sulphate decahydrate (52 g, 160 mmol) and H_2O (100 mL) was added slowly to a stirred solution of 2-fluoro-4-methylaniline (5.0g, 40 mmol), hydroxylamine hydrochloride (11.1 g, 160 mmol) and conc. HCl (3 mL) in H_2O (50 mL). The reaction mixture was refluxed for 1 h, stirred at room temperature for 5 h, filtered and the resulting solid crystallised from MeOH / H_2O to yield brown crystals (1.53 g). This material was added in small portions with stirring to conc. sulphuric acid (20 mL) at 70 °C, stirred for 1 h, then added slowly with rapid stirring to ice / H_2O (200 mL), extracted twice with EtOAc (2 x 25 mL) and the combined organic phase dried ($MgSO_4$) and concentrated *in vacuo* to give 7-fluoro-5-methylisatin (1.68 g, 24 %) as a dark red gum.

A solution of 7-fluoro-5-methylisatin (1.68 g, 9.43 mmol) in dry THF (50 mL) was added slowly to an ice cold, stirred suspension of $LiAlH_4$ (1.18 g, 31 mmol) in dry THF (50 mL), refluxed for 2 h, cooled to room temperature then treated sequentially with H_2O (1.2 mL), 15 % NaOH (1.2 mL) and H_2O (3 mL). The solution was filtered through a pad of Celite, washing the filter cake thoroughly with THF, the deep blue filtrate was concentrated *in vacuo* and purified by chromatography [SiO_2; iso-Hexane:EtOAc (9:1)] to give the *title compound* (480 mg, 41 %) as a pale blue oil; NMR δ_H ($CDCl_3$) 8.19 (1H, br s), 7.21 - 7.16 (2H, m), 6.74 (1H, d, *J* 12.0 Hz), 6.51 - 6.46 (1H, m) and 2.42 (3H, s).

Method BE

3-(7-Fluoro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 246)

A stirred suspension of 7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (303 mg, 1.5 mmol) in DMF (2 mL) was treated with NaH (60 % dispersion in oil, 60 mg, 1.5 mmol), stirred for 10 min, treated slowly with a solution of *tert*-butyl 5-bromomethyl-7-fluoroindole-1-carboxylate (460 mg, 1.5 mmol) in DMF (1 mL), stirred for 2 h then the mixture was purified directly by chromatography [SiO_2; iso-hexane:EtOAc (2:1)] to give the BOC protected product (180 mg, 0.417 mmol) as a pale green solid. This material was dissolved in MeOH (5 mL), treated with sodium methoxide (113 mg, 2 mmol), refluxed for 4 h, cooled to room temperature, diluted with H_2O and filtered to give the *title compound* (118 mg, 81 %) as a cream solid.

Method BF

3-(3-(4-Fluorobenzylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (Example 252)

A suspension of 3-(3-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (200 mg, 0.65 mmol) and 4A molecular sieves in THF (10 mL) was treated with 4-fluorobenzaldehyde (0.04 mL, 0.37 mmol), heated to 40 °C for 3 h, cooled to room temperature, treated sodium triacetoxyborohydride (400 mg, 1.89 mmol) and acetic acid (0.1 mL) and stirred for 15 minutes. The reaction was quenched by addition of sat. $NaHCO_3$ (5 mL), extracted with EtOAc (2 x 5 mL) and the combined organic phase dried ($MgSO_4$), concentrated *in vacuo* and purified by chromatography [(SiO_2; EtOAc : Heptane (1:2)] to give the *title compound* (60 mg, 44 %) as a white solid.

Method BG

2-(2-Methoxyethyl)-6-(triphenylmethoxy)methylpyridine

A stirred solution of (methoxymethyl)triphenylphosphonium chloride (2.79 g, 8.13 mmol) in THF (50 mL) at 0 °C was treated dropwise with n-BuLi (1.6-M in hexanes, 5.08 mL, 8.13 mmol), stirred for 1 h, treated with a solution of 6-(triphenylmethoxy)methylpyridine-2-carboxaldehyde (1.54 g, 4.06 mmol) in THF (15 mL) and allowed to warm to room temperature overnight. The reaction was treated with saturated NH_4Cl solution (5 mL),

diluted with water (50 mL), extracted with EtOAc (2 x 50 mL) and the combined organic phase diluted with iso-hexane (50 mL), dried ($MgSO_4$), filtered through silica and concentrated *in vacuo* to give 2-(2-methoxyethenyl)-6-(triphenylmethoxy)methylpyridine (1.58 g) as a yellow oil. A solution of this crude alkene and 10% Pd/C (216 mg, 0.203 mmol) in EtOAc (50 mL) was stirred under a hydrogen atmosphere for 16 h, filtered through Celite and concentrated *in vacuo* to give the *title compound* (1.08 g, 65 %) as a yellow oil; NMR δ_H (400 MHz, $CDCl_3$) 7.67 - 7.64 (1H, m), 7.52 - 7.49 (6H, m), 7.32 - 7.21 (10H, m), 7.09 - 7.07 (1H, m), 4.34 (2H, s), 3.69 (2H, t, *J* 6.5 Hz), 3.32 (3H, s) and 2.98 (2H, t, *J* 6.5 Hz); M/Z 410 $(M+H)^+$.

Method BH

2-Bromomethyl-6-(2-methoxyethyl)pyridine

A solution of 2-(2-methoxyethyl)-6-(triphenylmethoxy)methylpyridine (1.08 g, 2.64 mmol) in 4-M HCl in dioxan (10 mL, 40.0 mmol) was stirred for 4 h and concentrated *in vacuo*. The residue was partitioned between dichloromethane (15 mL) and saturated $NaHCO_3$ solution (15 mL), the aqueous phase was extracted with dichloromethane (10 mL) and the combined organic phase was dried ($MgSO_4$) and concentrated *in vacuo* to give 6-(2-methoxyethyl)pyridine-2-methanol. A solution of this product in dichloromethane (40 mL) at 0 °C was treated with triphenylphosphine (830 mg, 3.16 mmol) followed portionwise by carbon tetrabromide (1.31 g, 3.96 mmol), stirred for 1 h, concentrated *in vacuo* and purified by chromatography [SiO_2; isohexane:EtOAc (4:1)] to give the *title compound* (303 mg, 50 %) as a yellow oil; NMR δ_H (400 MHz, $CDCl_3$) 7.60 (1H, t, *J* 7.5 Hz), 7.28 (1H, d, *J* 7.5 Hz), 7.13 (1H, d, *J* 7.5 Hz), 4.53 (2H, s), 3.76 (2H, t, *J* 6.5 Hz), 3.35 (3H, s) and 3.05 (2H, t, *J* 6.5 Hz).

Experimental data for Examples 1 – 274 are provided in Table 2.

HPLC is carried out using the following conditions: Column. Waters Xterra RP 18 (50 x 4.6 mm); Particle size 5 μM; Mobile phase MeOH : 10 mM aq NH_4OAc (pH 7 buffer); Gradient 50:50 isocratic for 1 min. then linear gradient 50:50 to 80:20 over 5 min. then 80:20 isocratic for 3 min.; Flow rate 2.0 mL/min.; Detection wavelength λ = 230 nM. Retention times are provided in Table 2.

Table 2

Example	Method	Yield(%)	Physical Data
1	A	65	IR ν_{max} (Nujol)/cm^{-1} 3403, 3329, 3134, 2925, 1656, 1634, 1582, 1565, 1463 and 1377; NMR δ_H (400 MHz, DMSO) 6.83 - 6.87 (1H, m), 7.12 (2H, s), 7.89 (1H, d, *J* 3.1 Hz), 8.09- 8.10 (1H, m), 15.52 (1H, s); M/Z 203 $(M+H)^+$.
2	B	11	IR ν_{max} (Nujol)/cm^{-1} 2924, 2854, 1612, 1587, 1526, 1489, 1456, 1372, 1221 and 753; NMR δ_H (400 MHz, DMSO) 4.98 (2H, s), 5.14 (2H, s), 5.71 (2H, s), 6.85 – 6.87 (1H, m), 7.00 – 7.14 (3H, m), 7.14 – 7.46 (9H, m), 7.89 (1H, d, *J* 3.5 Hz), 8.16 (1H, d< *J* 1.0 Hz); Anal. Calcd for $C_{29}H_{21}F_3N_6O \cdot 0.25\ H_2O$: C, 65.59; H, 4.08; N, 15.83. Found: C, 65.46; H, 4.03; N, 15.76.
3	B	22	IR ν_{max} (Nujol)/cm^{-1} 3480, 3312, 3195, 3118, 2925, 2854, 1652, 1609, 1581, 1487, 1456, 1436, 1027 and 759; NMR δ_H (400 MHz, DMSO) 5.60 (2H, s), 6.84 – 6.86 (1H, m), 7.15 – 7.29 (3H, m), 7.32 – 7.43 (3H, m), 7.89 (1H, d, *J* 2.9 Hz), 8.12 (1H, s).
4	B	9	mp 221.0 – 221.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3470, 3310, 3191, 3144, 2924, 2854, 1642, 1610, 1521, 1463 and 1354; NMR δ_H (400 MHz, DMSO) 5.85 (2H, s), 6.87 (1H, s), 7.37 (2H, s), 7.63 – 7.73 (2H, m), 7.91 (1H, d, *J* 2.8 Hz), 8.13 (1H, s), 8.18 (1H, s), 8.20 (1H, s). Anal. Calcd for $C_{15}H_{11}N_7O_3$: C, 50.57; H, 3.11; N, 27.52. Found: C, 50.99; H, 3.23; N, 27.21.
5	C	92	mp 259.8 – 259.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3452, 3367, 3318, 3185, 3142, 2922, 1651, 1602, 1514, 1463 and 1377; NMR δ_H (400 MHz, DMSO) 5.09 (2H, s), 5.49 (2H, s), 6.35 (1H, s), 6.41 (1H, d, *J* 7.5 Hz), 6.45 (1H, d, *J* 8.0 Hz), 6.85 – 6.86 (1H, m), 6.96 (1H, t, *J* 8.0 Hz), 7.30 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.11 (1H, s). Anal. Calcd for $C_{15}H_{13}N_7O$: C, 56.63; H, 4.50; N, 30.82. Found: C, 56.82; H, 4.25; N, 30.57.
6	B	21	IR ν_{max} (Nujol)/cm^{-1} 3405, 3328, 3211, 3155, 2925, 2854, 1719, 1603, 1577, 1463, 1023 and 731; NMR δ_H (400 MHz, DMSO) 3.84 (3H, s), 5.76 (2H, s), 6.85 – 6.87 (1H, m), 7.33 – 7.38 (2H, s), 7.50 – 7.59 (2H, m), 7.89 – 7.92 (3H, s), 8.12 – 8.13 (1H, m); Anal. Calcd for $C_{17}H_{14}N_6O_3 \cdot 0.25\ H_2O$: C, 57.54; H, 4.12; N, 23.68. Found: C, 57.42; H, 3.75; N, 23.37.

7	B	27	IR ν_{max} (Nujol)/cm^{-1} 3506, 3309, 3189, 3131, 2925, 2854, 1635, 1606, 1580, 1502, 1417, 1204, 1025 and 762; NMR δ_H (400 MHz, DMSO) 3.70 (6H, s), 5.58 (2H, s), 6.44 (3H, s), 6.84 – 6.87 (1H, m), 7.34 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.11 – 8.12 (1H, m); Anal. Calcd for $C_{17}H_{216}N_6O_3 \cdot 0.5\ H_2O$: C, 56.50; H, 4.74; N, 23.26. Found: C, 56.44; H, 4.56; N, 22.98.
8	B	21	IR ν_{max} (Nujol)/cm^{-1} 3488, 3314, 3146, 2922, 2853, 1667, 1608, 1583, 1463 and 1378; NMR δ_H (400 MHz, DMSO) 5.79 (2H, s), 6.84 – 6.87 (1H, m), 7.01 (1H, d, *J* 4.0 Hz), 7.05 (1H, d, *J* 4.0 Hz), 7.38 (2H, s), 7.89 (1H, d, *J* 3.5 Hz), 8.11 – 8.13 (1H, m).
9	D	14	IR ν_{max} (Nujol)/cm^{-1} 3458, 3299, 3174, 3111, 2923, 1625, 1605, 1463 and 1377; NMR δ_H (400 MHz, DMSO) 3.61 (3H, s), 5.58 (2H, s), 6.84 – 6.94 (3H, m), 7.06 – 7.11 (1H, d, *J* 8.5 Hz), 7.19 (1H, t, *J* 8.0 Hz), 7.34 (2H, s), 7.64 – 7.67 (2H, m), 7.91 (1H, d, *J* 3.0 Hz), 8.12 (1H, s), 10.21 (1H, s); Anal. Calcd for $C_{29}H_{21}F_3N_6O \cdot 0.25\ H_2O$: C, 65.59; H, 4.08; N, 15.83. Found: C, 65.46; H, 4.03; N, 15.76.
10	E	18	IR ν_{max} (Nujol)/cm^{-1} 3404, 3313, 3202, 3122, 2923, 2854, 1724, 1639, 1609, 1557, 1456, 1407 and 1379; NMR δ_H (400 MHz, DMSO) 4.60 (2H, d, *J* 6.0 Hz), 6.86 – 6.89 (1H, m), 7.25 – 7.32 (1H, m), 7.33 – 7.44 (4H, m), 7.67 (2H, s), 7.91 (1H, d, *J* 3.5 Hz), 8.14 – 8.16 (1H, m), 9.25 (1H, t, *J* 6.0 Hz).
11	B	40	IR ν_{max} (Nujol)/cm^{-1} 3327, 3207, 2924, 2854, 1650, 1602, 1583, 1566, 1513 and 1487; NMR δ_H (400 MHz, DMSO) 3.72 (3H, s), 5.63 (2H, s), 6.80 (1H, d, *J* 7.5 Hz), 6.85 – 6.89 (3H, m), 7.26 (1H, t, *J* 7.5 Hz), 7.33 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.11 (1H, s); Anal. Calcd for $C_{16}H_{14}N_6O_2 \cdot 0.25\ H_2O$: C, 58.80; H, 4.47; N, 25.71. Found: C, 58.90; H, 4.40; N, 25.75.
12	B	21	IR ν_{max} (Nujol)/cm^{-1} 3374, 3311, 3202, 1636, 1606, 1586, 1530, 1511, 1465, 1439, 1377 and 1343; NMR δ_H (400 MHz, DMSO) 6.03 (2H, s), 6.86 – 6.89 (1H, m), 6.98 (1H, d, *J* 7.5 Hz), 7.36 (2H, s), 7.60 – 7.73 (2H, m), 7.92 (1H, d, *J* 3.5 Hz), 8.14 (1H, s), 8.2 (1H, d, *J* 8.0 Hz); Anal. Calcd for $C_{15}H_{11}N_7O_3 \cdot 0.35\ H_2O$: C, 52.43; H, 3.43; N, 28.54. Found: C, 52.51; H, 3.33; N, 28.21.
13	C	67	IR ν_{max} (Nujol)/cm^{-1} 3489, 3313, 3191, 1638, 1603, 1505, 1460 and 1378; NMR δ_H (400 MHz, DMSO) 5.27 (2H, s), 5.47 (2H,s), 6.50 (1H, t, *J* 7.5 Hz), 6.67 – 6.78 (2H, m), 6.86 (1H, s), 7.01 (1H, t, *J* 7.0 Hz), 7.36 (2H, s), 7.90 (1H, d, *J* 3.0 Hz), 8.12 (1H, s).

14	F	35	IR ν_{max} (Nujol)/cm^{-1} 3447, 3327, 3205, 2922, 2853, 1725, 1652, 1611 and 1458; NMR δ_H (400 MHz, DMSO) 8.13 (1H, d, *J* 1.0 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.38 (2H, s), 6.87 – 6.85 (1H, m), 5.40 (2H, s), 4.18 (2H, q, *J* 7.0 Hz) and 1.21 (3H, t, *J* 7.0 Hz); Anal. Calcd for $C_{12}H_{12}N_6O_2 + 0.2\ H_2O$: C, 49.38; H, 4.28, N, 28.79. Found: C, 49.25; H, 4.09; N, 28.47.
15	B	15	IR ν_{max} (Nujol)/cm^{-1} 3490, 3307, 3189, 2230, 1959, 1728, 1642, 1611, 1583, 1565, 1463, 1377, 1283, 1234, 1030 and 761; NMR δ_H (400 MHz, DMSO) 5.75 (2H, s), 6.82 – 6.89 (1H, m), 7.35 (2H, s), 7.57 – 7.59 (2H, m), 7.79 – 7.81 (2H, m), 7.91 (1H, d, *J* 3.5Hz), 8.12 (1H, s).
16	B	6	IR ν_{max} (Nujol)/cm^{-1} 3309, 3184, 2726, 1639, 1608, 1585, 1456, 1377, 1026, 1002, 953 and 750; NMR δ_H (400 MHz, DMSO) 2.22 (2H, quin, *J* 7.0Hz), 2.67 (2H, t, *J* 7.0Hz), 4.45 (2H, t, *J* 7.0Hz), 6.83 – 6.88 (1H, m), 7.23-7.35 (3H, m), 7.66 (1H, dt, *J* 8.0, 2.0Hz), 7.89 (1H, dd, *J* 3.5, 1.0Hz), 8.10 – 8.13 (1H, m); Anal. calcd for $C_{16}H_{15}N_7O \cdot 0.6\ H_2O$: C, 57.86; H, 4.92; N, 29.52. Found: C, 57.58; H, 4.53; N, 29.66.
17	B	7	IR ν_{max} (Nujol)/cm^{-1} 3379, 3336, 3208, 1655, 1604, 1513, 1456, 1325, 11687, 1124, 1025 and 755; NMR δ_H (400 MHz, DMSO) 5.79 (2H, s), 6.83 – 6.88 (1H, m), 7.36 (2H, s), 7.53 (1H, d, *J* 7.5 Hz), 7.60 (1H, t, *J* 7.5Hz), 7.67 – 7.76 (2H, m), 7.91 (1H, d, *J* 3.5Hz), 8.13 (1H, s); Anal. Calcd. for $C_{16}H_{11}F_3N_6O$: C, 53.34; H, 3.08; N, 23.31. Found: C, 53.38; H, 3.18; N, 23.15.
18	G	100	IR ν_{max} (Nujol)/cm^{-1} 3451, 3206, 2361, 2261, 1655, 1604, 1459, 1378, 1195, 1028 and 774; NMR δ_H (400 MHz, DMSO) 5.57 (2H, s), 6.57 – 6.63 (1H, m), 6.65 – 6.74 (2H, m), 6.83 – 6.88 (1H, m), 7.14 (1H, t, *J* 7.5 Hz), 7.91 (1H, d, *J* 3.0 Hz), 8.12 (1H, d, *J* 1.0 Hz).
19	J	77	mp 291.8 – 292.0 °C; IR ν_{max} (DR)/cm^{-1} 3436, 3178, 1651, 1615, 1398, 1226, 1029 and 977; NMR δ_H (400 MHz, DMSO) 15.5 - 15.3 (1H, br s), 7.84 (1H, d, *J* 3.5 Hz), 7.07 (2H, br s), 6.48 (1H, dd, *J* 3.5, *J* 1.0 Hz), 2.44 (3H, s).
20	B	47	mp 213.5. – 213.7 °C; IR ν_{max} (DR)/cm^{-1} 3300, 3218, 3098, 2957, 2927, 2744, 2368, 1645, 1602, 1570, 1537, 1508, 1490, 1438, 1328 and 1233; NMR δ_H (400 MHz, DMSO) 7.86 (1H, d, *J* 3.0 Hz), 7.43 – 736 (1H, m), 7.31 (2H, br s), 7.28 – 7.15 (3H, m), 6.50 (1H, dd, *J* 1.0, *J* 3.5 Hz), 5.68 (2H, s) and 2.45 (3H, s).
21	K	99	IR ν_{max} (DR)/cm^{-1} 3151, 2360, 1654, 1182, 998, 824, 681, and 572; NMR δ_H (400 MHz, DMSO) 10.28 (1H, d, *J* 2.0 Hz) and 9.73 (1H, d, *J* 2.5 Hz)

22	A	8	IR ν_{max} (DR)/cm^{-1} 3479, 3289, 3169, 1597, 1502, 1226, 1119, 999, 880 and 757; NMR δ_H (400 MHz, DMSO) 9.43 (1H, s), 9.25 (1H, s), 7.48 - 7.34 (3H, m) 7.30 - 7.22 (2H, m), 7.21 - 7.15 (1H, m) and 5.72 (2H, s).
23	B	20	mp 187.3 – 187.7 ° C; IR ν_{max} (DR)/cm^{-1} 3993, 3489, 3319, 3197, 2951, 2725, 2353, 1954, 1719, 1633, 1604, 1503, 1420, 1232, 1032 and 740; NMR δ_H (400 MHz, DMSO) 2.27 (3H, s) 5.62 (2H, s), 6.82 – 6.88 (1H, m), 7.02 – 7.16 (3H, m), 7.24 (1H, t, *J* 7.5 Hz), 7.33 (2H, s), 7.90 (1H, d, *J* 3.5 Hz) 8.12 (1H, s).
24	N	46	mp 196.9 – 197.1 °C; IR ν_{max} (DR)/cm^{-1} 3448, 3321, 3200, 1649, 1616, 1509, 1488; NMR δ_H (400 MHz, DMSO) 8.49 - 8.47 (1H, m), 8.12 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.81 - 7.77 (1H, m), 7.34 - 7.30 (1H, m), 7.27 (2H, br s), 7.24 (1H, d, *J* 8.0 Hz), 6.86 - 6.85 (1H, m), 5.77 (2H,s).
25	N	50	IR ν_{max} (DR)/cm^{-1} 3326, 3211, 2956, 2856, 1641, 1612, 1507, 1491; NMR δ_H (400 MHz, $CDCl_3$) 8.77 - 8.76 (1H, m), 8.58 - 8.56 (1H, m), 8.08 (1H, d, *J* 3.5 Hz), 7.78 (1H, m), 7.75 - 7.72 (1H, m), 7.29 - 7.25 (1H, m), 6.71 - 6.69 (1H, m), 5.68 (2H, s), 5.37 (2H, br s); Anal. Calcd for $C_{14}H_{11}N_7O \cdot 0.2\ H_2O \cdot 0.4\ C_4H_8O_2$: C, 56.41; H, 4.43, N, 29.52. Found: C, 56.10; H, 4.33; N, 29.52.
26	O	85	mp 291.0 – 291.1 °C; IR ν_{max} (Nujol)/cm^{-1} 3401, 3317, 3205, 2995, 1714, 1646, 1615, 1587, 1483 and 1247; NMR δ_H (400 MHz, DMSO) 13.58 – 13.31 (1H, s), 8.12 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.36 (2H, s), 6.87 – 6.86 (1H, m) and 5.29 (2H, s); Anal. Calcd for $C_{10}H_8N_6O_3 \cdot 0.6\ H_2O$: C, 44.32; H, 3.42, N, 31.01. Found: C, 44.26; H, 3.07; N, 30.74.
27	B	19	mp 209.9 – 210.1 ° C; IR ν_{max} (DR)/cm^{-1} 3504, 3312, 3201, 2948, 1611, 1503, 1435, 1279, 1220, 1025 and 755; NMR δ_H (400 MHz, DMSO) 5.69 (2H, s), 6.82 – 6.87 (1H, m), 7.19 – 7.25 (1H, m), 7.33 (2H, s), 7.37 – 7.40 (3H, m), 7.90 (1H, d, *J* 3.5 Hz), 8.10 – 8.13 (1H, m). Anal. Calcd for $C_{15}H_{11}N_6OCl \cdot 0.2\ H_2O$: C, 54.54; H, 3.48; N, 25.44. Found: C, 54.69; H, 3.33; N, 25.09.
28	K	40	IR ν_{max} (DR)/cm^{-1} 3282, 2852, 1630, 1368, 1120, 871and 618; NMR δ_H (400 MHz, DMSO) 7.94 (1H, d, *J* 2.5 Hz), 7.45 - 7.36 (2H, m), 7.29 - 7.22 (2H, m), 7.21 - 7.16 (1H, m) and 5.71 (2H, s).
29	B	8	IR ν_{max} (DR)/cm^{-1} 3999, 3483, 3438, 3310, 3207, 2950, 2732, 2452, 1846, 1657, 1486, 1312, 1030 and 754; NMR δ_H (400 MHz, DMSO) 4.02 (3H, s), 6.81 – 6.88 (1H, m), 7.25 (2H, s), 7.88 (1H, d, *J* 3.5 Hz), 8.09 – 8.11 (1H, m).

30	P	39	IR ν_{max} (Nujol)/cm^{-1} 3500 – 3200, 2946, 2835, 1700 and 1523; NMR δ_H (400 MHz, DMSO) 8.11 (1H, s), 7.89 (1H, d, *J* 3.5 Hz), 7.69 (1H, s), 7.34 (1H, s), 7.26 (2H, s), 6.87 – 6.84 (1H, m) and 5.08 (2H, s).
31	P	48	IR ν_{max} (Nujol)/cm^{-1} 3457, 3313, 1666, 1617, 1523 and 1442; NMR δ_H (400 MHz, DMSO) 10.68 (1H, s), 8.12 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.75 (1H, s), 7.45 (1H, d, *J* 8.0 Hz), 7.37 (1H, t, *J* 8.0 Hz), 7.30 (2H, s), 7.15 (1H, d, *J* 8.0 Hz), 6.88 – 6.84 (1H, m and 5.39 (2H, s); Anal. Calcd for $C_{16}H_{12}N_7O_2Cl \cdot 0.8\ H_2O$: C, 50.02; H, 3.57, N, 25.27. Found: C, 50.15; H, 3.48; N, 25.12.
32	N	76	mp 191.4 – 192.0 °C; IR ν_{max} (DR)/cm^{-1} 3511, 3306, 3194, 2955, 1638, 1476; NMR δ_H (400 MHz, DMSO) 8.12 - 8.11 (1H, m), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 7.66 (1H, dd, *J* 8.0, 7.0 Hz), 7.27 (2H, br s), 6.87 - 6.85 (1H, m), 6.73 - 6.70 (2H, m), 5.69 (2H, s), 3.68 (3H, s); Anal. Calcd for $C_{15}H_{13}N_7O_2 \cdot 0.2\ C_4H_8O_2$: C, 55.66; H, 4.32, N, 28.76. Found: C, 55.88; H, 4.17; N, 28.59.
33	B	11	mp 204.1 – 204.2 ° C; IR ν_{max} (DR)/cm^{-1} 3490, 3321, 3200, 2923, 2711, 2490, 1749, 1605, 1502, 1376, 1272, 1034 and 761; NMR δ_H (400 MHz, DMSO) 5.83 (2H, s), 6.83 – 6.86 (1H, m), 7.01 (1H, dd, *J* 5.0, 3.5 Hz), 7.16 (1H, dd, *J* 3.5, 1.0 Hz), 7.34 (2H, s), 7.48 (1H, dd, *J* 5.0, 1.5 Hz), 7.89 (1H, d, *J* 3.5 Hz), 8.09 – 8.12 (1H, m).
34	Q	30	mp 225 - 230 °C; IR ν_{max} (DR)/cm^{-1} 3520, 3344, 1734, 1611, 1438, 1240, 996, 833 and 761; NMR δ_H (400 MHz, DMSO) 8.26 (1H, d, *J* 3.0 Hz), 8.15 (1H, d, *J* 3.0 Hz), 7.50 - 7.44 (2H, br s), 7.42 - 7.36 (1H, m), 7.29 - 7.21 (1H. m), 7.21 - 7.15 (1H, m) and 5.73 (2H, s).
35	H	51	mp 174.0 - 174.2 °C; IR ν_{max} (DR)/cm^{-1} 3473, 3317, 3188, 2740, 1736, 1648, 1243, 1004 and 752; NMR δ_H (400 MHz, DMSO) 8.68 (1H, dd, *J* 4.0, 1.5 Hz), 7.99 (1H, dd, *J* 5.0, 1.0 Hz), 7.43 - 7.35 (2H, m), 7.31 - 7.16 (5H, m) and 5.71 (2H, s).
36	C	50	mp 231.7 – 234.0 °C; IR ν_{max} (DR)/cm^{-1} 3498, 3404, 3309, 2931, 1607, 1539, 1498, 1317, 1101 and 1027; NMR δ_H (400 MHz, DMSO) 7.86 (1H, dd, *J* 0.5, 3.5Hz), 7.24 (2H, br s,), 6.96 (1H, t, *J* 7.8 Hz), 6.50 (1H, dd, *J* 1.0, 3.5Hz), 6.48 – 6.43 (1H, m),6.43 - 6.38 (1H, m), 6.36 (1H, t, *J* 1.7 Hz), 5.46 (2H, s), 5.07 (2H, br s) and 2.43 (3H, s).
37	N	60	mp 200.8 – 218.9 °C; NMR δ_H (400 MHz, DMSO) 8.12 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.64 (1H, t, *J* 7.5 Hz), 7.29 (2H, br s), 7.18 (1H, d, *J* 7.5 Hz), 6.90 (1H, d, *J* 7.5 Hz), 6.86 - 6.85 (1H, m), 5.70 (2H, s) and 2.42 (3H,s).

38	Q	35	mp 242.0 - 242.1 °C; IR ν_{max} (DR)/cm^{-1} 3513, 3294, 1570, 1234, 999 and 755; NMR δ_H (400 MHz, DMSO) 7.96 (1H, s), 7.46 - 7.34 (3H, m), 7.30 - 7:13 (3H, m), 5.72 (2H, s) and 2.60 (3H, s).
39	B	26	IR ν_{max} (Nujol)/cm^{-1} 3464, 3340, 3189, 2966, 2748, 1692, 1643 and 1605; NMR δ_H (400 MHz, DMSO) 8.11 – 8.09 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.33 – 7.24 (4H, m), 7.19 – 7.12 (2H, m), 7.09 (1H, s), 6.86 – 6.84 (1H, m), 5.64 (2H, s), 4.07 (2H, d, *J* 6.0 Hz) and 1.33 (9H, s).
40	B	12	IR ν_{max} (Nujol)/cm^{-1} 3474, 3323, 3184, 3006, 2971, 2941, 2837, 1648, 1606 and 1496; NMR δ_H (400 MHz, DMSO) 8.13 – 8.10 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.32 (2H, s), 6.98 (1H, d, *J* 9.0 Hz), 6.89 – 6.84 (2H, m), 6.48 (1H, d, *J* 3.0 Hz), 5.57 (2H, s), 3.76 (3H, s) and 3.62 (3H, s).
41	B	32	mp 213.8 –213.9 ° C; IR ν_{max} (DR)/cm^{-1} 3996, 3654, 3507, 3320, 2930, 2562, 2621, 1944, 1837, 1676, 1428, 1230, 1095, 1026 and 797; NMR δ_H (400 MHz, DMSO) 5.65 (2H, s), 6.81 – 6.86 (1H, m), 7.16 (2H, t, *J* 8.5 Hz), 7.31 (2H, s), 7.44 – 7.56 (1H, m), 7.86 (1H, dd, *J* 3.5, 1.0 Hz), 8.07 – 8.13 (1H, m). Anal. Calcd for $C_{15}H_{10}N_6OF_2$: C, 54.88; H, 3.07; N, 25.59. Found: C, 54.57; H, 3.05; N, 25.23.
42	Q	29	mp 265.7 - 26.2 °C; IR ν_{max} (DR)/cm^{-1} 3491, 3370, 3120, 1614, 1232, 972, 753 and 514; NMR δ_H (400 MHz, DMSO) 7.72 (1H, s), 7.51 - 7.43 (2H, s), 7.42 - 7.35 (1H, m), 7.30 - 7.14 (3H, m), 5.73 (2H, s) and 2.55 (3H, s).
43	H	65	mp 281.1 – 280.2 °C;IR ν_{max} (DR)/cm^{-1} 3466, 3326, 1641, 1503, 1379, 1240, 1056, and 825; NMR δ_H (400 MHz, DMSO) 15.5 (1H, br s), 8.6 (1H, dd, *J* 1.0, 4.0 Hz), 7.96 (1H, dd, *J* 1.0, 5.0 Hz), 7.36 (1H, dd, *J* 4.0, 5.0 Hz) and 7.0 (2H, br s).
44	S/T	28	IR ν_{max} (DR)/cm^{-1} 3255, 1686, 1590, 1458; NMR δ_H (400 MHz, DMSO) 11.24 (1H, s), 8.95 - 8.94 (1H, m), 8.37 - 8.35 (1H, m), 8.08 - 8.07 (1H, m), 7.96 - 7.95 (1H, m), 7.68 (1H, d, *J* 8.0 Hz), 6.85 - 6.84 (1H, m).
45	A	59	mp 190.4 - 190.8 °C; IR ν_{max} (DR)/cm^{-1} 3322, 3162, 1665, 1576, 1351, 1119, 1000, 809 and 604; NMR δ_H (400 MHz, DMSO) 9.44 (1H, s), 9.26 (1H, s), 8.24 - 8.16 (2H, m), 7.95 (1H, s), 7.74 - 7.63 (2H, m), 7.45 (2H, br s) and 5.87 (2H, s).
46	K	99	IR ν_{max} (Nujol)/cm^{-1} 2967, 1651 and 1463; NMR δ_H (400 MHz, DMSO) 8.35 – 8.24 (3H, s), 8.14 – 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.47 – 7.39 (2H, m), 7.36 – 7.31 (2H, s), 6.88 – 6.84 (1H, m), 5.67 (2H, s) and 3.98 (2H, q, *J* 5.5 Hz); Anal. Calcd for $C_{16}H_{15}N_7O \cdot 2HCl \cdot 0.9\ H_2O$: C, 46.82; H, 4.62, N, 23.89. Found:

			C, 47.10; H, 4.40; N, 23.84.
47	B	26	IR ν_{max} (Nujol)/cm^{-1} 3375, 3194, 2929, 2753, 1732, 1657, 1515, 1400 and 1334; NMR δ_H (400 MHz, DMSO) 8.14 – 8.11 (1H, m), 7.90 (1H, d, *J* 3.0 Hz), 7.45 – 7.29 (6H, m), 6.88 – 6.84 (1H, m), 5.71 (2H, s), 2.95 (3H, s) and 2.85 (3H, s); Anal. Calcd for $C_{18}H_{17}N_7O_2 \cdot 0.5\ H_2O$: C, 58.06; H, 4.87, N, 26.33. Found: C, 58.16; H, 4.65; N, 26.06.
48	C	49	mp 265.9 – 266.0 °C; IR ν_{max} (DR)/cm^{-1} 3448, 3363, 3316, 3189, 1645, 1597, 1511, 1440 and 1103; NMR δ_H (400 MHz, DMSO) 8.69 (1H, dd, *J* 1.2, 3.7 Hz), 7.95 (1H, dd, *J* 1.2, 5.0 Hz), 7.38 (1H, dd, *J* 3.9, 5.0 Hz), 7.26 (2H, br s), 6.97 (1H, t, *J* 7.7 Hz), 6.48 - 6.45 (1H, m), 6.44 – 6.40 (1H, m), 6.36 (1H, t, *J* 1.7 Hz), 5.5 (2H, s) and 5.11 (2H,br s).
49	B	8	IR ν_{max} (Nujol)/cm^{-1} 3488, 3319, 2952, 1641, 1503 and 1420; NMR δ_H (400 MHz, DMSO) 8.49 – 8.42 (1H, m), 8.14 (1H, d, *J* 1.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 7.78 – 7.71 (2H, m), 7.48 – 7.32 (4H, m), 6.88 – 6.85 (1H, m), 5.72 (2H, s) and 2.75 (3H, d, *J* 4.5 Hz).
50	C	60	mp 228.2 - 228.3 °C; IR ν_{max} (DR)/cm^{-1} 3441, 3318, 3197, 1738, 1648, 1515, 1122, 1006, 888 and 747; NMR δ_H (400 MHz, DMSO) 9.45 (1H, s), 9.27 (1H, s), 7.44 (2H, br s), 6.97 (1H, t, *J* 8.0 Hz), 6.49 - 6.39 (2H, m), 6.35 (1H, s), 5.52 (2H, s), and 5.13 (2H, s).
51	N	70	mp 182.9 – 183.1 °C; IR ν_{max} (DR)/cm^{-1} 3488, 3311, 3199, 2943, 1611, 1504; NMR δ_H (400 MHz, DMSO) 8.10 (1H, d, *J* 3.5 Hz), 7.78 (1H, m), 7.01 (1H, t, *J* 9.0 Hz), 6.79 (1H, dt, *J* 9.0, 3.5 Hz), 6.75 - 6.73 (1H, m), 6.71 - 6.70 (1H, m), 5.70 (2H, s), 5.38 (2H, br s), 3.71 (3H, s); Anal. Calcd for $C_{16}H_{13}N_6O_2F \cdot 0.1\ H_2O$: C, 56.17; H, 3.89, N, 24.56. Found: C, 56.27; H, 3.85; N, 24.22.
52	P	70	mp 263.8 – 264.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3305, 3192, 1705, 1635 and 1442; NMR δ_H (400 MHz, DMSO) 11.04 (1H, s), 8.37 (1H, d, *J* 4.0 Hz), 8.15 – 8.11 (1H, m), 7.96 (1H, d, *J* 7.0 Hz), 7.91 (1H, d, *J* 3.0 Hz), 7.79 (1H, dt, *J* 7.5, 2.0 Hz), 7.32 (2H, s), 7.18 – 7.11 (1H, m), 6.88 – 6.85 (1H, m) and 5.46 (2H, s).
53	P	77	mp 256.1 – 256.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3454, 3311, 2993, 1664, 1488 and 1439; NMR δ_H (400 MHz, DMSO) 8.86 (1H, t, *J* 6.0 Hz), 8.50 (1H, d, *J* 4.5 Hz), 8.12 (1H, s), 7.90 (1H, d, *J* 3.5 Hz), 7.79 (1H, dt, *J* 7.5, 1.5 Hz), 7.41 – 7.25 (4H, m), 6.88 – 6.84 (1H, m) and 5.23 (2H, s), 4.41 (2H, d, *J* 6.0 Hz); Anal. Calcd for $C_{16}H_{14}N_8O_2 \cdot 0.25\ H_2O$: C, 54.16; H, 4.12, N, 31.58. Found: C, 54.01; H, 4.03; N,

			31.44.
54	P	61	mp 292.2 – 292.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3433, 3323, 2975, 2941, 1673 and 1446; NMR δ_H (400 MHz, DMSO) 10.50 (1H, s), 8.13 (1H, s), 7.92 (1H, d, *J* 3.0 Hz), 7.57 (2H, d, *J* 7.5 Hz), 7.37 – 7.27 (4H, m), 7.08 (1H, t, *J* 7.5 Hz), 6.89 – 6.84 (1H, m) and 5.38 (2H, s).
55	B	18	mp 264.5 – 264.8 ° C; IR ν_{max} (DR)/cm^{-1} 4007, 3489, 3308, 3190, 1649, 1552, 1433, 1349, 1227, 1082, 1030 and 729; NMR δ_H (400 MHz, DMSO) 5.99 (2H, s), 6.84 – 6.89 (1H, m), 7.39 (2H, s), 7.91 (1H, d, *J* 3.5 Hz), 8.11 – 8.15 (1H, m), 8.59 (2H, d, *J* 2.0 Hz), 8.78 (1H, t, *J* 2.0 Hz).
56	B	30	IR ν_{max} (DR)/cm^{-1} 3508, 3300, 3181, 1611, 1572, 1504, 1420, 1352, 1225 and 1030; NMR δ_H (400 MHz, DMSO) 8.22 – 8.16 (2H, m), 7.86 (1H, d, *J* 3.2 Hz), 7.63 – 7.72 (2H, m), 7.35 (2H, br s), 6.50 (1H, dd, *J* 1.0, 3.5 Hz), 5.82 (2H, s) and 2.45 (3H, s).
57	B		mp 188.8 – 188.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3492, 3302, 3189, 2951, 1635 and 1505; NMR δ_H (400 MHz, DMSO) 8.14 – 8.10 (1H, m), 7.90 (1H, d, *J* 3.0 Hz), 7.47 – 7.32 (3H, m), 7.20 (1H, q, *J* 7.0 Hz), 7.05 (1H, t, *J* 7.0 Hz), 6.88 – 6.83 (1H, m) and 5.75 (2H, s).
58	B	15	mp 207.0 – 207.4 °C; IR ν_{max} (Nujol)/cm^{-1} 3496, 3229, 3201, 3057, 2965, 2743, 1785 and 1615; NMR δ_H (400 MHz, DMSO) 8.13 – 8.10 (1H, m), 7.89 (1H, d, *J* 3.5 Hz), 7.40 – 7.27 (4H, m), 7.08 (1H, dt, *J* 8.5, 3.0 Hz), 6.87 – 6.84 (1H, m) and 5.66 (2H, s).
59	B	30	mp 187.9 – 188.7 °C IRν_{max} (DR)/cm^{-1} 3338, 3202, 1659,1607, 1567, 1523, 1457, 1424, 1321, 1204 and 1025; NMR δ_H (400 MHz, DMSO) 7.88 (1H, d, *J* 3.5 Hz),7.65 (1H, t, *J* 7.5 Hz), 7.29 (2H, br s), 7.18 (1H, d, *J* 7.5 Hz), 6.89 (1H, d, *J* 8.0 Hz), 6.51 (1H, d, *J* 3.0 Hz), 5.69 (2H, s), 2.46 (3H, s) and 2.42 (3H, s); Anal. Calcd for $C_{16}H_{15}N_7O \cdot 0.2\ H_2O$: C, 59.14; H, 4.78, N, 30.17. Found: C, 59.37; H, 4.66; N, 29.86.
60	B	33	mp 209.7 – 209.8 °C; IR ν_{max} (DR)/cm^{-1} 3404, 3330, 3226, 3109, 2961, 2926, 2742, 1637, 1601, 1508, and 1474; NMR δ_H (400 MHz, DMSO) 7.83 (1H, dd, *J* 0.5, 3.2 Hz), 7.54 - 7.46 (1H, m), 7.3 (2H, br s), 7.16 (2H, t, *J* 8.2 Hz), 6.49 (1H, dd, *J* 1.0, 3.5 Hz), 5.63 (2H,s) and 2.44 (3H, s).

61	B	20	mp 193.8–194.1 °C; IR ν_{max} (DR)/cm^{-1} 3336, 3218, 2980, 2753, 2432, 1734, 1654, 1611, 1438, 1381, 1331 and 1224; NMR δ_H (400 MHz, DMSO) 7.86 (1H, d, *J* 3.0 Hz), 7.49 (1H, dd, *J* 1.5, 5.0 Hz), 7.3 (2H, br s), 7.16 (1H, dd, *J* 1.0, 3.5 Hz), 7.0 (1H,dd , *J* 3.5, 5.0 Hz), 6.50 (1H, dd, *J* 1.0, 3.5 Hz), 5.82 (2H, s) and 2.45 (3H, s).
62	B	40	mp 210.4 – 210.5 °C; IR ν_{max} (DR)/cm^{-1} 3511, 3300, 3179, 2940, 2740, 2688, 1986, 1832, 1734, 1634, 1500 and 1436; NMR δ_H (400 MHz, DMSO) 7.87 (1H, d, *J* 3.5 Hz), 7.40 – 7.37 (3H, m), 7.30 (2H, br s), 7.23 – 7.18 (1H, m), 6.51 (1H,dd, *J* 1.0, 5.1 Hz), 5.68 (2H, s) and 2.45 (3H, s).
63	N	41	mp 201.1 – 201.2 °C; IR ν_{max} (DR)/cm^{-1} 3453, 3317, 3195, 1638, 1599, 1510, 1434; NMR δ_H (400 MHz, DMSO) 8.28 (1H, d, *J* 6.0 Hz), 8.12 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.29 (2H, br s), 6.91 (1H, dd, *J* 6.0, 2.5 Hz), 6.87 - 6.85 (2H, m), 5.71 (2H, s), 3.81 (3H, s).
64	B	9	mp 218.0 – 218.1 ° C; IR ν_{max} (DR)/cm^{-1} 3999, 3376, 3209, 2916, 2747, 2326, 1957, 1782, 1610, 1515, 1278, 1023 and 763; NMR δ_H (400 MHz, DMSO) 2.42 (3H, s), 5.64 (2H, s), 6.86 (1H, s), 6.91 (1H, d, *J* 7.5 Hz), 7.13 (1H, t, *J* 7.0 Hz), 7.17 – 7.26 (2H, m), 7.32 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.12 (1H, s).
65	B	25	mp 208.1 – 208.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3347, 3199, 2981, 2932, 2764, 2719, 1660 and 1612; NMR δ_H (400 MHz, DMSO) 8.14 – 8.11 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.41 – 7.22 (4H, m), 7.15 – 7.09 (1H, m), 6.87 – 6.83 (1H, m) and 5.69 (2H, s); Anal. Calcd for $C_{15}H_{10}F_2N_6O \cdot 0.5\ H_2O$: C, 53.42; H, 3.29, N, 24.92. Found: C, 53.72; H, 3.06; N, 24.77.
66	W	25	mp 243.4 – 243.9 °C; IR ν_{max} (Nujol)/cm^{-1} 4008, 3483, 3316, 3196, 1734, 1599 and 1505; NMR δ_H (400 MHz, DMSO) 8.32 (1H, dd, *J* 9.0, 3.0 Hz), 8.21 (1H, d, *J* 3.0 Hz), 8.12 – 8.10 (1H, m), 7.68 (1H, d, *J* 3.5 Hz), 7.31 (1H, d, *J* 9.0 Hz), 7.00 (2H, s), 6.85 – 6.82 (1H, m), 5.94 (2H, s) and 3.93 (3H, s); Anal. Calcd for $C_{16}H_{13}N_7O_4$: C, 52.32; H, 3.57, N, 26.68. Found: C, 52.16; H, 3.56; N, 26.67.
67	B	32	mp 252.9 – 253.0 °C; IR ν_{max} (DR)/cm^{-1} 3511, 33260, 2945, 1732, 1626, 1573, 1499, 1422, 1327 and 1222; NMR δ_H (400 MHz, DMSO) 8.4 (1H, d, *J* 4.5 Hz), 7.87 (1H, d, *J* 3.0 Hz), 7.77 – 7.71(2H, m) 7.47 – 7.38 (2H, m), 7.3 (2H, br s), 6.50 (1H, dd, *J* 1.0, 3.5 Hz), 5.70 (2H, s) , 2.75 (3H, d, *J* 4.5 Hz)and 2.45 (3H, s).
68	R	58	mp 228.1 – 229.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3508, 3263, 2990, 2946, 2837, 1646 and 1419; NMR δ_H (400 MHz, DMSO) 8.29 (1H, t, *J* 6.0 Hz), 8.14 – 8.09 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.33 (2H, s), 7.29 (1H, t, *J* 7.5 Hz), 7.21 – 7.09 (3H,

			m), 6.88 – 6.83 (1H, m), 5.64 (2H, s), 4.20 (1H, d, *J* 6.0 Hz) and 1.83 (3H, s); Anal. Calcd for $C_{18}H_{17}N_7O_2 \cdot 0.25\ H_2O$: C, 58.77; H, 4.79, N, 26.65. Found: C, 58.86; H, 4.54; N, 26.24.
69	X	2	NMR δ_H (400 MHz, DMSO) 8.53 (1H, s), 7.69 (1H, s), 7.58 (2H, br s), 7.45 - 7.36 (1H, m), 7.29 - 7.22 (2H, m), 7.21 - 7.15 (1H, m) and 5.73 (2H, s); Retention time 1.14 min.
70	N	39	NMR δ_H (400 MHz, DMSO) 8.45 (1H, d, *J* 5.0, 1.0 Hz), 8.13 - 8.12 (1H, m), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 7.51 - 7.48 (2H, m), 7.31 (2H, br s), 6.87 - 6.85 (1H, m), 5.80 (2H, s); Retention time 1.75 min.
71	N	65	mp 228.7 – 228.9 °C; IR ν_{max} (DR)/cm^{-1} 3408, 3326, 3210, 1648, 1614, 1511; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 8.02 - 7.96 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.33 (2H, br s), 7.20 (1H, dd, *J* 7.5, 2.0 Hz), 7.12 (1H, dd, *J* 8.0, 2.0 Hz), 6.87 (1H, dd, *J* 3.5, 1.0 Hz), 5.75 (2H, s); Anal. Calcd for $C_{14}H_{11}N_7OF \cdot 0.2\ H_2O \cdot 0.1\ C_4H_{10}O_2$: C, 53.66; H, 3.57, N, 30.42. Found: C, 53.68; H, 3.44; N, 30.24.
72	B	28	mp 195.4 – 196.5 °C; IR ν_{max} (DR)/cm^{-1} 3328, 3210, 2956, 2836, 2740, 1736, 1648, 1608, 1438, 1322 and 1250; NMR δ_H (400 MHz, DMSO) 7.87 (1H, d, *J* 3.5 Hz), 7.33 – 7.25 (3H, m), 7.05 (1H, d, *J* 7.5 Hz), 6.88 (1H, td, *J* 1.0, 7.5 Hz), 6.87 (1H, dd, *J* 2.0, 7.5 Hz), 6.50 (1H, dd, *J* 1.0, 3.5 Hz),5.58 (2H, s), 3.83 (3H, s) and 2.45 (3H, s).
73	B	28	mp 178.7 – 179.3 °C; IR ν_{max} (DR)/cm^{-1} 3468, 3346, 3172, 2988, 2747, 2130, 1943, 1696, 1610, 1418, 1330,and 1177; NMR δ_H (400 MHz, DMSO) 7.86 (1H, d, *J* 3.01 Hz), 7.34 – 7.26 (4H, m), 7.20 – 7.10 (2H, m) 7.09 (1H,s), 6.50 (1H, dd, *J* 1.0, 3.5 Hz), 5.63 (2H, s), 4.2(2H, d, *J* 6.0 Hz), 2.45 (2H, s) and 1.34 (9H, s).
74	C	40	mp 214.6 – 215.2 °C; IR ν_{max} (DR)/cm^{-1}2877, 1653, 1596, 1523, 1470, 1355, 1284, 1241, 1210 and 1109; NMR δ_H (400 MHz, DMSO) 7.87 (1H, d, *J* 3.0 Hz), 7.16 (1H, t, *J* 7.0 Hz), 7.0 – 6.75 (3H, m), 6.51 (1H, d , *J* 3.0 Hz), 5.58 (2H, s) and 2.45 (3H, s).
75	C	3	NMR δ_H (400 MHz, DMSO) 4.74 (4H, s), 5.33 (2H, s), 5.62 (2H, d, *J* 2.0Hz), 5.71 (1H, t, *J* 2.0 Hz), 6.83 – 6.88 (1H, m), 7.29 (2H, s), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.10 – 8.12 (1H, m); Retention time 2.95 min.
76	K	100	IR ν_{max} (DR)/cm^{-1} 3011, 1650, 1525, 1468, 1351, 1284 and 1210; NMR δ_H (400 MHz, CD_3OD) 8.36 (1H, d, *J* 3.5 Hz), 7.53 – 7.42 (4H, m), 6.72 (1H, dd, *J* 1.0 Hz, 3.5 Hz), 5.76 (2H, s), 4.11 (2H, s) and 2.61 (3H, s).

77	W	25	mp 212.1 – 214.3 ° C; IR ν_{max} (DR)/cm^{-1} 4007, 3474, 3323, 3199, 2934, 2747, 2105, 1647, 1603, 1492, 1245, 1028 and 754; NMR δ_H (400 MHz, DMSO) 3.82 (3H, s), 5.60 (2H, s), 6.78 – 6.93 (3H, m), 7.05 (1H, d, *J* 8.5 Hz), 7.24 – 7.38 (3H, m), 7.90 (1H, d, *J* 3.0 Hz), 8.12 (1H, s).
78	B	21	IR ν_{max} (DR)/cm^{-1} 4002, 3482, 3313, 3201, 2938, 2739, 2339, 2107, 1936, 1731, 1650, 1436, 1253, 1082 and751; NMR δ_H (400 MHz, DMSO) 5.82 (2H, s), 6.84 – 6.88 (1H, m), 7.38 (2H, s), 7.58 (1H, t, *J* 9.0 Hz), 7.90 (1H, t, *J* 3.0 Hz), 8.12 (1H, d, *J* 1.0 Hz), 8.23 – 8.28 (1H, m), 8.29 – 8.35 (1H, m).
79	C	64	mp 308.2 – 308.3 ° C; IR ν_{max} (DR)/cm^{-1} 4013, 3456, 3322, 3193, 2958, 2745, 2103, 1861, 1653, 1516, 1237, 1026 and 777; NMR δ_H (400 MHz, DMSO) 4.95 (2H, s), 5.56 (2H, s), 6.15 – 6.20 (1H, m), 6.44 – 6.51 (1H, m), 6.84 – 6.93 (2H, m), 7.34 (2H, s), 7.91 (1H, d, *J* 3.0 Hz), 8.12 (1H, d, *J* 1.0 Hz).
80	Y	10	NMR δ_H (400 MHz, DMSO) 8.84 (1H, br s), 7.46 - 7.35 (2H, m), 7.32 - 7.14 (4H, m) and 5.72 (2H, s); Retention time 0.84 min.
81	B	47	mp 229.3 – 229.4 °C; IR ν_{max} (DR)/cm^{-1} 3514, 3292, 3166, 1614, 1503; NMR δ_H (400 MHz, DMSO) 7.88 - 7.84 (2H, m), 7.47 (1H, d, *J* 8.0 Hz), 7.31 (2H, br s), 7.22 (1H, d, *J* 7.0 Hz), 6.52 - 6.51 (1H, m), 5.75 (2H, s), 2.46 (3H, s); Anal. Calcd for $C_{15}H_{12}N_7OCl \cdot 0.1\ H_2O$: C, 52.44; H, 3.58, N, 28.54. Found: C, 52.62; H, 3.59; N, 28.20.
82	H	36	mp 205.0 – 205.3 °C; NMR δ_H (400 MHz, DMSO) 7.97 - 7.85 (5H, m), 7.46 - 7.41 (3H, m), 7.32 (2H, br s), 7.13 (1H, d, *J* 8.5 Hz), 6.53 - 6.52 (1H, m), 5.85 (2H, s), 2.46 (3H, s).
83	C	19	mp 252.8 - 253.3 °C; NMR δ_H (400 MHz, MeOD) 8.21 (1H, d, *J* 3.0 Hz), 7.98 (1H, d, 3.5 Hz), 7.62 - 7.52 (2H, m), 7.37 - 7.31 (2H, m) and 5.81 (2H, s); Retention time 0.83 min.
84	C	53	mp 235.8 – 236.5 °C; IR ν_{max} (DR)/cm^{-1} 3309, 2836, 2033, 1823, 1651, 1505, 1468, 1354, 1250 and 1209 ; NMR δ_H (400 MHz,CD_3OD) 8.18 (1H, d, *J* 4.0 Hz), 7.47 – 7.37 (3H, m), 6.61 (1H, dd, *J* 1.0, 3.5 Hz), 5.82 (2H, s) and 2.57 (3H, s).
85	R	72	mp 215.9 – 217.5 °C; IR ν_{max} (Nujol)/cm^{-1} 3308, 2955, 2869, 1634, 1505 and 1435; NMR δ_H (400 MHz, DMSO) 8.27 (1H, t, *J* 5.5 Hz), 8.13 (1H, d, *J* 1.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 7.36 (2H, s), 7.31 (1H, t, *J* 7.5 Hz), 7.17 (2H, t, *J* 7.5 Hz), 7.09 (1H, s), 6.89 – 6.84 (1H, m), 5.64 (2H, s), 4.21 (2H, d, *J* 6.0 Hz), 1.93 (2H, s) and 0.79 (6H, d, *J* 6.5 Hz).

86	B	51	NMR δ_H (400 MHz, DMSO) 8.84 (1H, d, *J* 5.5 Hz), 8.14 (1H, d, *J* 2.0 Hz), 8.08 (1H, dd, *J* 5.5, 1.0 Hz), 7.89 (1H, d, *J* 5.5 Hz), 7.34 (2H, br s), 6.53 - 6.52 (1H, m), 5.98 (2H, s), 2.46 (3H, s); Retention time 1.85 min.
87	Z	73	NMR δ_H (400 MHz, DMSO) 9.10 (1H, s), 8.69 (1H, s), 8.05 (1H, d, *J* 5.5 Hz), 7.89 (1H, d, *J* 3.0 Hz), 7.32 (2H, br s), 6.59 - 6.57 (1H, m), 6.53 - 6.51 (1H, m), 6.37 - 6.36 (1H, m), 5.60 (2H, s), 2.46 (3H, s); M/Z 339 $(M+H)^+$; Retention time 0.79 min.
88	B	11	mp 258.8 – 259.0 ° C; IR ν_{max} (DR)/cm^{-1} 4014, 3316, 3204, 2966, 2746, 2561, 2106, 1962, 1606, 1526, 1436, 1351, 1029 and 758; NMR δ_H (400 MHz, DMSO) 2.46 (3H, s), 5.79 (2H, s), 6.86 – 6.88 (1H, m), 7.23 (1H, d, *J* 7.5 Hz), 7.30 – 7.50 (3H, m), 7.81 (1H, d, *J* 8.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 8.13 – 8.15 (1H, m). Anal. Calcd for $C_{16}H_{13}N_7O_3$: C, 54.70; H, 3.73; N, 27.89. Found: C, 54.70; H, 3.77; N, 27.48.
89	C	57	mp 247.1 – 247.2 ° C; IR ν_{max} (DR)/cm^{-1} 3322, 1740, 1600, 1240, 1167, 959 and 770; NMR δ_H (400 MHz, DMSO) 2.10 (3H, s), 4.93 (2H, s), 5.56 (2H, s), 6.11 (1H, d, *J* 6.5 Hz), 6.58 (1H, d, *J* 8.0 Hz), 6.80 (1H, t, *J* 7.5 Hz), 6.85 – 6.87 (1H, m), 7.35 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.12 – 8.14 (1H, m).
90	C	38	mp 268.5 – 269.1 ° C; IR ν_{max} (DR)/cm^{-1} 4010, 3451, 3317, 3182, 2957, 2749, 2104, 1844, 1652, 1608, 1487, 1335, 1025 and 764; NMR δ_H (400 MHz, DMSO) 1.99 (3H, s), 4.89 (2H, s), 5.47 (2H, s), 6.36 – 6.43 (2H, m), 6.84 – 6.89 (2H, m), 7.35 (2H, s), 7.91 (1H, d, *J* 3.5 Hz) 8.12 – 8.14 (1H, m).
91	B	15	mp 284.3-284.5 °C; IR ν_{max} (DR)/cm^{-1} 3321, 3216, 1612, 1031, 765 and 552; NMR δ_H (400 MHz, DMSO) 8.12 (1H, d, *J* 1.0 Hz), 7.88 (1H, d, *J* 3.5 Hz), 7.35 (2H, br s), 6.85 (1H, dd, *J* 3.5, 1.5 Hz), 5.44 (2H, s), 2.52 (3H, s) and 2.25 (3H, s)
92	B	8	mp 267.9 – 268.5 ° C; NMR δ_H (400 MHz, DMSO) 2.32 (3H, s), 5.69 (2H, s), 6.85 – 6.89 (1H, s), 7.04 – 7.10 (1H, m), 7.33 – 7.54 (4H, m), 7.90 (1H, d, *J* 3.5 Hz), 8.13 – 8.15 (1H, m).
93	B	4	IR ν_{max} (Nujol)/cm^{-1} 3316, 3193, 2926, 2851, 1637, 1508 and 1437; NMR δ_H (400 MHz, DMSO) 8.11 – 8.09 (1H, m), 7.89 (1H, dd, *J* 3.5, 1.0 Hz), 7.27 (2H, s), 6.86 – 6.83 (1H, m), 4.26 (2H, d, *J* 7.5 Hz), 2.04 – 1.90 (1H, m), 1.72 – 1.50 (5H, m) and 1.25 – 0.95 (5H, m).
94	B	15	mp 237.8 – 238.0 ° C; NMR δ_H (400 MHz, DMSO) 2.49 (3H, s), 5.76 (2H, s), 6.84 – 6.88 (1H, m), 7.28 (1H, dd, *J* 8.5, 1.5 Hz), 7.30 – 7.42 (3H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.97 (1H, d, *J* 8.5 Hz), 8.11 – 8.14 (1H, m). Anal. Calcd for $C_{16}H_{13}N_7O_3 \cdot 0.1\ H_2O$: C, 54.42; H, 3.77; N, 27.77. Found: C, 54.73; H, 3.78; N,

			27.40.
95	N	32	mp 249.8 – 250.0 °C; IR ν_{max} (DR)/cm^{-1} 3437, 3317, 3210, 2964, 2865, 1610, 1508; NMR δ_H (400 MHz, DMSO) 8.19 - 8.17 (1H, m), 8.12 (1H, m), 7.92 - 7.91 (1H, m), 7.66 - 7.63 (1H, m), 7.24 - 7.20 (3H, m), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 5.80 (2H, s), 2.44 (3H, s).
96	B	4	mp 226.6 – 226.9 ° C; NMR δ_H (400 MHz, DMSO) 2.32 (3H, s), 5.98 (2H, s), 6.84 (1H, s), 6.85 – 6.90 (1H, m), 7.35 (2H, s), 7.43 (1H, d, *J* 7.5 Hz), 7.91 (1H, d, *J* 7.5 Hz), 8.07 – 8.15 (2H, m).
97	C	63	mp 245.3 – 246.1 ° C; IR ν_{max} (DR)/cm^{-1} 4010, 3406, 3320, 3198, 2929, 2746, 1608, 1507, 1414, 1285, 1022 and 753; NMR δ_H (400 MHz, DMSO) 2.00 (3H, s), 4.86 (2H, s), 5.42 (2H, s), 6.54 (1H, d, *J* 8.0 Hz), 6.82 – 6.85 (1H, m), 6.90 (1H, dd, *J* 8.0, 2.0 Hz), 6.92 – 6.95 (1H, m), 7.29 (2H, s), 7.88 (1H, d, *J* 3.5 Hz), 8.09 – 8.12 (1H, m). Anal. Calcd for $C_{16}H_{15}N_7O \cdot 0.2\ H_2O$: C, 59.14; H, 4.78; N, 30.17. Found: C, 59.44; H, 4.74; N, 29.82.
98	O	98	IR ν_{max} (Nujol)/cm^{-1} 3324, 3206, 1698, 1650 and 1611; NMR δ_H (400 MHz, DMSO) 13.56 – 12.46 (1H, s), 8.13 – 8.11 (1H, s), 7.92 – 7.84 (3H, m), 7.56 – 7.31 (3H, m), 6.87 – 6.85 (1H, m) and 7.74 (2H, s).
99	P	66	IR ν_{max} (Nujol)/cm^{-1} 3324, 1644, 1491 and 1417; NMR δ_H (400 MHz, DMSO) 8.12 (1H, s), 7.98 – 7.93 (1H, s), 7.91 (1H, d, *J* 3.0 Hz), 7.81 (1H, d, *J* 6.5 Hz), 7.77 (1H, s), 7.47 – 7.29 (5H, m), 6.86 (1H, s) and 5.77 – 5.68 (2H, m).
100	B	13	mp 285.7-285.9 °C; IR ν_{max} (DR)/cm^{-1} 3345, 3197, 1664, 1613, 1116, 766 and 600; NMR δ_H (400 MHz, DMSO) 8.13 - 8.02 (1H, m) 7.90 (1H, d, *J* 3.5 Hz), 7.37 - 7.27 (3H, m), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 5.67 (2H, s) and 2.52 (3H, s).
101	AA	32	mp 279.9-280.3 °C; NMR δ_H (400 MHz, DMSO) 8.33 (3H, br s), 7.93 (1H, d, *J* 2.0 Hz), 7.51 - 7.39 (2H, m), 7.39 - 7.31 (3H, m), 5.69 (2H, s) and 3.98 (2H, q, *J* 5.5 Hz).
102	P	23	IR ν_{max} (Nujol)/cm^{-1} 3480, 3322, 3202, 283, 1608 and 1506; NMR δ_H (400 MHz, DMSO) 8.12 (1H, s), 7.90 (1H, d, *J* 3.5 Hz), 7.47 – 7.25 (5H, m), 6.87 –6. 84 (1H, m), 5.72 (2H, s), 3.77 – 3.61 (1H, s), 2.76 (3H, s), 1.10 (3H, s) and 0.99 (3H, s).

103	P	58	IR ν_{max} (Nujol)/cm^{-1} 3298, 2972, 1635 and 1418; NMR δ_H (400 MHz, DMSO) 8.21 (1H, d, *J* 7.5 Hz), 8.12 (1H, s), 7.91 (1H, d, *J* 3.0 Hz), 7.82 – 7.74 (2H, m), 7.48 – 7.29 (4H, m), 6.86 (1H, s), 5.71 (2H, s), 4.13 – 4.01 (1H, m) and 1.13 (6H, d, *J* 6.5 Hz).
104	C	10	mp 249.9 – 250.5 ° C; NMR δ_H (400 MHz, DMSO) 2.07 (3H, s), 5.06 (2H, s), 5.43 (2H, s), 6.60 – 6.65 (2H, m), 6.81 – 6.89 (2H, m), 7.37 (2H, s), 7.90 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 (1H, d, *J* 1.0 Hz). Anal. Calcd for $C_{16}H_{15}N_7O \cdot 0.2\ H_2O$: C, 59.14; H, 4.78; N, 30.17. Found: C, 59.53; H, 4.75; N, 29.87.
105	B	16	mp 263.2 – 263.5 °C; IR ν_{max} (DR)/cm^{-1} 3499, 3307, 3192, 2958, 2238, 1610, 1490; NMR δ_H (400 MHz, DMSO) 8.73 (1H, d, *J* 5.0 Hz), 8.13 - 8.12 (1H, m), 7.92 - 7.90 (1H, m), 7.85 - 7.81 (2H, m), 7.30 (2H, br s), 6.87 - 6.86 (1H, m), 5.87 (2H, s).
106	B	16	mp 288.1-288.2 °C; IR ν_{max} (DR)/cm^{-1} 3324, 3196, 1609, 1489, 1166, 1004, 798 and 550; NMR δ_H (400 MHz, DMSO) 8.59 (1H, s), 8.44 (1H, s), 8.12 (1H, s), 7.90 (1H, d, *J* 3.5 Hz), 7.31 (2H, br s), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 5.81 (2H, s) and 2.48 (3H, s).
107	B	22	mp 276.4-277.4 °C; IR ν_{max} (DR)/cm^{-1} 3443, 3324, 3202, 1610, 1324, 1229, 1030 and 788; NMR δ_H (400 MHz, DMSO) 9.01 (1H, dd, *J* 4.0, 2.0 Hz), 8.45 (1H, dd, *J* 8.5, 2.0 Hz), 8.14 - 8.12 (1H, m), 7.97 (1H, d, *J* 8.0 Hz), 7.93 (1H, d, *J* 3.5 Hz), 7.64 (1H, 1H, dd, *J* 8.5, 4.0 Hz), 7.52 (1H, t, *J* 7.0 Hz), 7.31 (2H, br s), 7.15 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 3.5, 2.0 Hz) and 6.31 (2H, s).
108	B	30	mp 215.0-215.3 °C; IR ν_{max} (DR)/cm^{-1} 3325, 3198, 1612, 1246, 1026, 727 and 567; NMR δ_H (400 MHz, DMSO) 8.14 - 8.12 (1H, m), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 7.90 - 7.85 (2H, m), 7.52 (1H, s), 7.51 - 7.46 (3H, m), 7.36 (2H, br s), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), and 5.81 (2H, s).
109	Q	23	mp 289.8-289.9 °C; IR ν_{max} (DR)/cm^{-1} 3350, 2924, 2863, 1981, 1723, 1618, 1351, 1100, 974, 766 and 524; NMR δ_H (400 MHz, DMSO) 8.23 - 8.16 (2H, m), 7.77 - 7.62 (3H, m), 7.49 (2H, br s), 5.88 (2H, s) and 2.56 (3H, s).
110	B	31	mp 247.4 – 247.5 ° C; IR ν_{max} (DR)/cm^{-1} 3999, 3470, 3316, 3198, 2929, 2744, 2345, 2103, 1924, 1837, 1773, 1649, 1435, 1355, 1237, 1029 and 768; NMR δ_H (400 MHz, DMSO) 5.79 (2H, s), 6.85 – 6.87 (1H, m), 7.36 (2H, s), 7.56 (1H, dd, *J* 8.5, 2.0 Hz), 7.76 (1H, d, *J* 8.0 Hz), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.04 (1H, d, *J* 2.0 Hz), 8.12 – 8.13 (1H, m). Anal. Calcd for $C_{15}H_{10}N_7O_3Cl$: C, 48.47; H, 2.71; N, 26.36. Found: C, 48.63; H, 2.80; N, 26.22.

111	B	14	mp 244.1 – 244.6 ° C; NMR δ_H (400 MHz, DMSO) 5.84 (2H, s), 6.85 – 6.89 (1H, m), 7.37 (2H, s), 7.54 (1H, dd, *J* 9.5, 1.5 Hz), 7.84 (1H, t, *J* 1.0 Hz), 7.92 (1H, d, *J* 3.5 Hz), 8.08 (1H, dd, *J* 9.0, 1.0 Hz), 8.12 – 8.15 (1H, m). Anal. Calcd for $C_{15}H_{10}N_8O_2 \cdot 0.75\ C_3H_7NO$: C, 53.25; H, 3.95; N, 31.50. Found: C, 53.08; H, 3.79; N, 31.38.
112	B	28	mp 190.4 – 191.4 °C; IR ν_{max} (DR)/cm^{-1} 3482, 3308, 3194, 2940, 2880, 1610, 1508; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.78 (1H, t, *J* 8.0 Hz), 7.35 - 7.32 (3H, m), 7.04 (1H, d, *J* 7.5 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 5.75 (2H, s), 4.43 (2H, s), 3.33 (3H, s).
113	B	39	IR ν_{max} (Nujol)/cm^{-1} 3499, 3316, 3193, 2946, 1651 and 1509; NMR δ_H (400 MHz, DMSO) 8.13 – 8.10 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.39 – 7.26 (7H, m), 6.87 – 6.84 (1H, m) and 5.67 (2H, s); Anal. Calcd for $C_{15}H_{12}N_6O \cdot 0.75\ H_2O$: C, 58.91; H, 4.45, N, 27.48. Found: C, 58.84; H, 4.10; N, 27.32.
114	C	67	mp 256.7 – 257.1 ° C; IR ν_{max} (DR)/cm^{-1} 4003, 3452, 3324, 3203, 2950, 2746, 2102, 1733, 1654, 1516, 1420, 1305, 1221, 1106, 1024 and 761; NMR δ_H (400 MHz, DMSO) 5.38 (2H, s), 5.51 (2H, s), 6.46 (1H, dd, *J* 8.5, 2.5 Hz), 6.58 (1H, d, *J* 2.0 Hz), 6.84 – 6.87 (1H, m), 7.15 (1H, d, *J* 8.0 Hz), 7.32 (2H, s), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.11 – 8.13 (1H, m). Anal. Calcd for $C_{15}H_{12}N_7OCl \cdot 0.3\ H_2O$: C, 51.90; H, 3.66; N, 28.24. Found: C, 52.12; H, 3.48; N, 27.86.
115	B	12	NMR δ_H (400 MHz, DMSO) 8.84 (1H, d, *J* 5.5 Hz), 8.15 - 8.12 (2H, m), 8.08 - 8.06 (1H, m), 7.92 - 7.91 (1H, m), 7.32 (2H, br s), 6.87 (1H, dd, *J* 3.5, 1.5 Hz), 5.99 (2H, s); Retention time 1.28 min.
116	Z	87	NMR δ_H (400 MHz, DMSO) 9.08 (1H, br s), 8.66 (1H, br s), 8.13 - 8.12 (1H, m), 8.06 - 8.04 (1H, m), 7.93 - 7.91 (1H, m), 7.32 (2H, br s), 6.87 - 6.86 (1H, m), 6.60 - 6.58 (1H, m), 6.38 - 6.37 (1H, m), 5.61 (2H, s); M/Z 325 $(M+H)^+$.
117	B	9	IR ν_{max} (DR)/cm^{-1} 3491, 3310, 3198, 2976, 1612; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.99 - 7.98 (1H, m), 7.93 - 7.91 (1H, m), 7.80 - 7.79 (1H, m), 7.34 (2H, br s), 6.88 - 6.86 (1H, m), 5.90 (2H, s), 2.57 (3H, s).
118	Z	91	NMR δ_H (400 MHz, DMSO) 13.45 (1H, br s), 9.50 (1H, br s), 8.15 - 8.14 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.39 (2H, br s), 6.87 - 6.86 (1H, m), 6.56 (1H, br s), 6.15 (1H, br s), 5.67 (2H, s), 2.39 (3H, s); M/Z 339 $(M+H)^+$.
119	B	15	mp >230 ° C; IR ν_{max} (DR)/cm^{-1} 3993, 3509, 3314, 3195, 2997, 2950, 2682, 2561, 2101, 1943, 1780, 1613, 1501, 1433, 1345, 1100, 1027, 889 and 780; NMR δ_H (400 MHz, DMSO) 6.00 (2H, s), 6.85 – 6.90 (1H, m), 7.05 (1H, d, *J* 8.5

			Hz), 7.37 (2H, s), 7.78 (1H, dd, *J* 8.5, 2.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 8.14 (1H, d, *J* 1.0 Hz), 8.27 (1H, d, *J* 2.5 Hz). Anal. Calcd for $C_{15}H_{10}N_7O_3Cl \cdot 0.3\ H_2O$: C, 47.77; H, 2.83; N, 26.00. Found: C, 47.65; H, 2.71; N, 25.85.
120	C	19	mp 211.4 – 211.6 ° C; IR ν_{max} (DR)/cm^{-1} 4015, 3325, 3218, 2969, 2878, 2101, 1653, 1508, 1423, 1275, 1023, 834 and 761; NMR δ_H (400 MHz, DMSO) 5.45 (2H, s), 5.60 (2H, s), 6.51 (1H, dd, *J* 8.0, 2.0 Hz), 6.70 – 6.79 (2H, m), 6.83 – 6.89 (1H, m), 7.38 (2H, s), 7.90 (1H, d, *J* 3.5 Hz), 8.12 (1H, s).
121	B	13	mp 292.3-292.4 °C; IR ν_{max} (DR)/cm^{-1} 3324, 3207, 2098, 1602, 1527, 1352, 1024 and 813; NMR δ_H (400 MHz, CDCl3) 8.10 (1H, d, *J* 3.0 Hz), 7.79 (1H, d, *J* 1.5 Hz), 7.64 (2H, d, *J* 8.5 Hz), 7.49 (2H, d, *J* 8.5 Hz), 6.71 (1H, dd, *J* 3.5, 1.5 Hz), 5.71 (2H, s) and 5.38 (2H, br s).
122	A	50	mp 227.5 – 228.5 °C; IR ν_{max} (DR)/cm^{-1} , 3265, 1701, 1521, 1480, 1413, 1355, 1309, 1204 and 1147; NMR δ_H (400 MHz, DMSO) 8.11 (1H, d, *J* 1.0 Hz), 7.9 (1H, d, *J* 3.5 Hz), 7.3 (2H, br s), 7.04 (1H, d, *J* 2.0 Hz), 6.90 (1H, d, *J* 8.0 Hz), 6.85 (1H, dd, *J* 1.5, 3.5 Hz), 6.79 (1H, dd, *J* 2.0, 8.0 Hz), 5.57 (2H, s), 3.71 (3H, s,) and 3.72 (3H, s).
123	B	35	mp 214.6 – 216.2 °C; IR ν_{max} (DR)/cm^{-1} 3512, 3295, 3173, 2988, 2736, 2415, 1636, 1437,1340 and 1228; NMR δ_H (400 MHz, DMSO) 7.97 (1H, d, *J* 8.5 Hz), 7.87(1H, d, *J* 3.0 Hz), 7.40 (1H, d, *J* 1.0 Hz), 7.31 (2H, br s), 7.27(1H, dd, *J* 1.5, 8.0 Hz), 6.51 (1H, dd, *J* 1.0, 3.5 Hz), 5.74 (2H,s), 2.48 (3H, s) and 2.46 (3H, s).
124	C	65	mp 215.7– 216.7 °C; IR ν_{max} (DR)/cm^{-1}3328, 2928, 2424, 2345, 1609 and 1263; NMR δ_H (400 MHz, DMSO) 7.85 (1H, d, *J* 3.5 Hz), 7.26 (2H, br s), 6.93 (1H, d, *J* 1.5 Hz), 6.89 (1H, dd, *J* 2.0, 8.0 Hz), 6.54 (1H, d, *J* 8.0 Hz), 6.49 (1H, *J* 1.0, 3.5 Hz), 5.40 (2H, s), 4.89 (2H, br s), 2.45 (3H, s) and 2.27 (3H, s).
125	B	29	mp 221.5 - 221.6 °C; IR ν_{max} (DR)/cm^{-1} 3506, 3294, 3178, 2683, 1613, 1315, 1027 and 697; NMR δ_H (400 MHz, DMSO) 8.13 - 8.11 (1H, m), 7.90 (1H, d, *J* 4.5 Hz), 7.38 (2H, br s), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 6.18 - 6.16 (1H, m), 5.70 (2H, s) and 2.36 (3H, s).
126	N	26	mp 229.6 – 230.3 °C; IR ν_{max} (DR)/cm^{-1} 3317, 3198, 1602, 1499; NMR δ_H (400 MHz, DMSO) 8.44 - 8.43 (1H, m), 8.31 (1H, d, *J* 5.0 Hz), 8.14 - 8.13 (1H, m), 7.92 (1H, d, *J* 3.0 Hz), 7.35 (2H, br s), 6.88 - 6.86 (1H, m), 6.70 (1H, d, *J* 5.0 Hz), 5.71 (2H, s), 2.39 (3H, s).
127	B	13	mp 275.0-273.3 °C; IR ν_{max} (DR)/cm^{-1} 3449, 3310, 3202, 1605, 1487, 1420, 1023, 836, 760 and 551; NMR δ_H (400 MHz, DMSO) 8.15 - 8.11 (1H, m), 8.07 (1H, d, *J* 9.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 7.68 (1H, dd, *J* 9.0, 6.5 Hz), 7.38 - 7.29

			(3H, m), 6.86 (1H, dd, *J* 3.5, 1.5 Hz) and 6.15 (2H, s).
128	B	16	mp 129.1-131.0 °C; IR ν_{max} (DR)/cm^{-1} 3993, 3470, 3310, 3197, 1610, 1508, 1420, 1239, 1002 and 796; NMR δ_H (400 MHz, DMSO) 8.74 (1H, d, *J* 1.5 Hz), 8.61 (1H, d, *J* 2.5 Hz), 8.57 - 8.54 (1H, m), 8.13 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.31 (2H, br s), 6.86 (1H, dd, *J* 3.5, 2.0 Hz) and 5.88 (2H, s).
129	B	20	mp 266.5 – 266.7 °C; IR ν_{max} (DR)/cm^{-1} 4018, 3487, 3310, 3193, 2744, 1636, 1585, 1539, 1507, 1437, 1347, 1266, 1238 and 1196 ; NMR δ_H (400 MHz, DMSO) 8.15 (1H, dd, *J* 2.5, 7.5 Hz), 8.12 (1H, d, *J* 1.0 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.73 – 7.68 (1H, m), 7.58 (1H, dd, *J* 11.3, 8.8 Hz), 7.36(2H, br s), 6.88 (1H, dd, *J* 1.5, 3.5Hz) and 5.78 (2H, s).
130	H	32	mp 149.0 - 149.6 °C; IR ν_{max} (DR)/cm^{-1} 4072, 3332, 3198, 1654, 1604, 1348, 1237, 1111, 1012, 775, 691 and 570; NMR δ_H (400 MHz, DMSO) 8.79 - 8.70 (2H, m), 8.25 - 8.14 (2H, m), 7.77 - 7.58 (5H, m), 7.38 (2H, br s) and 5.87 (2H, s).
131	B	22	mp 225.7 – 225.8 °C; NMR δ_H (400 MHz, DMSO) 8.33 (1H, d, J 4.5 Hz), 8.13 - 8.12 (1H, m), 7.92 - 7.91 (1H, m), 7.30 (2H, br s), 7.15 (1H, d, J 5.0 Hz), 7.07 - 7.06 (1H, m), 6.86 (1H, dd, J 1.5, 3.5 Hz), 5.72 (2H, s), 2.28 (3H, s); Anal. Calcd for $C_{15}H_{13}N_7O \cdot 0.7\ H_2O$: C, 56.31; H, 4.54, N, 30.65. Found: C, 56.57; H, 4.24; N, 30.33.
132	N	10	IR ν_{max} (DR)/cm^{-1} 3332, 2977, 1694, 1608; NMR δ_H (400 MHz, DMSO) 8.41 (1H, d, *J* 4.5 Hz), 8.14 - 8.13 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.43 (1H, t, *J* 6.0 Hz), 7.33 (2H, br s), 7.16 (1H, d, *J* 4.5 Hz), 6.97 - 6.96 (1H, m), 6.87 (1H, dd, *J* 2.0, 3.5 Hz), 5.76 (2H, s), 4.10 (2H, d, *J* 6.0 Hz), 1.31 (9H, s).
133	B	14	mp 209.7 – 209.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3506, 3311, 3196, 2996, 2951, 1637, 1518 and 1283; NMR δ_H (400 MHz, DMSO) 8.15 – 8.12 (1H, m), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 7.84 (1H, d, *J* 8.0 Hz), 7.43 (1H, d, *J* 1.5 Hz), 7.42 – 7.35 (2H, s), 6.88 – 6.82 (2H, m), 5.77 (2H, s) and 3.91 (3H, s).
134	B	14	mp 240.9 – 241.1 °C. IR ν_{max} (DR)/cm^{-1} 4010, 3629, 3499, 3313, 3196, 2946, 2733, 2447, 1943, 1638, 1528, 1420, 1351, 1222, 1025 and 960. NMR δ_H (400 MHz, DMSO) 5.85 (2H, s), 6.84 – 6.89 (1H, m), 7.36 (2H, s), 7.50 (2H, dt, *J* 8.5, 2.0 Hz), 7.92 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.14 (1H, m), 8.22 (2H, dt, *J* 9.0, 2.0 Hz). Anal. Calcd for $C_{15}H_{11}N_7O_3 \cdot 0.6H_2O$: C, 51.75; H, 3.53; N, 28.17. Found: C, 52.08; H, 3.22; N, 27.96.

135	B	18	mp 208.6 – 208.8 °C; IR ν_{max} (DR)/cm^{-1} 3432, 3304, 3191, 2961, 1616, 1500, 1434; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.93 - 7.91 (1H, m), 7.67 (1H, t, *J* 7.5 Hz), 7.35 (2H, br s), 7.19 (1H, d, *J* 7.5 Hz), 6.90 - 6.86 (2H, m), 5.73 (2H, s), 2.68 (2H, q, *J* 7.5 Hz), 1.14 (3H, t, *J* 7.5 Hz).
136	B	18	mp 172.7 – 173.2 °C; NMR δ_H (400 MHz, DMSO) 8.42 (1H, d, *J* 5.5 Hz), 8.14 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.39 (2H, br s), 7.11 - 7.10 (1H, m), 6.97 (1H, d, *J* 5.5 Hz), 6.87 (1H, dd, *J* 2.0, 3.5 Hz), 5.70 (2H, s), 2.71 (2H, q, *J* 7.5 Hz), 1.18 (3H, t, *J* 7.5 Hz).
137	B	13	mp 176.3 - 176.5 °C; IR ν_{max} (DR)/cm^{-1} 3452, 3326, 3209, 2973, 1734, 1611, 1328, 1026 and 774; NMR δ_H (400 MHz, DMSO) 8.13 (1H, d, *J* 2.5 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.72 (1H, d, *J* 3.5 Hz), 7.61 (1H, d, *J* 8.5 Hz), 7.34 (2H, br s), 7.19 (1H, t, *J* 8.0 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 6.77 (1H, d, *J* 4.0 Hz), 6.75 (1H, d, *J* 7.5 Hz), 6.07 (2H, s) and 1.54 (9H, s).
138	B	26	mp 58.5 - 62.6 °C; IR ν_{max} (DR)/cm^{-1} 3430, 3315, 3210, 3973, 1718, 1165, 834 and 772; NMR δ_H (400 MHz, DMSO) 8.13 - 8.11 (1H, m), 8.03 (1H, d, *J* 8.0 Hz), 7.89 (1H, d, *J* 3.5 Hz), 7.73 (1H, d, *J* 4.0 Hz), 7.39 (2H, br s), 7.30 (1H, t, *J* 8.5 Hz), 7.08 (1H, d, *J* 7.0 Hz), 6.91 (1H, d, *J* 4.5 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 5.90 (2H, s) and 1.62 (9H, s).
139	K	85	mp 192.3 - 193.5 °C; NMR δ_H (400 MHz, DMSO) 11.27 (1H, br s), 8.12 (1H, d, *J* 2.5 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.39 - 7.33 (2H, m), 7.04 (1H, t, *J* 8.0 Hz), 6.87 - 6.83 (2H, m), 6.56 - 6.52 (1H, m) and 5.86 (2H, s).
140	B	20	mp 184.0 - 185.2 °C; IR ν_{max} (DR)/cm^{-1} 3638, 3462, 3331, 3184, 2976, 1686, 1174, 1026 and 756; NMR δ_H (400 MHz, DMSO) 8.13 (1H, d, *J* 1.0 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.45 - 7.31 (3H, m), 7.27 - 7.17 (4H, m), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 5.64 (2H, s), 4.08 (2H, d, *J* 6.0 Hz) and 1.37 (9H, s).
141	C	45	mp 240.3 – 240.4 ° C. IR ν_{max} (DR)/cm^{-1} 3320, 3198, 2929, 1610, 1505, 1438, 1280, 1233, 1028, 956 and 759; NMR δ_H (400 MHz, DMSO) 5.85 (2H, s), 6.84 – 6.89 (1H, m), 7.36 (2H, s), 7.50 (2H, dt, *J* 8.5, 2.0 Hz), 7.92 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.14 (1H, m), 8.22 (2H, dt, *J* 9.0 Hz).
142	B	14	mp 189.0 - 189.1 °C; IR ν_{max} (DR)/cm^{-1} 3506, 3304, 3180, 1735, 1609, 1167, 1029 and 766; NMR δ_H (400 MHz, DMSO) 8.15 –8.11 (1H, m), 8.02 (1H, d, *J* 8.5 Hz), 7.91 (1H, d, *J* 3.5 Hz), 7.68 (1H, d, *J* 3.5 Hz), 7.53 (1H, s), 7.37 (2H, br s), 7.29 (1H, dd, *J* 8.5, 1.5 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 6.70 (1H, d, *J* 3.5 Hz), 5.75 (2H, s) and 1.61 (9H, s).

143	B	18	mp 167.0 - 167.3 °C; IR ν_{max} (DR)/cm^{-1} 3650, 3485, 3320, 3194, 2978, 1726, 1168, 953 and 756; NMR δ_H (400 MHz, DMSO) 9.00 (1H, br s), 8.13 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.57 (1H, t, *J* 8.0 Hz), 7.39 (2H, br s), 7.16 (1H, d, *J* 11.5 Hz), 7.04 (1H, d, *J* 8.5 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 5.64 (2H, br s) and 1.44 (9H, s).
144	K	56	mp >300 ° C dec; IR ν_{max} (DR)/cm^{-1} 2903, 2030, 1606, 1464, 1033, 779 and 589; NMR δ_H (400 MHz, DMSO) 8.30 (2H, br s), 8.14 (1H. s), 7.92 (1H, d, *J* 3.5 Hz), 7.46 (2H, d, *J* 8.0 Hz), 7.32 (2H, d, *J* 8.0 Hz), 6.92 - 6.84 (1H, m), 5.69 (2H, s) and 4.04 - 3.96 (2H, m).
145	H	30	IR ν_{max} (DR)/cm^{-1} 3511, 3292,3164,2971, 1609, 1525, 1437, 1354 and 1239; NMR δ_H (400 MHz, DMSO) 8.19 (2H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.72 – 7.64 (2H, m), 7.36 (2H, br s), 6.53 (1H, d, *J* 3.5 Hz), 5.83 (2H, s), 2.80 (2H, q, *J* 7.5 Hz) and 1.27 (3H, t, *J* 7.5 Hz).
146	B	35	mp 180.0 - 180.5 °C; IR ν_{max} (DR)/cm^{-1} 3325, 3206, 2976, 1734, 1604, 1341, 1024 and 768; NMR δ_H (400 MHz, DMSO) 7.92 (1H, d, *J* 3.0 Hz), 7.84 (1H, s), 7.67 (1H, d, *J* 4.0 Hz), 7.62 (1H, d, *J* 8.0 Hz), 7.37 (2H, br s), 7.26 (1H, d, *J* 8.0 Hz), 6.87 (1H, dd, *J* 3.5, 1.5 Hz), 6.70 (1H, d, *J* 3.5 Hz), 5.80 (2H, s) and 1.51 (9H, s).
147	K	57	mp >200 °C dec; IR ν_{max} (DR)/cm^{-1} 2816, 2004, 1660, 1507, 1427, 1277, 1030, 746 and 524; NMR δ_H (400 MHz, DMSO) 8.15 - 8.12 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.14 (1H, d, *J* 12.0 Hz), 7.06 - 6.94 (2H, m), 6.86 (1H, dd, *J* 3.5, 2.0 Hz) and 5.57 (2H, s).
148	B	43	Mp 259.8 – 259.9 ° C. IR ν_{max} (DR)/cm^{-1} 3382, 3214, 2986, 2731, 2090, 1767, 1730, 1606, 1487, 1372, 1275, 1137, 1029, 873 and 771; NMR δ_H (400 MHz, DMSO) 1.49 (9H, s), 5.63 (2H, s), 6.85 – 6.86 (1H, m), 7.20 – 7.27 (2H, m), 7.40 (2H, s), 7.87 (1H, d, *J* 3.0 Hz), 8.11 – 8.14 (1H, m).
149	K	96	IR ν_{max} (Nujol)/cm^{-1} 3375, 3061, 1653, 1509 and 1474; NMR δ_H (400 MHz, DMSO) 11.15 – 10.13 (1H, s), 8.43 – 7.36 (3H, s), 8.14 – 8.12 (1H, m), 7.89 (1H, dd, *J* 3.5, 1.0 Hz), 6.87 – 6.84 (1H, m), 6.59 – 6.51 (2H, m) and 5.51 (2H, s).
150	C	37	IR ν_{max} (DR)/cm^{-1} 4043, 3461, 3312, 3198, 2970, 2748, 2438, 1923, 1650, 1514, 1497 and 1324; NMR δ_H (400 MHz, DMSO) 7.9 (1H, d, *J* 3.5 Hz), 7.31 (2H,br s), 6.97 (1H, t, *J* 8.0 Hz), 6.53 (1H, d, *J* 3.5 Hz), 6.45 (1H, dd, *J* 1.5, 8.0 Hz), 6.40 (1H, d, *J* 7.5 Hz), 6.34 (1H, t, *J* 1.7 Hz), 5.48 (2H, s), 5.12 (2H, s), 2.80 (2H, q, *J* 7.5 Hz) and 1.27 (3H, t, *J* 7.5 Hz).

151	C	48	mp 251.2 – 251.5 °C; IR ν_{max} (DR)/cm^{-1} 3449, 3365, 3314, 3196, 2954, 2742, 1731, 1642, 1598, 1556, 1463 and 1407; NMR δ_H (400 MHz, DMSO) 8.76 – 8.72 (2H, m), 7.67 – 7.62 (3H, m), 7.36 (2H, br s), 6.97 (1H, t, *J* 8.0 Hz), 6.46 (1H, dd, *J* 1.5, 8.0 Hz), 6.43 (1H, d, *J* 7.5 Hz), 6.36 (1H, t, *J* 1.7 Hz), 5.52 (2H, s) and 5.13 (2H, s).
152	AF	86	mp 298.9 - 299.0 °C; IR ν_{max} (DR)/cm^{-1} 3422, 3321, 3105, 3942, 1601, 1351, 1219, 1019 and 762; NMR δ_H (400 MHz, DMSO) 11.09 (1H, br s), 8.13 (1H, s), 7.91 (1H, d, *J* 3.0 Hz), 7.51 (1H, d, *J* 8.5 Hz), 7.43 - 7.31 (3H, m), 7.28 (1H, s), 7.00 (1H, d, *J* 8.0 Hz), 6.89 - 6.83 (1H, m), 6.39 (1H, s) and 5.73 (2H, br s).
153	AF	75	mp 226.8 - 227.4 ° C; IR ν_{max} (DR)/cm^{-1} 3475, 3320, 2739, 1645, 1506, 1223, 1008 and 778; NMR δ_H (400 MHz, DMSO) 11.14 (1H, br s), 8.14 - 8.10 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.50 (1H, s), 7.40 - 7.31 (4H, m), 7.09 (1H, dd, *J* 8.0, 1.5 Hz), 6.85 (1H, dd, *J* 3.5, 1.5 Hz), 6.43 - 6.38 (1H, m) and 5.70 (2H, s).
154	AF	77	mp 295.3 - 295.5 °C; IR ν_{max} (DR)/cm^{-1} 3215, 1610, 1005, 758, 650 and 565; NMR δ_H (400 MHz, DMSO) 11.36 (1H, br s), 8.15 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.51 (1H, d, *J* 8.0 Hz), 7.46 (1H, t, *J* 2.5 Hz), 7.43 (2H, br s), 6.92 (1H, t, *J* 7.5 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 6.71 (1H, d, *J* 7.0 Hz), 6.53 - 6.49 (1H, m) and 5.93 (2H, s).
155	B	35	mp 258.6 – 258.7 °C; IR ν_{max} (Nujol)/cm^{-1} 3386, 3206, 1646, 1607 and 1481; NMR δ_H (400 MHz, DMSO) 8.33 (1H, dd, *J* 9.0, 4.5 Hz), 8.15 (1H, s), 7.92 (1H, d, *J* 3.5 Hz), 7.55 – 7.47 (1H, m), 7.47 – 7.35 (2H, s), 6.93 – 6.85 (2H, m) and 6.03 (2H, s).
156	AG	99	mp 274.2 – 274.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3482, 3305, 3197, 2963, 1606, 1499 and 1420; NMR δ_H (400 MHz, DMSO) 8.12 (1H, s), 7.87 (1H, d, *J* 3.0 Hz), 7.37 (2H, s), 6.86 – 6.77 (3H, m), 5.55 (2H, s) and 3.79 (3H, s); Anal. Calcd for $C_{16}H_{12}N_6O_2F_2 \cdot 0.5\ H_2O$: C, 52.32; H, 3.57, N, 22.88. Found: C, 52.62; H, 3.31; N, 22.72.
157	B	24	mp 204.2 – 204.4 ° C; NMR δ_H (400 MHz, DMSO) 1.41 (9H, s), 4.37, (2H, d, *J* 6.0 Hz), 5.72 (2H, s), 6.83 (1H, d, *J* 6.0 Hz), 6.85 – 6.88 (1H, d, *J* 7.5 Hz), 7.14 – 7.22 (1H, m), 7.30 (2H, d, *J* 4.0 Hz), 7.36 (2H, s), 7.48 (1H, t, *J* 6.0 Hz), 7.91 (1H, d, *J* 3.5 Hz), 8.12 – 8.14 (1H, m). Anal. Calcd for $C_{21}H_{23}N_7O_3$: C, 59.85; H, 5.50; N, 23.25. Found: C, 59.69; H, 5.54; N, 22.74.
158	AZ		mp >300 ° C dec; IR ν_{max} (DR)/cm^{-1} 3212, 1607, 1438, 1212, 1029 and 770; NMR δ_H (400 MHz, DMSO) 15.74 (1H, br s), 8.13 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.80 (2H, br s), 7.54 - 7.30 (3H, s), 6.86 (1H, dd, *J* 4.0, 2.0 Hz) and 5.85

			(2H, s).
159	B	16	Mp 235.9 – 237.8 °C; NMR δ_H (400 MHz, DMSO) 8.15 - 8.13 (1H, m), 7.93 - 7.91 (1H, d, *J* 3.5 Hz), 7.84 - 7.82 (1H, d, *J* 2.5 Hz), 7.80 - 7.76 (1H, dd, *J* 2.5, 8.5 Hz), 7.43 - 7.37 (2H, s) 7.04 - 7.00 (1H, d, *J* 8.5 Hz), 6.89 - 6.86 (1H, dd, *J* 2.0, 3.5Hz), 5.71 - 5.69 (2H s), and 3.99 - 3.97 (3H s).
160	B	28	mp 277.4 – 277.9 °C; NMR δ_H (400 MHz, DMSO) 9.93 (1H, s), 8.15 – 8.12 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.55 (1H, d, *J* 8.0 Hz), 7.38 (2H, s), 7.34 (1H, s), 7.27 (1H, t, *J* 8.0 Hz), 6.96 (1H, d, *J* 7.5 Hz), 6.88 – 6.85 (1H, m), 5.63 (2H, s) and 1.99 (3H, s).
161	K	100	mp >250 °C dec; IR ν_{max} (DR)/cm^{-1} 3035, 1968, 1654, 1464, 1354, 1247, 1032 and 746; NMR δ_H (400 MHz, DMSO) 4.39 (2H, q, *J* 5.5 Hz), 5.81 (2H, s), 6.84 – 6.89 (1H, m), 7.13 (1H, d, *J* 7.5 Hz), 7.31 – 7.46 (3H, m), 7.55 (1H, d, *J* 7.5 Hz), 7.90 (1H, d, *J* 3.5 Hz), 8.12 – 8.16 (1H, m), 8.44 (3H, s). Anal. Calcd for $C_{16}H_{15}N_7O \cdot 2\,HCl \cdot 1.5\,H_2O$: C, 45.62; H, 4.79; N, 23.27. Found: C, 45.63; H, 4.71; N, 23.14.
162	B	14	mp 195.1 – 195.2 °C; IR ν_{max} (DR)/cm^{-1} 3490, 3375, 3310, 3199, 2895, 1734, 1609, 1507, 1421, 1228, 1026, 1001 and 760; NMR δ_H (400 MHz, DMSO) 2.86 (6H, s), 5.58 (2H, s), 6.48 (1H, d, *J* 7.5 Hz), 6.64 (1H, dd, *J* 8.0, 2.0 Hz), 6.72 – 6.75 (1H, m), 6.84 – 6.87 (1H, m), 7.12 (1H, t, *J* 7.5 Hz), 7.35 (2H, s), 7.90 (1H, d, *J* 3.5 Hz) and 8.10 – 8.14 (1H, m).
163	B	20	IR ν_{max} (DR)/cm^{-1} 3489, 3324, 3199, 2560, 1605, 1235, 1121, 1048 and 762; NMR δ_H (400 MHz, DMSO) 8.12 (1H, d, *J* 2.5 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.44 - 7.32 (4H, m), 7.16 (2H, d, *J* 9.0 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz) and 5.67 (2H, s).
164	B	16	mp 120.1 – 121.0 °C; IR ν_{max} (DR)/cm^{-1} 3318, 1772, 1709, 1607, 1462, 1395; NMR δ_H (400 MHz, DMSO) 8.15 - 8.14 (1H, m), 7.81 (1H, d, *J* 3.5 Hz), 7.77 (1H, t, *J* 8.0 Hz), 7.71 - 7.65 (4H, m), 7.33 (1H, d, *J* 8.0 Hz), 7.21 (2H, br s), 7.12 (1H, d, *J* 8.0 Hz), 6.88 (1H, dd, *J* 1.5, 3.5 Hz), 5.68 (2H, s), 4.80 (2H, s).
165	C	60	mp 259.7 – 259.8 °C; IR ν_{max} (DR)/cm^{-1} 3457, 3315, 3183, 2959, 2747, 1734, 1653, 1608, 1518, 1441, 1420 and 1386; NMR δ_H (400 MHz, DMSO) 8.12 (1H, d, *J* 1.0 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.35 (2H, br s), 6.93 (1H, dd, *J* 8.0, 11.5 Hz), 6.86 (1H, dd, 1.5, *J* 3.5 Hz), 6.58 (1H, dd, *J* 2.0, *J* 8.5 Hz) 6.47 – 6.39 (1H, m), 5.49 (2H, s) and 5.19 (2H, s).

166	B	10	mp 210.3 - 211.2 °C; NMR δ_H (400 MHz, DMSO) 8.15 - 8.10 (1H, m), 7.89 (1H, d, *J* 4.5 Hz), 7.35 (2H, br s), 7.18 (1H, s), 7.08 (1H, d, *J* 8.0 Hz), 6.85 (1H, dd, *J* 3.5, 1.5 Hz), 6.72 (1H, d, *J* 8.0 Hz), 5.55 (1H, s), 4.49 (2H, t, *J* 8.5 Hz) and 3.13 (2H, t, *J* 8.5 Hz).
167	B	11	IR ν_{max} (Nujol)/cm^{-1} 3486, 3319, and 1606; NMR δ_H (400 MHz, DMSO) 8.15 - 8.10 (1H, m), 7.92 - 7.87 (1H, d, *J* 3.5 Hz), 7.64 - 7.56 (1H, m), 7.54 - 7.47 (1H, m), 7.46 - 7.35 (2H, s), 7.32 - 7.22 (1H, t, *J* 9.5 Hz), 6.88 - 6.84 (1H, dd, *J* 2.0, 3.5Hz), and 5.71 - 5.65 (2H s); Anal. Calcd for $C_{15}H_{10}N_6OFBr \cdot 0.5\ H_2O$: C, 45.25; H, 2.78; N, 21.11. Found: C, 45.10; H, 2.48; N, 20.69.
168	B	12	Mp 204.0 - 204.2 °C; IR ν_{max} (Nujol)/cm^{-1} 3343 and 3208; NMR δ_H (400 MHz, DMSO) 8.14 - 8.12 (1H, m), 7.91 - 7.89(1H, dd, *J* 1.0, 3.5 Hz), 7.62 - 7.53(1H, m), 7.45 - 7.37 (2H, s), 7.05 - 6.97(1H, m), 6.88 - 6.85 (1H, dd, *J* 2.0, 3.5 Hz), and 5.76 - 5.74 (2H, s).
169	B	11	IR ν_{max} (Nujol)/cm^{-1} 3490 and 3321; NMR δ_H (400 MHz, DMSO) 8.15 – 8.13 (1H, m), 7.91 - 7.89 (1H, d, *J* 3.5 Hz), 7.78 – 7.72 (1H, m), 7.67 - 7.63 (1H, dd, *J* 2.0, 7.0 Hz), 7.46 - 7.38 (2H, s), 7.15 - 7.08 (1H, dd, *J* 9.0, 10.0 Hz) 6.88 - 6.85 (1H, dd, *J* 2.0, 3.5 Hz), and 5.67 - 5.64 (2H, s).
170	B	18	IR ν_{max} (Nujol)/cm^{-1} 3495 and 3304; NMR δ_H (400 MHz, DMSO) 8.14 - 8.12 (1H, m), 7.90 - 7.88 (1H, dd, *J* 1.0, 3.5 Hz), 7.64 - 7.62 (1H, dd, *J* 1.0, 2.0 Hz), 7.43 - 7.37 (2H, s), 6.87 - 6.84 (1H, dd, *J* 1.5, 3.5 Hz), 6.51 - 6.48 (1H, dd, *J* 1.0, 3.5 Hz), 6.46 - 6.44 (1H, dd, *J* 2.0, 3.5 Hz) and 5.67 - 5.65 (2H, s).
171	C	59	mp 219.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3508, 3421, 3307, 3190, 2949, 1609 and 1506; NMR δ_H (400 MHz, DMSO) 8.14 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.43 (2H, s), 6.92 – 6.85 (2H, m), 6.72 (1H, dd, *J* 8.5, 5.0 Hz), 6.52 (1H, dd, *J* 9.5, 3.0 Hz), 5.47 (2H, s) and 5.19 (2H, s).
172	B	18	IR ν_{max} (Nujol)/cm^{-1} 3490, 3304, 3182, 2986, 1779, 1762, 1603, 1345 and 1231; NMR δ_H (400 MHz, DMSO) 8.16 (1H, d, *J* 8.5 Hz), 8.15 – 8.13 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.46 (1H, d, *J* 2.0 Hz), 7.45 – 7.36 (2H, s), 7.32 (1H, dd, *J* 8.5, 1.5 Hz), 6.88 – 6.86 (1H, m), 5.84 (2H, s) and 1.47 (9H, s).
173	C	78	mp 239.6 – 239.8 °C; IR ν_{max} (Nujol)/cm^{-1} 3323, 2936, 2733, 1772, 1734, 1609, 1508 and 1282; NMR δ_H (400 MHz, DMSO) 9.07 (1H, s), 8.13 – 8.11 (1H, m), 7.89 (1H, d, *J* 3.5 Hz), 7.34 (2H, s), 6.87 – 6.84 (1H, m), 6.59 – 6.50 (3H, m), 5.41 (2H, s) and 4.57 (2H, s).

174	K	81	mp >200 °C dec; IR ν_{max} (DR)/cm^{-1} 3144, 2570, 2004, 1654, 1458, 1369, 1280, 1037 and 760; NMR δ_H (400 MHz, DMSO) 7.87 (1H, d, *J* 3.5 Hz), 7.13 (1H, d, *J* 11.5 Hz), 7.05 - 6.91 (2H, m), 6.51 (1H, dd, *J* 3.5, 1.0 Hz), 5.55 (2H, s) and 2.45 (3H, s).
175	C	17	mp 279.3 – 281.3 °C; IR ν_{max} (DR)/cm^{-1} 3462, 3202, 2952, 1653, 1510, 1462, 1416, 1342, 1293 and 1261; NMR δ_H (400 MHz, DMSO)13.52 (1H, br s), 7.97 (1H, br s), 7.31 (1H, s), 7.25 (2H, br s), 6.96 (1H, t, *J* 7.5 Hz), 6.46 (1H, dd, *J* 1.0, 8.0 Hz), 6.42 (1H, d, *J* 7.0), 6.34 (1H, s), 5.50 (2H,s) and 5.13 (2H, s).
176	K	51	IR ν_{max} (Nujol)/cm^{-1} 3651, 3488, 3317, 1637, 1507 and 1331; NMR δ_H (400 MHz, DMSO) 11.04 (1H, s), 8.15 – 8.13 (1H, m), 7.92 (1H, dd, *J* 3.5, 1.0 Hz), 7.87 (1H, d, *J* 8.5 Hz), 7.41 (2H, s), 6.88 – 6.86 (1H, m), 6.85 –6.81 (2H, m) and 5.72 (2H, s); Anal. Calcd for $C_{15}H_{11}N_7O_4 \cdot 1.0\ H_2O$: C, 48.52; H, 3.53, N, 26.24. Found: C, 48.68; H, 3.20; N, 26.24.
177	AL	65	mp 245.9 – 247.0 °C; IR ν_{max} (DR)/cm^{-1} 3284, 3194, 1654, 1609, 1523; NMR δ_H (400 MHz, DMSO) 8.41 (1H, t, *J* 6.0 Hz), 8.14 - 8.13 (1H, m), 7.92 (1H, dd, *J* 1.0, 3.5 Hz), 7.73 (1H, t, *J* 7.5 Hz), 7.37 (2H, br s), 7.21 (1H, d, *J* 7.5 Hz), 6.93 (1H, d, *J* 7.5 Hz), 6.88 - 6.86 (1H, m), 5.74 (2H, s), 4.28 (2H, d, *J* 6.0 Hz), 1.88 (3H, s); Anal. Calcd for $C_{17}H_{16}N_8O_2 \cdot 0.5\ H_2O \cdot 0.1\ C_3H_7NO$: C, 54.58; H, 4.69, N, 29.80. Found: C, 54.90; H, 4.43; N, 29.46.
178	R	20	mp 216.7 – 218.4 ° C; IR ν_{max} (DR)/cm^{-1} 3854, 3143, 2569, 2004, 1654, 1518, 1437, 1279, 1207, 1037 and 868; NMR δ_H (400 MHz, DMSO) 1.90 (3H, s), 4.48 (2H, d, *J* 6.0 Hz), 5.73 (2H, s), 6.82 – 6.89 (2H, m), 7.15 – 7.23 (1H, m), 7.25 – 7.46 (4H, m), 7.91 (1H, d, *J* 3.5 Hz), 8.12 – 8.15 (1H, m), 8.39 (1H, t, *J* 5.5 Hz).
179	B	11	Mp 215.8 - 216.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3482 and 3305; NMR δ_H (400 MHz, DMSO) 8.13 – 8.11 (1H, m), 7.91 - 7.89 (1H, d, *J* 3.5 Hz), 7.55 - 7.52 (1H, dd, *J* 3.0, 5.0 Hz), 7.42 – 7.40 (1H, m), 7.39 – 7.34 (2H, s), 7.11 - 7.08 (1H, dd, *J* 1.5, 5.0 Hz), 6.87 - 6.85 (1H, dd *J* 1.5, 3.5 Hz) and 5.66 - 5.64 (2H, s); Anal. Calcd for $C_{13}H_{10}N_6OS$: C, 52.34; H, 3.38, N, 28.16. Found: C, 52.53; H, 3.57; N, 28.22.
180	C	25	mp 278.4 – 279.9 °C; IR ν_{max} (DR)/cm^{-1} 3468, 3184, 2967, 1735, 1604, 1436, 1322, 1234 and 1204; NMR δ_H (400 MHz, DMSO) 7.87 (1H, d, *J* 3.0 Hz), 7.30 (2H, br s), 6.80 (1H, t, *J* 8.0 Hz), 6.58 (1H, dd, *J* 1.0, 8.0 Hz), 6.51 (1H, dd, *J* 3.0, 1.0 Hz), 6.11 (1H, d, *J* 7.0 Hz), 5.54 (2H, s), 4.93 (2H, br s), 2.45 (3H, s) and 2.1 (3H, s).

181	B	12	IR ν_{max} (Nujol)/cm^{-1} 3501 and 3316; NMR δ_H (400 MHz, DMSO) 8.13 - 8.11 (1H, m), 7.90 - 7.87 (1H, dd, *J* 1.0, 3.5 Hz), 7.43 - 7.32 (2H, s), 7.40 - 7.37 (1H, d, *J* 5.0 Hz), 6.90 - 6.87 (1H, d, *J* 5.0 Hz), 6.86 - 6.84 (1H, dd, *J* 2.0, 3.5 Hz), 5.73 - 5.72 (2H, s), and 2.37 - 2.35 (3H, s).
182	A M	30	mp 92.3 – 92.7 °C; IR ν_{max} (DR)/cm^{-1} 3124, 3073, 2926, 1609, 1520, 1411; NMR δ_H (400 MHz, DMSO) 8.18 - 8.17 (1H, m), 7.93 (1H, d, *J* 3.0 Hz), 7.80 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.19 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 1.5, 3.5 Hz), 5.94 - 5.75 (3H, m), 5.78 (2H, s), 5.28 - 5.07 (6H, m), 4.48 (2H, s), 4.43 - 4.12 (4H, m), 4.02 - 3.99 (2H, m); Anal. Calcd for $C_{24}H_{25}N_7O_2$: C, 65.00; H, 5.68, N, 22.10. Found: C, 65.23; H, 5.80; N, 21.60.
183	B	27	mp 152.0 – 153.5 °C; IR ν_{max} (DR)/cm^{-1} 3515, 3300, 3183, 2934, 2822, 1631, 1450, 1434; NMR δ_H (400 MHz, DMSO) 7.89 (1H, d, *J* 3.0 Hz), 7.79 (1H, t, *J* 7.5 Hz), 7.33 (2H, br s), 7.34 (1H, d, *J* 7.5 Hz), 7.02 (1H, d, *J* 7.5 Hz), 6.53 - 6.51 (1H, m), 5.74 (2H, s), 4.43 (2H, s), 2.46 (3H, s), 3.33 (3H, s); Anal. Calcd for $C_{17}H_{17}N_7O_2$: C, 58.11; H, 4.88, N, 27.89. Found: C, 57.75; H, 4.85; N, 27.59.
184	C	76	mp 219.7 – 220.4 °C IR ν_{max} (DR)/cm^{-1} 3450, 3312, 2920, 2728, 1737, 1638, 1438, 1354, 1326, 1291and 1234; NMR δ_H (400 MHz, DMSO) 7.85 (1H, d, *J* 3.0 Hz), 7.30 (2H, br s), 7.01 (2H, d, *J* 8.5 Hz), 6.52 – 6.47 (3H, m), 5.41 (2H, s), 5.12 (2H, s) and 2.44 (3H, s).
185	B	15	mp 155.6 – 157.1 °C; IR ν_{max} (DR)/cm^{-1} 3332, 3197, 2857, 1655, 1605, 1525, 1420; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.79 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.36 (2H, br s), 7.05 (1H, d, *J* 7.5 Hz), 6.88 - 6.86 (1H, m), 5.98 - 5.86 (1H, m), 5.76 (2H, s), 5.29 - 5.24 (1H, m), 5.17 - 5.13 (1H, m), 4.48 (2H, s), 4.06 - 4.00 (2H, m); Anal. Calcd for $C_{18}H_{17}N_7O_2$: C, 59.50; H, 4.72, N, 26.97. Found: C, 59.39; H, 4.70; N, 26.99.
186	B	23	mp 149.0 – 149.3 °C; IR ν_{max} (DR)/cm^{-1} 3514, 3295, 3168, 2861, 1634, 1502, 1435; NMR δ_H (400 MHz, DMSO) 7.88 (1H, d, *J* 3.5 Hz), 7.79 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.33 (2H, br s), 7.04 (1H, d, *J* 7.5 Hz), 6.52 - 6.51 (1H, m), 5.96 - 5.86 (1H, m), 5.74 (2H, s), 5.30 - 5.24 (1H, m), 5.17 - 5.13 (1H, m), 4.49 (2H, s), 4.06 - 4.00 (2H, m), 2.46 (3H, s); Anal. Calcd for $C_{19}H_{19}N_7O_2 \cdot 0.2$ H_2O: C, 59.90; H, 5.13, N, 25.73. Found: C, 59.71; H, 5.02; N, 25.64.
187	B	20	mp 191.7 – 191.9 °C; IR ν_{max} (Nujol)/cm^{-1} 3501, 3307, 3189, 2974, 1611, 1527 and 1338; NMR δ_H (400 MHz, DMSO) 8.12 – 8.15 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.76 (1H, d, *J* 8.5 Hz), 7.67 (1H, d, *J* 2.0 Hz), 7.44 – 7.36 (2H, s), 7.16 (1H, dd, *J* 8.5, 2.0 Hz), 6.87 – 6.85 (1H, m), 5.78 (2H, s), 3.22 (1H, quin, *J* 6.5 Hz)

			and 1.22 (6H, d, *J* 6.5 Hz).
188	B	32	mp 228.9 - 229.9 °C; IR ν_{max} (DR)/cm^{-1} 3461, 3317, 3195, 1606, 1504, 1320, 1026 and 818; NMR δ_H (400 MHz, DMSO) 8.16-8.14 (1H, m), 7.98 (1H, d, *J* 8.0 Hz), 7.94 (1H, d, *J* 3.5, 1.0 Hz), 7.91 (1H, d, *J* 8.0 Hz), 7.78 - 7.73 (1H, m), 7.64 - 7.58 (1H, m), 7.36 (1H, d, *J* 8.5 Hz), 7.36 (2H, br s), 6.88 (1H, dd, *J* 3.5, 1.5 Hz) and 5.98 (2H, s).
189	K	23	NMR δ_H (400 MHz, DMSO) 8.14 - 8.12 (1H, m), 7.92 - 7.89 (1H, dd, *J* 1.0, 3.5 Hz), 7.35 - 7.31 (2H, d, *J* 8.5 Hz), 7.28 - 7.16 (2H, s), 6.88 - 6.85 (1H, dd, *J* 1.5, 3.5 Hz), 5.66 - 5.64 (2H, s), and 2.82 - 2.80 (3H, s); M/Z 322 $(M+H)^+$.
190	B	37	mp 290.2 – 290.3 °C; IR ν_{max} (DR)/cm^{-1} 3491, 3338, 3205, 3128, 2936, 1710, 1616, 1490, 1458; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.93 (1H, t, *J* 7.5 Hz), 7.90 (1H, dd, *J* 1.0, 3.5 Hz), 7.84 (1H, d, *J* 7.5 Hz), 7.56 (1H, d, *J* 7.5 Hz), 7.34 (2H, br s), 6.86 (1H, dd, *J* 2.0, 3.5 Hz), 6.69 (1H, q, *J* 7.5 Hz), 2.48 (3H, s), 1.98 (3H, d, *J* 7.5 Hz); Anal. Calcd for $C_{17}H_{15}N_7O_2$: C, 58.45; H, 4.33, N, 28.05. Found: C, 58.26; H, 4.42; N, 27.67.
191	C	16	mp 186.1 – 189.1 °C; IR ν_{max} (DR)/cm^{-1} 3458, 1598, 1509, 1460, 1329, 1267 and 1220; NMR δ_H (400 MHz, DMSO) 11.73 (1H, s), 7.59 (1H, s), 7.17 (1H, s), 6.97 (1H, t, *J* 7.8 Hz), 6.95 – 6.83 (2H, br s), 6.45 (1H, dd, *J* 1.5, *J* 8.0 Hz), 6.40 (1H, d, *J* 8.0 Hz), 6.38 – 6.31 (1H, m), 5.47 (2H, s) and 5.13 (2H,s).
192	Q	2	NMR δ_H (400 MHz, CD_3OD) 8.84 (1H, d, *J* 4.5 Hz), 8.77 (1H, d, *J* 8.0 Hz), 8.33 (1H, t, *J* 1.7 Hz), 8.2 (1H, dd, *J* 2.0, 8.0 Hz), 8.06 (1H, td, *J* 7.8, 2.0 Hz), 7.81 (1H, d, *J* 7.5 Hz), 7.65 – 7.58 (2H, m) and 5.88 (2H, s); M/Z 349 $(M+H)^+$; Retention time 1.77 min.
193	B	6	mp 253.6 – 254.0 ° C; IR ν_{max} (DR)/cm^{-1} 3320, 1611, 1414, 1315, 1255, 1026 and 767; NMR δ_H (400 MHz, DMSO) 2.01 (3H, s), 5.59 (2H, s), 6.84 – 6.88 (1H, m), 7.22 (2H, d, *J* 8.5 Hz), 7.36 (2H, s), 7.54 (2H, d, *J* 8.5 Hz) 7.90 (1H, d, *J* 3.5 Hz), 8.11 – 8.14 (1H, m), 9.97 (1H, s).
194	B	36	mp 136.5 – 137.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3489, 3311, 3195, 2954, 1774 and 1613; NMR δ_H (400 MHz, DMSO) 8.24 (1H, s), 8.04 (1H, d, *J* 2.0 Hz), 8.00 (1H, d, *J* 3.5 Hz), 7.96 (1H, dd, *J* 8.5, 2.0 Hz), 7.52 – 7.44 (2H, s), 7.40 (1H, d, *J* 8.5 Hz), 6.99 – 6.95 (1H, m), 5.83 (2H, s), 5.54 (2H, s), 3.66 (2H, t, *J* 8.0 Hz), 0.93 (2H, t, *J* 8.0 Hz) and 0.00 (9H, s); Anal. Calcd for $C_{21}H_{25}N_7O_5Si \cdot 0.1\ H_2O$:

			C, 51.97; H, 5.23, N, 20.20. Found: C, 51.97; H, 5.19; N, 19.86.
195	B	12	IR ν_{max} (Nujol)/cm^{-1} 3652, 3506, 3307, 3196, 2990, 2878, 1633, 1521 and 1344; NMR δ_H (400 MHz, DMSO) 8.14 (1H, s), 7.94 – 7.88 (2H, m), 7.46 (1H, s), 7.40 (2H, s), 7.23 (1H, dd, *J* 8.5, 2.0 Hz), 6.88 – 6.85 (1H, m), 5.78 (2H, s), 2.80 (2H, q, 7.5 Hz) and 1.17 (3H, t, *J* 7.5 Hz); Anal. Calcd for $C_{17}H_{15}N_7O_3 \cdot 0.25\ H_2O$: C, 55.21; H, 4.22, N, 26.51. Found: C, 55.47; H, 4.12; N, 26.25.
196	B	23	mp 178.4-179.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3469 and 3311; NMR δ_H (400 MHz, DMSO) 8.13 – 8.11 (1H, m), 7.90 - 7.88 (1H, dd, *J* 1.0, 3.5 Hz), 7.37 – 7.32 (2H, s), 7.32 - 7.29 (1H, dd, *J* 1.5, 5.5 Hz), 6.92 - 6.89 (1H, dd, *J* 3.5, 5.5 Hz), 6.87 - 6.84 (2H, m), 4.70 - 4.64 (2H, t, *J* 7.0 Hz), and 3.53 - 3.48 (2H, t, *J* 7.0 Hz); Anal. Calcd for $C_{14}H_{12}N_6OS$: C, 53.84; H, 3.87; N, 26.89. Found: C, 53.98; H, 3.87; N, 26.50.
197	B	22	mp 188.3 – 188.5 °C; IR ν_{max} (DR)/cm^{-1} 3324, 3189, 2964, 1649, 1513; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.93 (1H, d, *J* 3.5 Hz), 7.67 (1H, t, *J* 7.5 Hz), 7.34 (2H, br s), 7.20 (1H, d, *J* 7.5 Hz), 6.89 (1H, d, *J* 7.5 Hz), 6.87 - 6.86 (1H, m), 5.75 (2H, s), 2.93 (1H, sept, *J* 7.0 Hz), 1.12 (6H, d, *J* 7.0 Hz); Anal. Calcd for $C_{17}H_{17}N_7O$: C, 60.88; H, 5.11, N, 29.22. Found: C, 61.03; H, 5.13; N, 28.95.
198	B	27	IR ν_{max} (DR)/cm^{-1} 3466, 3312, 3187, 2958, 2850, 1639, 1511, 1221, 1047, 756 and 599; NMR δ_H (400 MHz, DMSO) 8.13 (1H, s), 8.09 (1H, s), 7.91 (1H, d, *J* 3.0 Hz), 7.72 (1H, d, *J* 8.5 Hz), 7.68 (1H, s), 7.42 (1H, d, *J* 8.5 Hz), 7.37 (2H, br s), 6.88 - 6.83 (1H, m), 5.82 (1H, d, *J* 9.5 Hz), 5.77 (2H, s), 3.90 - 3.80 (1H, m), 3.77 - 3.64 (1H, m), 2.45 - 2.30 (1H, m), 2.10 - 1.88 (2H, m), 1.80 - 1.63 (1H, m), 1.62 - 1.49 (2H, m).
199	B	7	mp 226.5 – 227.9 °C; IR ν_{max} (DR)/cm^{-1} 3466, 3316, 3182, 2962, 1645, 1606, 1546, 1514, 1473; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.92 (1H, d, *J* 3.0 Hz), 7.33 (2H, br s), 7.07 - 7.06 (1H, m), 6.88 - 6.86 (2H, m), 5.71 (2H, s), 2.88 (1H, sept, *J* 7.0 Hz), 2.82 (1H, sept, *J* 7.0 Hz), 1.14 (6H, d, *J* 7.0 Hz), 1.09 (6H, d, *J* 7.0 Hz).
200	K	99	mp > 300 °C dec; IR ν_{max} (DR)/cm^{-1} 3100, 1662, 1465, 1281, 1032, 782 and 592; NMR δ_H (400 MHz, DMSO) 8.16 - 8.13 (1H, m), 8.07 (1H, s), 7.92 (1H, d, *J* 3.5 Hz), 7.68 (1H, s), 7.54 (1H, d, *J* 8.5 Hz), 7.35 (1H, dd, 8.5, 1.5 Hz), 6.87 (1H, dd, *J* 3.5, 2.0 Hz) and 5.76 (1H, s)

201	K	99	IR ν_{max} (Nujol)/cm^{-1} 2999, 1656, 1530 and 1461; NMR δ_H (400 MHz, DMSO) 11.10 (1H, s), 8.14 (1H, d, *J* 1.0 Hz), 7.92 (1H, d, *J* 3.5 Hz), 7.72 (1H, d, *J* 2.5 Hz), 7.61 (1H, dd, *J* 8.5, 2.5 Hz), 7.0 (1H, d, *J* 8.5 Hz), 6.86 – 6.88 (1H, m), 5.67 (2H, s) and 5.11 – 5.16 (3H, s).
202	B	32	mp 210.5 – 211.6 °C; IR ν_{max} (FILM)/cm^{-1} 3352, 3204, 3001, 1659, 1569, 1510, 1440; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.93 (1H, d, *J* 3.5 Hz), 7.76 (1H, t, *J* 7.5Hz), 7.43 (1H, d, *J* 7.5 Hz), 7.36 (2H, br s), 7.03 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 2.0, 3.5 Hz), 6.75 (1H, dd, *J* 10.5, 17.5 Hz), 6.09 (1H, dd, *J* 2.0, 17.5 Hz), 5.78 (2H, s), 5.43 (1H, dd, *J* 1.5, 10.5 Hz); Anal. Calcd for $C_{16}H_{13}N_7O$: C, 60.18; H, 4.10, N, 30.69. Found: C, 60.14; H, 4.20; N, 30.39.
203	AS	12	IR ν_{max} (Nujol)/cm^{-1} 3514, 3298 and 1761; NMR δ_H (400 MHz, DMSO) 8.16 - 8.15 (1H, m), 7.89 - 7.86 (1H, dd, *J* 1.0, 3.5 Hz), 7.80 – 7.40 (2H, s), 6.89 - 6.86 (1H, dd, *J* 1.5, 3.5 Hz), and 1.66 - 1.64 (9H, s).
204	B	16	mp 143.6 - 144.5 °C; IR ν_{max} (DR)/cm^{-1} 3644, 3315, 3195, 2978, 1743, 1608, 1163, 1086 and 746; NMR δ_H (400 MHz, DMSO) 8.14 - 8.10 (1H, m), 8.05 (1H, d, *J* 8.0 Hz), 7.88 (1H, d, *J* 3.5 Hz), 7.81 (1H, s), 7.66 (1H, d, *J* 7.5 Hz), 7.42 (2H, br s), 7.34 (1H, t, *J* 8.0 Hz), 7.23 (1H, t, *J* 8.0 Hz), 6.85 (1H, dd, *J* 3.5, 2.0 Hz), 5.78 (2H, s) and 1.63 (9H, s)
205	B	19	IR ν_{max} (DR)/cm^{-1} 3431, 3325, 3217, 1646, 1504, 1431; NMR δ_H (400 MHz, DMSO) 9.87 - 9.86 (1H, m), 8.14 (1H, m), 8.05 (1H, t, *J* 8.0 Hz), 7.94 - 7.92 (1H, m), 7.88 (1H, d, *J* 8.0 Hz), 7.52 (1H, d, *J* 8.0 Hz), 7.38 (2H, br s), 6.88 - 6.87 (1H, m), 5.91 (2H, s); Anal. Calcd for $C_{15}H_{11}N_7O_2 \cdot 0.3\ H_2O$: C, 55.15; H, 3.58, N, 30.01. Found: C, 55.33; H, 3.35; N, 29.64.
206	B	30	IR ν_{max} (film)/cm^{-1} 3327, 3209, 2987, 1730, 1664, 1390, 1168, 959, 745 and 664; NMR δ_H (400 MHz, DMSO) 8.16 (1H, s), 8.09 (1H, d, *J* 8.0 Hz), 7.97 - 7.92 (2H, m), 7.47 (1H, d, *J* 7.5 Hz), 7.44 - 7.35 (2H, br s), 7.31 (1H, t, *J* 7.5 Hz), 7.20 (1H, t, *J* 7.0 Hz), 6.89 (1H, dd, *J* 3.5, 1.5 Hz), 6.03 - 5.96 (3H, m) and 1.64 (9H, s)
207	AF	71	mp 289.1 - 289.3 °C; IR ν_{max} (DR)/cm^{-1} 3442, 3317, 3189, 1649, 1607, 1519, 1332, 1118, 1023 and 763; NMR δ_H (400 MHz, DMSO) 11.21 (1H, br s), 8.13 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.46 (1H, d, 8.0 Hz), 7.38 (2H, br s), 7.33 (1H, d, *J* 8.0 Hz), 7.06 (1H, t, *J* 8.0 Hz), 6.96 (1H, t, *J* 7.0 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 6.31 (1H, s) and 5.79 (2H, s)

208	B	4	NMR δ_H (400 MHz, DMSO) 8.13 – 8.12 (1H, m), 7.91 - 7.88 (1H, dd, *J* 1.5, 3.5 Hz), 7.46 – 7.36 (2H, s), 6.98 - 6.96 (1H, d, *J* 3.5 Hz), 6.87 – 6.84 (1H, dd, *J* 1.5, 3.5 Hz), 6.72 - 6.69 (1H, d, *J* 3.5 Hz), 5.76 - 5.74 (2H, s), 2.52 - 2.48 (2H, h, *J* 2.0, 3.5 Hz) and 1.20 - 1.14 (3H, t, *J* 7.5 Hz); M/Z 327 $(M+H)^+$.
209	B	17	mp >250 °C dec; IR ν_{max} (DR)/cm^{-1} 3489, 3316, 2919, 1610, 1326, 1037, 862, 760 and 593; NMR δ_H (400 MHz, DMSO) 8.13 - 8.11 (1H, m), 7.90 (1H, d, *J* 2.5 Hz), 7.38 (2H, br s), 6.92 (1H, d, *J* 2.0 Hz), 6.88 (1H, d, *J* 8.0 Hz), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 6.79 (1H, dd, *J* 8.0, 1.5 Hz), 6.00 (2H, s) and 5.56 (2H, s).
210	C	36	IR ν_{max} (DR)/cm^{-1} 3427, 3318, 3201, 2966, 1605, 1503, 1415, 1281, 1027 and 762; NMR δ_H (400 MHz, DMSO) 1.08 (3H, t, *J* 7.0 Hz), 2.39 (2H, q, *J* 7.0 Hz), 4.91 (2H, s), 5.44 (2H, s), 6.54 (1H, d, *J* 8.0 Hz), 6.80 – 9.62 (2H, m), 6.97 (1H, s), 7.34 (2H, s), 7.89 (1H, s), 8.12 (1H, s). Anal. Calcd for $C_{17}H_{17}N_7O \cdot 0.6\ H_2O$: C, 58.98; H, 5.30; N, 28.32. Found: C, 59.37; H, 5.02; N, 28.05.
211	AT	13	mp 257.1 – 257.3 ° C; IR ν_{max} (DR)/cm^{-1} 3491, 3343, 3205, 3131, 2971, 1973, 1691, 1626, 1499, 1437, 1239, 1030 and 764; NMR δ_H (400 MHz, DMSO) 6.26 (2H, s), 6.86 – 6.91 (1H, m), 7.33 (2H, s), 7.63 (2H, t, *J* 7.5 Hz), 7.77 (1H, t, *J* 7.5 Hz), 7.94 (1H, d, *J* 3.0 Hz), 8.11 – 8.16 (3H, m).
212	R	58	IR ν_{max} (DR)/cm^{-1} 3321, 1608, 1438, 1304, 1025 and 757; NMR δ_H (400 MHz, DMSO) 5.67 (2H, s), 6.86 – 6.88 (1H, m), 7.05 (1H, d, *J* 7.5 Hz), 7.20 (1H, dd, *J* 5.0, 3.5 Hz), 7.35 (1H, t, *J* 8.0 Hz), 7.39 (2H, s), 7.55 – 7.58 (1H, m), 7.72 (1H, d, *J* 7.5 Hz), 7.85 (1H, dd, *J* 5.0, 1.0 Hz), 7.92 (1H, d, *J* 3.5 Hz), 7.98 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.15 (1H, m), 10.24 (1H, s).
213	AU	29	IR ν_{max} (DR)/cm^{-1} 3285, 1975, 1625, 1461; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.92 (1H, d, J 3.0 Hz), 7.80 (1H, t, J 7.5 Hz), 7.44 (1H, d, J 7.5 Hz), 6.98 (1H, d, J 7.5 Hz), 6.87 (1H, dd, J 1.5, 3.5 Hz), 5.75 (2H, s), 4.52 (2H, s).
214	R	43	IR ν_{max} (DR)/cm^{-1} 3321, 2956, 1610, 1234, 1027and 757; NMR δ_H (400 MHz, DMSO) 0.99 (9H, s), 2.14 (2H, s), 5.63 (2H, s), 6.85 – 6.89 (1H, m), 6.94 (1H, d, *J* 8.0 Hz), 7.27 (1H, t, *J* 7.5 Hz), 7.33 – 7.45 (3H, m), 7.59 (1H, d, *J* 9.0 Hz), 7.92 (1H, d, *J* 3.5 Hz), 8.12 – 8.15 (1H, m).
215	R	52	IR ν_{max} (DR)/cm^{-1} 3510, 3278, 1631, 1425, 1293, 1217, 1024 and 757; NMR δ_H (400 MHz, DMSO) 0.71 – 0.79 (4H, m), 1.72 (1H, tt, *J* 5.5, 7.0 Hz), 5.63 (2H, s), 6.85 – 6.89 (1H, m), 6.96 (1H, d, *J* 8.0 Hz), 7.27 (1H, t, *J* 7.5 Hz), 7.32 – 7.45 (3H, m), 7.55 (1H, d, *J* 8.0 Hz), 7.92 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.15 (1H, m), 10.19 (1H, s). Anal. Calcd for $C_{19}H_{17}N_7O_2 \cdot 0.15\ H_2O$: C, 60.36; H, 4.61; N, 25.93. Found: C, 60.89; H, 4.62; N, 25.54.

216	B	15	mp 178.3 – 178.5 °C; IR ν_{max} (DR)/cm^{-1} 3472, 3324, 3194, 2964, 1641, 1598, 1510; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.92 (1H, dd, *J* 1.0, 3.5 Hz), 7.66 (1H, t, *J* 8.0 Hz), 7.35 (2H, br s), 7.17 (1H, d, *J* 8.0 Hz), 6.90 (1H, d, *J* 8.0 Hz), 6.87 (1H, dd, *J* 2.0, 3.5 Hz), 5.73 (2H, s), 2.63 (2H, t, *J* 7.5 Hz), 1.59 (2H, sext, *J* 7.5 Hz), 0.83 (3H, t, *J* 7.5 Hz); Anal. Calcd for $C_{17}H_{17}N_7O \cdot 0.1\ C_4H_8O_2$: C, 60.72; H, 5.21, N, 28.49. Found: C, 60.85; H, 5.22; N, 28.29.
217	B	16	mp 146.7 – 149.3 °C; IR ν_{max} (DR)/cm^{-1} 3518, 3323, 2955, 1605, 1511; NMR δ_H (400 MHz, DMSO) 8.14 - 8.13 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.79 (1H, t, *J* 7.5 Hz), 7.35 (2H, br s), 7.35 (1H, d, *J* 7.5 Hz), 7.05 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 1.5, 3.5 Hz), 5.75 (2H, s), 4.46 (2H, s), 3.22 (2H, d, *J* 6.5 Hz), 1.77 - 1.87 (1H, m), 0.85 (6H, d, *J* 6.5 Hz); Anal. Calcd for $C_{19}H_{21}N_7O_2 \cdot 0.5\ H_2O$: C, 58.75; H, 5.71, N, 25.24. Found: C, 58.87; H, 5.49; N, 24.92.
218	B	14	mp 196.0 – 196.1 °C; IR ν_{max} (DR)/cm^{-1} 3481, 3325, 3203, 1646, 1607, 1518, 1488; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.92 (1H, d, *J* 3.5 Hz), 7.80 (1H, t, *J* 7.5 Hz), 7.50 (1H, d, *J* 7.5 Hz), 7.38 (2H, br s), 7.04 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 1.5, 3.5 Hz), 5.77 (2H, s), 4.64 (2H, s).
219	C	37	IR ν_{max} (DR)/cm^{-1} 3480, 3379, 3199, 2958, 2761, 2104, 1879, 1776, 1659, 1516, 1439, 1334, 1024, 762 and 575; NMR δ_H (400 MHz, DMSO) 1.10 (6H, d, *J* 7.0 Hz), 2.92 (1H, sept, *J* 6.5 Hz), 4.93 (2H, s), 5.44 (2H, s), 6.54 (1H, d, *J* 8.0 Hz), 6.80 – 6.88 (2H, m), 7.09 (1H, d, *J* 2.0 Hz), 7.34 (2H, s), 7.88 (1H, d, *J* 3.5 Hz), 8.10 – 8.13 (1H, m). Anal. Calcd for $C_{18}H_{19}N_7O \cdot 0.3\ H_2O$: C, 60.93; H, 5.57; N, 27.63. Found: C, 60.77; H, 5.50; N, 27.42.
220	AV	26	mp 223.3 – 223.4 °C; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.92 (1H, dd, *J* 1.0, 3.5 Hz), 7.82 (1H, t, *J* 7.5 Hz), 7.37 (1H, d, *J* 7.5 Hz), 7.36 (2H, br s), 7.07 (1H, d, *J* 7.5 Hz), 6.87 (1H, dd, *J* 1.5, 3.5 Hz), 5.78 (2H, s), 4.18 (2H, s).
221	A W	7	NMR δ_H (400 MHz, DMSO) 9.48 - 9.45 (1H, s), 8.12 - 8.10 (1H, m), 7.90 - 7.88 (1H, dd, *J* 1.0, 3.5 Hz), 7.36 - 7.30 (2H, s), 7.17 - 7.12 (2H, dd, *J* 2.0, 8.5 Hz), 6.86 - 6.84 (1H, dd, *J* 2.0, 3.5 Hz), 6.74 - 6.70 (2H, dd, *J* 2.0, 8.5 Hz) and 5.53 - 5.51 (2H, s); M/Z 309 $(M+H)^+$.
222	B	18	IR ν_{max} (DR)/cm^{-1} 3483, 3319, 3200, 2961, 1953, 1709, 1612, 1439, 1343, 1220, 995 and 761; NMR δ_H (400 MHz, DMSO) 6.37 (2H, s), 6.86 – 6.91 (1H, m), 7.35 (2H, s), 7.94 (1H, d, *J* 3.0 Hz), 8.15 (1H, s), 8.37 (2H, d, *J* 8.5 Hz), 8.43 (2H, d, *J* 8.5 Hz). Anal. Calcd for $C_{16}H_{11}N_7O_4 \cdot 0.2\ H_2O$: C, 52.09; H, 3.11; N, 26.58. Found: C, 51.94; H, 3.05; N, 26.27.

223	B	41	IR ν_{max} (DR)/cm^{-1} 4013, 3601, 3456, 3209, 2959, 2237, 1938, 1708, 1625, 1505, 1171, 1002, 827 and 733; NMR δ_H (400 MHz, DMSO) 6.33 (2H, s), 6.84 – 6.91 (1H, m), 7.34 (2H, s), 7.94 (1H, d, *J* 3.5 Hz), 8.09 – 8.18 (3H, m), 8.28 (2H, d, *J* 8.0 Hz). Anal. Calcd for $C_{17}H_{11}N_7O_2 \cdot 0.7\ H_2O$: C, 57.05; H, 3.49; N, 27.39. Found: C, 56.97; H, 3.12; N, 27.37.
224	AX	54	IR ν_{max} (DR)/cm^{-1} 3423, 3321, 3212, 1641, 1511, 1420, 1316, 1136 and 780; NMR δ_H (400 MHz, DMSO) 0.87 (3H, t, *J* 7.5 Hz), 1.54 – 1.66 (2H, m), 2.96 – 3.04 (2H, m), 5.65 (2H, s), 6.85 – 6.88 (1H, m), 7.00 – 7.03 (2H, m), 7.10 – 7.16 (1H, m), 7.30 (1H, t, *J* 7.5 Hz), 7.36 (2H, s), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.15 (1H, m), 9.79 (1H, s). Anal. Calcd for $C_{18}H_{19}N_7O_3S$: C, 52.29; H, 4.63; N, 23.70. Found: C, 52.22; H, 4.70; N, 23.36.
225	AX	47	IR ν_{max} (DR)/cm^{-1} 3477, 3319, 3114, 1609, 1479, 1414, 1349, 1162, 956 and 763; NMR δ_H (400 MHz, DMSO) 5.63 (2H, s), 5.85 – 6.89 (1H, m), 6.92 (1H, t, *J* 1.5 Hz), 7.02 – 7.11 (3H, m), 7.26 – 7.33 (2H, m), 7.37 (2H, s), 7.93 (1H, dd, *J* 3.5, 1.0 Hz), 8.12 – 8.15 (1H, m), 10.62 (1H, s).
226	AY	58	mp 221.4 – 221.5 °C; IR ν_{max} (DR)/cm^{-1} 3569, 3134, 2701, 2421, 1656, 1460; NMR δ_H (400 MHz, DMSO) 8.14 (1H, m), 7.92 (1H, dd, *J* 1.0, 3.5 Hz), 7.88 (1H, t, *J* 7.5 Hz), 7.48 (1H, d, *J* 7.5 Hz), 7.16 (1H, d, *J* 7.5 Hz), 6.88 - 6.87(1H, m), 5.80 (2H, s), 4.27 - 4.24 (2H, m), 2.60 - 2.56 (3H, m).
227	B	24	IR ν_{max} (DR)/cm^{-1} 4011, 3491, 3377, 3210, 3125, 2975, 2663, 2106, 1924, 1740, 1618, 1438, 1201, 1004, 796 and 752; NMR δ_H (400 MHz, DMSO) 1.14 (6H, t, *J* 6.5 Hz), 3.46 (4H, q, *J* 6.5 Hz), 6.00 (2H, s), 6.76 (2H, d, *J* 9.0 Hz), 6.87 (1H, s), 7.26 (2H, s), 7.85 – 7.97 (3H, m), 8.13 (1H, s). Anal. Calcd for $C_{20}H_{21}N_7O_2 \cdot 0.6\ H_2O$: C, 59.72; H, 5.56; N, 24.38. Found: C, 60.00; H, 5.40; N, 24.03.
228	B	26	mp 164.3 – 169.3 °C; IR ν_{max} (DR)/cm^{-1} 3376, 3199, 2964, 1659, 1613, 1516, 1441; NMR δ_H (400 MHz, DMSO) 8.53 (1H, d, *J* 2.0 Hz), 8.12 - 8.11 (1H, m), 7.89 (1H, d, *J* 3.5 Hz), 7.62 (1H, dd, *J* 2.5, 8.0 Hz), 7.35(2H, br s), 7.26 (1H, d, *J* 7.5 Hz), 6.85 (1H, dd, *J* 1.5, 3.5 Hz), 5.67 (2H, s), 2.99 (1H, sept, *J* 7.0 Hz), 1.20 (6H, d, *J* 7.0 Hz); Anal. Calcd for $C_{17}H_{17}N_7O \cdot 0.5\ H_2O$: C, 59.29; H, 5.27, N, 28.47. Found: C, 59.28; H, 5.16; N, 28.23.
229	B	40	mp 258.2 - 258.4 °C; IR ν_{max} (DR)/cm^{-1} 3367, 3200, 2932, 1671, 1243, 1178, 1033, 797 and 610; NMR δ_H (400 MHz, DMSO) 8.12 - 8.07 (3H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.15 - 7.09 (4H, m), 6.85 (1H, dd, *J* 3.5, 1.5 Hz), 6.10 (2H, s) and 3.89 (3H, s).

230	B	25	IR ν_{max} (DR)/cm^{-1} 3436, 3320, 3208, 2977, 1609, 1370, 1323, 1155, 1025, 840 and 768; NMR δ_H (400 MHz, DMSO) 8.12 - 8.10 (1H, m), 7.89 (1H, d, *J* 3.5 Hz), 7.78 (1H, d, *J* 4.0 Hz), 7.73 (1H, d, *J* 2.5 Hz), 7.33 (2H, br s), 6.88 - 6.84 (2H, m), 6.76 (1H, d, *J* 4.0 Hz), 6.07 (2H, s) and 1.50 (9H, s).
231	AF	76	mp 321.8 - 322.2 °C; IR ν_{max} (DR)/cm^{-1} 3993, 3233, 1645, 1515, 1437, 1336, 1102, 854 and 759; NMR δ_H (400 MHz, DMSO) 11.56 (1H, br s), 8.13 - 8.11 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.58 (1H, d, *J* 2.0 Hz), 7.55 (1H, t, *J* 3.5 Hz), 7.42 (2H, br s), 6.86 (1H, dd, *J* 3.5, 2.0 Hz), 6.73 (1H, d, *J* 2.0 Hz), 6.52 (1H, dd, *J* 3.0, 2.0 Hz) and 5.94 (2H, s).
232	AX	20	IR ν_{max} (DR)/cm^{-1} 3216, 1713, 1610, 1505, 1421, 1185, 1124, 1026, 886 and 763; NMR δ_H (400 MHz, DMSO) 2.13 (3H, s), 2.35 (3H, s), 5.62 (2H, s), 6.85 – 6.88 (1H, m), 6.90 – 6.94 (1H, m), 6.98 – 7.03 (1H, m), 7.11 (1H, d, *J* 8.0 Hz), 7.30 (1H, t, *J* 7.5 Hz), 7.31 (2H, s), 7.91 (1H, d, *J* 3.5 Hz), 8.11 – 8.14 (1H, m), 10.46 (1H, s).
233	AV	40	mp 219.7 – 222.3 °C; IR ν_{max} (DR)/cm^{-1} 3326, 3191, 2821, 2772, 1595, 1504, 1432; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.91 (1H, dd, *J* 1.0, 3.5 Hz), 7.74 (1H, t, *J* 7.5 Hz), 7.35 (1H, d, *J* 7.5 Hz), 7.31 (2H, br s), 6.98 (1H, d, *J* 7.5 Hz), 6.86 (1H, dd, *J* 2.0, 3.5 Hz), 5.74 (2H, s), 3.46 (2H, s), 2.15 (6H, s); Anal. Calcd for $C_{17}H_{18}N_8O$: C, 58.28; H, 5.18, N, 31.97. Found: C, 57.94; H, 5.17; N, 31.70.
234	AV	55	mp 168.3 – 168.5 °C; IR ν_{max} (DR)/cm^{-1} 3416, 3322, 3180, 2911, 1646, 1612, 1509, 1436; NMR δ_H (400 MHz, DMSO) 8.13-8.12 (1H, m), 7.91 (1H, dd, *J* 1.0, 3.5 Hz), 7.74 (1H, t, *J* 7.5 Hz), 7.32 (1H, d, *J* 7.5 Hz), 7.31 (2H, br s), 7.02 (1H, d, *J* 7.5 Hz), 6.87 - 6.86 (1H, m), 5.75 (2H, s), 3.69 (2H, s), 1.92 (3H, s); Anal. Calcd for $C_{16}H_{15}N_7OS \cdot 0.2\ H_2O$: C, 53.83; H, 4.35, N, 27.46. Found: C, 53.74; H, 4.29; N, 27.13.
235	B	3	mp 231.6 - 231.7 °C; IR ν_{max} (DR)/cm^{-1} 3642, 3320, 3198, 1727, 1533, 1437, 1223, 1029, 842 and 639; NMR δ_H (400 MHz, DMSO) 8.18 (1H, d, *J* 7.5 Hz), 8.13 (1H, s), 8.00 - 7.93 (2H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.89 - 7.82 (1H, m), 7.34 (2H, br s), 6.87 (1H, dd, *J* 3.5, 1.5 Hz) and 6.01 (2H, s).
236	AX	32	NMR δ_H (400 MHz, DMSO) 2.19 (3H, s), 3.50 (3H, s), 5.58 (2H, s), 6.84 – 6.97 (3H, m), 7.08 (1H, d, *J* 8.0 Hz), 7.20 (1H, t, *J* 7.5 Hz), 7.35 (2H, s), 7.58 (1H, s), 7.91 (1H, d, *J* 3.0 Hz), 8.13 (1H, s) and 10.14 (1H, s); retention time 0.97 min.

237	AV	40	mp 259.3 – 259.4 °C; IR ν_{max} (DR)/cm^{-1} 3323, 3202, 1607, 1511; NMR δ_H (400 MHz, DMSO) 8.12 - 8.11 (2H, m), 7.91 (2H, dd, *J* 1.0, 3.5 Hz), 7.70 - 7.66 (2H, m), 7.30 (4H, br s), 7.10 (4H, d, *J* 8.0 Hz), 6.85 (2H, dd, *J* 1.5, 3.5 Hz), 5.73 (4H, s), 4.23 (4H, s), 2.78 (3H, s).
238	AV	17	mp 238.2 – 238.6 °C; IR ν_{max} (DR)/cm^{-1} 3189, 2908, 1653, 1592, 1470; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.91 (1H, d, *J* 3.0 Hz), 7.85 (1H, t, *J* 7.5 Hz), 7.43 (1H, d, *J* 7.5 Hz), 7.30 (2H, br s), 7.23 (1H, d, *J* 7.5 Hz), 6.87 - 6.85 (1H, m), 5.81 (2H, s), 4.56 (2H, s), 2.87 (3H, s).
239	AV	71	mp 205.8 – 206.0 °C; IR ν_{max} (Nujol)/cm^{-1} 3502, 3304, 3185, 2923, 1628, 1510; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.92 (1H, d, *J* 3.0 Hz), 7.83 (1H, t, *J* 8.0 Hz), 7.33 (1H, d, *J* 8.0 Hz), 7.30 (2H, br s), 7.17 (1H, d, *J* 8.0 Hz), 6.87 - 6.86 (1H, m), 5.79 (2H, s), 4.31 (2H, s), 2.84 (3H, s), 2.67 (3H, s); Anal. Calcd for $C_{17}H_{18}N_8O_3S$: C, 49.27; H, 4.38, N, 27.02. Found: C, 49.14; H, 4.49; N, 26.74.
240	C	24	mp 254.3 – 254.5.°C; IR ν_{max} (DR)/cm^{-1} 3443, 3342, 3187, 1647, 1593, 1513, 1414, 1300, 1268 and 1225; NMR δ_H (400 MHz, DMSO) 7.37 (2H, s), 6.96 (1H, t, *J* 7.8 Hz), 6.46 (1H, dd, *J* 1.0, *J* 8.0 Hz), 6.40 (2H, d, *J* 7.5 Hz), 6.33 (1H, s), 5.56 (2H, s), 5.2 (2H, s), 2.5 (3H,s) and 2.44 (3H, s).
241	C	17	NMR δ_H (400 MHz, DMSO) 8.11 - 8.09 (1H, m), 7.89 - 7.86 (1H, dd, *J* 1.0, 3.5 Hz), 7.32 - 7.27 (2H, s), 7.22 - 6.95 (1H, t, *J* 9.0 Hz), 6.85 - 6.83 (1H, dd, *J* 2.0, 3.5 Hz), 6.35 - 6.29 (2H, m), 5.48 - 5.46 (2H, s) and 5.46 - 5.44 (2H, s); Retention time 1.18 min.
242	B	15	IR ν_{max} (DR)/cm^{-1} 3850, 3667, 2923, 1730, 1601, 1464, 1023, 751 and 593; NMR δ_H (400 MHz, DMSO) 3.68 (1H, dd, *J* 16.0, 5.5 Hz), 3.88 (1H, dd, *J* 16.0, 9.0 Hz), 6.05 (1H, dd, *J* 8.5, 5.5 Hz), 6.84 – 6.89 (1H, m), 7.29 (2H, s), 7.57 (1H, t, *J* 7.0 Hz), 7.72 (1H, d, *J* 7.5 Hz), 7.78 – 7.87 (2H, m), 7.91 (1H, d, *J* 3.5 Hz), 8.13 (1H, s). Anal. Calcd for $C_{17}H_{12}N_6O_2 \cdot 0.2\ H_2O$: C, 60.87; H, 3.72; N, 25.02. Found: C, 60.89; H, 3.68; N, 24.85.
243	AF	53	mp > 300 °C dec; IR ν_{max} (DR)/cm^{-1} 3212, 2923, 1642, 1605, 1510, 1461, 1377, 1023 and 757; NMR δ_H (400 MHz, DMSO) 11.16 (1H, br s), 8.12 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.44 - 7.36 (3H, m), 7.29 (1H, s), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 6.58 (1H, s), 6.42 - 6.38 (1H, m), 5.87 (2H, s) and 2.27 (3H, s).
244	BB	7	IR ν_{max} (Nujol)/cm^{-1} 3319, 2924, 1646, 1606, 1462; NMR δ_H (400 MHz, DMSO) 9.54 (1H, s), 8.27 - 8.26 (1H, m), 8.12 - 8.11 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.71 (1H, d, *J* 8.0 Hz), 7.32 (2H, br s), 7.17 - 7.15 (1H, m), 7.11 - 7.09 (1H, m),

			6.86 - 6.85 (1H, m), 5.59 (2H, s), 2.18 (3H, s).
245	B	22	IR ν_{max} (Nujol)/cm^{-1} 3849, 3500, 3298, 3174, 2924, 1698, 1631, 1604, 1456, 1379, 1226, 1027, 953 and 753; NMR δ_H (400 MHz, DMSO) 1.91 (3H, d, *J* 7.0 Hz), 6.63 (1H, q, *J* 7.0 Hz), 6.84 – 6.87 (1H, m), 7.32 (2H, s), 7.54 (2H, t, *J* 8.0 Hz), 7.66 (1H, tt, *J* 7.5, 2.0 Hz), 7.88 (1H, d, *J* 3.5 Hz), 7.98 – 8.03 (2H, m), 8.11 – 8.12 (1H, m). Anal. Calcd for $C_{17}H_{14}N_6O_2$: C, 61.07; H, 4.22; N, 25.12. Found: C, 60.72; H, 4.27; N, 24.75.
246	BE	81	IR ν_{max} (Nujol;)/cm^{-1} 3313, 3189, 2924, 1605, 1461, 1377, 1236, 1026 and 762; NMR δ_H (400 MHz, DMSO) 11.62 (1H, br s), 8.11 (1H, dd, *J* 2.0, 1.0 Hz), 7.90 (1H, d, *J* 3.5 Hz), 7.41 (1H, t, *J* 2.5 Hz), 7.37 - 7.29 (3H, m), 6.94 (1H, d, *J* 11.0 Hz), 6.85 (1H, dd, *J* 3.5, 2.0 Hz) 6.52 - 6.47 (1H, m) and 5.71 (2H, s).
247	B	28	mp 134.5 – 134.6 °C; IR ν_{max} (Nujol)/cm^{-1} 3306, 3189, 2924, 1635, 1610, 1580; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.92 - 7.91 (1H, m), 7.79 - 7.75 (1H, m), 7.35 (1H, d, *J* 8.0 Hz), 7.31 (2H, br s), 7.03 (1H, d, *J* 7.5 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 5.74 (2H, s), 4.46 (2H, s), 3.65 (1H, sept, *J* 6.0 Hz), 1.12 (6H, d, *J* 6.0 Hz); Anal. Calcd for $C_{18}H_{19}N_7O_2 \cdot 1.2\ H_2O$: C, 55.86; H, 5.57, N, 25.33. Found: C, 55.80; H, 5.41; N, 25.05.
248	B	11	mp 158.9. – 161.3 °C; IR ν_{max} (Nujol)/cm^{-1} 3301, 3185, 2923, 1636, 1611, 1570, 1536,1501, 1324 and 1210; NMR δ_H (400 MHz, DMSO) 7.88 (1H, d, *J* 3.0 Hz), 7.66 (1H, t, *J* 7.5 Hz), 7.27 (2H, br s) 7.18 (1H, d, *J* 7.5 Hz), 6.89 (1H, d, *J* 7.5 Hz), 6.51 (1H, dd, *J* 1.0, *J* 3.5 Hz), 5.71 (2H, s), 2.69 (2H, q, *J* 7.5 Hz), 2.46 (3H, s) and 1.15 (3H, *J* 7.5 Hz).
249	BE	76	IR ν_{max} (DR;)/cm^{-1} 3319, 2928, 1605, 1334, 1226, 1027, 737 and 528; NMR δ_H (400 MHz, DMSO) 11.47 (1H, s), 8.14 - 8.09 (1H, m) 7.89 (1H, d, *J* 3.5 Hz), 7.48 (1H, d, *J* 3.0 Hz), 7.38 - 7.27 (3H, m), 6.94 (1H, d, *J* 13.0 Hz), 6.85 (1H, dd, *J* 3.5, 2.0 Hz), 6.52 - 6.47 (1H, m) and 5.81 (2H, s).
250	BE	68	IR ν_{max} (DR)/cm^{-1} 3318, 2923, 1640, 1579, 1455, 1377, 1079, 1022, 750 and 588; NMR δ_H (400 MHz, DMSO) 11.13 (1H, br s), 8.23 (1H, s), 8.05 - 8.04 (1H, m), 7.43 (1H,d, *J* 3.5 Hz), 7.30 (2H, s), 7.00 (1H, t, *J* 7.0 Hz), 6.90 (2H, s), 6.80 - 6.77 (1H, m), 6.73 (1H, d, *J* 6.5 Hz), 6.51 (1H, s)and 5.63 (2H, s).
251	BE	42	mp 294.0 - 294.2 °C; IR ν_{max} (DR)/cm^{-1} 3498, 3414, 1612, 1318, 1235, 102, 765 and 589; NMR δ_H (400 MHz, DMSO) 11.24 (1H, br s), 8.12 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.53 (1H, s), 7.39 (1H, t, *J* 2.5 Hz) 7.36 - 7.26 (3H, m), 6.88 - 6.82

			(1H, m), 6.43 - 6.38 (1H, m) and 5.76 (2H, s)
252	BF	44	mp 200.2. – 201.2 °C IR ν_{max} (DR)/cm^{-1} 3390, 3205, 2924, 1725, 1648, 1603, 1508, 1423, 1332, 1277 and 1158; NMR δ_H (400 MHz, DMSO) 8.12 (1H, s), 7.90 (1H, d, *J* 3.5 Hz), 7.35 - 7.25 (4H, m), 7.07 - 6.97 (3H, m), 6.86 (1H, dd, *J* 1.5, *J* 3.5 Hz), 6.45 (2H, t, *J* 7.5 Hz), 6.37 (1H, s), 6.32 (1H, t, *J* 6.0 Hz), 5.49(2H, s) and 4.16 (2H, s, *J* 6.0 Hz).
253	B	18	mp 181.8 – 182.1 °C; IR ν_{max} (DR)/cm^{-1} 3362, 3208, 2988, 1654, 1601, 1513; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.68 (1H, m), 7.29 (2H, br s), 6.87 - 6.85 (1H, m), 6.74 (1H, d, *J* 7.5 Hz), 6.68 (1H, d, *J* 8.0 Hz), 5.69 (2H, s), 4.07 (2H, q, *J* 7.0 Hz), 1.12 (3H, t, *J* 7.0 Hz).
254	B	14	mp 190.8 – 190.9 °C; IR ν_{max} (DR)/cm^{-1} 3514, 3292, 3158, 2984, 1615, 1500; NMR δ_H (400 MHz, DMSO) 7.88 (1H, d, *J* 3.5 Hz), 7.65 (1H, dd, *J* 7.0, 8.0 Hz), 7.25 (2H, br s), 6.72 (1H, d, *J* 7.0 Hz), 6.68 (1H, d, *J* 8.0 Hz), 6.52 - 6.50 (1H, m), 5.67 (2H, s), 4.08 (2H, q, *J* 7.0 Hz), 2.46 (3H, s), 1.12 (3H, t, *J* 7.0 Hz).
255	BF	20	mp 184.5 – 184.6 °C; IR ν_{max} (DR)/cm^{-1} 3202, 1649, 1601, 1509, 1436, 1331, 1277 and 1221; NMR δ_H (400 MHz, DMSO) 8.43 (1H,d, *J* 4.89 Hz), 8.12 (1H, dd, *J* 0.8, 3.5 Hz) , 7.91(1H, dd, *J* 0.9, 3.5 Hz), 7.65 (1H, td, *J* 1.7, 7.7 Hz), 7.30 (2H, br s), 7.25 (1H, d, *J* 7.9 Hz), 7.15 (1H, dd, *J* 4.9, 7.5 Hz), 7.0 (1H, t, *J* 7.8 Hz), 6.86 (1H, dd, *J* 1.7, 3.5 Hz), 6.48 - 6.36 (4H, m), 5.49 (2H, s), 4.27 (2H, s); Anal. Calcd for $C_{21}H_{18}N_8O \cdot 0.3\ H_2O$: C, 62.46; H, 4.64, N, 27.75. Found: C, 62.66; H, 4.57; N, 27.36.
256	B	6	Mp 167.6 – 168.1 °C. IR ν_{max} (DR)/cm^{-1} 3509, 3304, 3178, 1609, 1494, 1421, 1325, 1127, 839 and 752. NMR δ_H (400 MHz, DMSO) 2.05 (3H, d, *J* 7.0 Hz), 6.14 (1H, q, *J* 7.0 Hz), 6.84 – 6.88 (1H, m), 7.30 (2H, s), 7.52 (2H, d, *J* 8.5 Hz), 7.73 (2H, d, *J* 8.0 Hz), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.11 – 8.13 (1H, m). Anal. Calcd for $C_{17}H_{13}N_6F_3O$: C, 54.55; H, 3.50; N, 22.44. Found: C, 54.52; H, 3.65; N, 22.06.
257	BE	75	IR ν_{max} (DR)/cm^{-1} 3459, 3348, 3187, 2960, 1648, 1513, 1351, 1244, 1011, 837 and 759; NMR δ_H (400 MHz, DMSO) 11.18 (1H, br s), 8.11 (1H, s), 7.89 (1H, d, *J* 3.0 Hz), 7.42 (1H, d, *J* 7.5 Hz), 7.37 - 7.26 (3H, m), 7.21 (1H, d, *J* 11.0 Hz), 6.89 - 6.81 (1H, m), 6.43 - 6.37 (1H, s) and 5.72 (2H, s).

258	BE	94	mp > 300 °C dec; IR ν_{max} (DR)/cm^{-1} 3441, 3318, 2990, 1612, 1285, 1083, 839 and 593; NMR δ_H (400 MHz, DMSO) 11.29 (1H, br s), 8.12 (1H, s), 7.91 (1H, d, *J* 3.5 Hz), 7.41 - 7.29 (3H, m), 7.22 (1H, dd, *J* 10.0, 2.5 Hz), 6.90 (1H, dd, *J* 9.5, 2.5 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 6.30 (1H, s) and 5.79 (2H, s).
259	B	50	mp 228.4 – 228.5 °C; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.91 (1H, d, *J* 3.5 Hz), 7.34 (2H, br s), 7.18 (2H, s), 6.87 - 6.85 (1H, m), 5.67 (2H, s), 2.22 (6H, s).
260	B	8	mp 150.3 – 151.0 °C. IR ν_{max} (DR)/cm^{-1} 3510, 3306, 3183, 1633, 1495, 1423, 1240, 1029 and 753; NMR δ_H (400 MHz, DMSO) 2.02 (3H, d, *J* 7.0 Hz), 6.05 (1H, q, *J* 7.0 Hz), 6.83 – 6.88 (1H, m), 7.10 – 7.22 (3H, m), 7.30 (2H, s), 7.40 (1H, dt, *J* 8.0, 6.5 Hz), 7.91 (1H, dd, *J* 3.5, 1.0 Hz), 8.10 – 8.13 (1H, m). Anal. Calcd for $C_{16}H_{13}N_6OF \cdot 0.25\ H_2O$: C, 58.44; H, 4.14; N, 25.56. Found: C, 58.48; H, 3.98; N, 25.40.
261	BE	91	IR ν_{max} (DR)/cm^{-1} 3472, 3318, 3184, 2922, 1651, 1595, 1478, 1417, 1329, 1218, 1097, 1015, 870, 767and 545; NMR δ_H (400 MHz, DMSO) 11.50 (1H, s), 8.11 (1H, s), 7.90 (1H, d, *J* 3.5 Hz), 7.47 (1H, s), 7.42 (1H, t, *J* 3.0 Hz), 7.33 (2H, s), 7.19 (1H, s), 6.86 - 6.84 (1H, m), 6.53 - 6.51 (1H, m), 5.71 (2H, s).
262	C	34	mp 250.1 – 261.3 °C; IR ν_{max} (DR)/cm^{-1} 3325, 3205, 2968, 1603, 1488; NMR δ_H (400 MHz, DMSO) 8.11 - 8.10 (1H, m), 7.89 - 7.87 (1H, m), 7.28 (2H, br s), 6.85 - 6.83 (1H, m), 6.81 (2H, s), 5.40 (2H, s), 4.56 (2H, br s), 2.03 (6H, s).
263	K	72	IR ν_{max} (DR)/cm^{-1} 2825, 2021, 1645, 1453, 1394, 1286, 1171, 1030, 779 and 619;NMR δ_H (400 MHz, DMSO) 2.02 (3H, d, *J* 7.0 Hz), 6.07 (1H, q, *J* 7.0 Hz), 6.85 – 6.88 (1H, m), 7.13 – 7.18 (1H, m), 7.25 (1H, d, *J* 8.5 Hz), 7.36 (1H, d, *J* 8.0 Hz), 7.47 (1H, t, *J* 7.5 Hz), 7.92 (1H, d, *J* 3.5 Hz), 8.12 – 8.14 (1H, m). Anal. Calcd for $C_{16}H_{15}N_7O \cdot 2HCl \cdot 0.8\ H_2O$: C, 47.02; H, 4.59; N, 23.99. Found: C, 46.87; H, 4.43; N, 23.71.
264	B	26	mp 162.0 – 162.6 °C; IR ν_{max} (DR)/cm^{-1} 3319, 3206, 2932, 1644, 1505; NMR δ_H (400 MHz, DMSO) 8.13 - 8.12 (1H, m), 7.92 - 7.91 (1H, m), 7.68 - 7.64 (1H, m), 7.31 (2H, br s), 7.21 (1H, d, *J* 7.5 Hz), 6.92 (1H, d, *J* 8.0 Hz), 6.86 (1H, dd, *J* 3.5, 1.5 Hz), 5.74 (2H, s), 3.58 (2H, t, *J* 6.5 Hz), 3.16 (3H, s), 2.84 (2H, t, *J* 6.5 Hz); M/Z 352 $(M+H)^+$.
265	B	15	NMR δ_H (400 MHz, DMSO) 8.12 - 8.11 (1H, m), 7.92 - 7.90 (1H, m), 7.47 (1H, d, *J* 8.0 Hz), 7.28 (2H, br s), 6.91 (1H, d, *J* 8.0 Hz), 6.86 (1H, dd, *J* 2.0, 3.5 Hz), 5.73 - 5.69 (1H, m), 2.60 - 2.46 (2H, m), 2.39 (3H, s), 2.20 (3H, s), 0.87 (3H, t, *J* 7.0 Hz); M/Z 350 $(M+H)^+$.

266	AK	99	NMR δ_H (400 MHz, DMSO) 8.22 – 8.17 (2H, m), 7.94 (1H, d, *J* 2.01 Hz), 7.73 – 7.63 (2H, m), 7.37 (1H, d, *J* 2.5 Hz) and 5.86 (2H, s); M/Z 338 $(M+H)^+$; Retention time 1.74 min.
267	B	58	mp 255.6 – 255.7 °C; IR ν_{max} (DR)/cm^{-1} 3512, 3294, 3179, 2960, 2692, 1745, 1638, 1432 and 1371; NMR δ_H (400 MHz, DMSO) 7.88 (1H, d, *J* 3.51 Hz), 7.81 (1H, dd, *J* 1.0, *J* 8.0 Hz), 7.46 – 7.29 (2H, br s), 7.40 (1H, t, *J* 7.8 Hz) , 7.23 (1H, d, *J* 8.0 Hz), 6.51 (1H, dd, *J* 1.0, 3.5 Hz), 5.78 (2H, s) and 2.46 (6H, s).
268	B	40	mp 248.1 – 249.0 °C IR ν_{max} (DR)/cm^{-1} 3507, 3308, 3190, 2952, 1626, 1571, 1519, 1434, 1348 and 1291; NMR δ_H (400 MHz, DMSO) 8.22 (2H, d, *J* 8.5 Hz), 7.89(1H, d, *J* 3.5 Hz), 7.49 (2H, d, *J* 9.0 Hz), 7.36 (2H, br s), 6.52 (1H, dd, *J* 1.0, 3.5 Hz), 5.83 (2H, s) and 2.46 (3H, s).
269	B	18	NMR δ_H (400 MHz, DMSO) 8.14 - 8.12 (1H, m), 7.92 - 7.90 (1H, dd, *J* 1.0, 3.5 Hz), 7.42 - 7.34 (2H, s), 7.26 - 7.25 (4H, s), 6.87 - 6.85 (1H, dd, *J* 1.5, 3.5 Hz), 5.66 - 5.64 (2H, s), 3.15 - 3.13 (3H, s) and 1.38 - 1.36 (9H, s).
270	H	46	NMR δ_H (400 MHz, DMSO) 8.22 – 8.13 (2H, m), 7.75 – 7.65 (3H, m), 7.59 (1H, dd, *J 1.5, J* 3.0 Hz), 7.34 (2H, br s), 6.96 (1H, dd, *J* 1.5, *J* 3.5 Hz), 6.45 (1H, t, *J* 3.2 Hz), 5.85 (2H,s) and 1.22 (9H, s); M/Z 437 $(M+H)^+$; Retention time 4.36 min.
271	Q	40	NMR δ_H (400 MHz, DMSO) 8.21 – 8.17(2H, m), 7.71 – 7.63 (2H, m), 7.48 (2H, br s), 5.87 (2H, s), 2.50 (3H, s) and 2.44 (3H, s); M/Z 383 $(M+H)^+$; Retention time 3.69 min..
272	B		NMR δ_H (400 MHz, DMSO) 8.18 (1H, dd, *J* 9.6, 2.0 Hz), 8.13 (1H, d, *J* 1.6 Hz), 8.05 (1H, dd, *J* 8.4, 2.4 Hz), 7.90 (1H, d, *J* 3.2 Hz), 7.45 (1H, t, *J* 8.0 Hz), 7.38 (2H, br s), 6.86 (1H, dd, *J* 3.6, 2.0 Hz) and 5.84 (2H, s).
273	B		NMR δ_H (400 MHz, DMSO) 8.13 – 8.09 (1H, m), 7.89 (1H, d, *J* 3.5 Hz), 7.66 (1H, d, *J* 3.5 Hz), 7.39 (1H, s), 7.29 (2H, br s), 6.85 (1H, dd, *J* 3.5, 2.0 Hz), 6.68 (1H, d, *J* 3.5 Hz), 6.65 (1H, s), 2.27 (3H, s) and 1.52 (9H, s).
274	BA	99	IR ν_{max} (Nujol)/cm^{-1} 3313, 2923, 1693, 1603; NMR δ_H (400 MHz, DMSO) 8.78 (1H, br s), 8.12 - 8.11 (1H, m), 7.90 (1H, d, *J* 3.5 Hz), 7.34 - 7.31 (3H, m), 7.13 - 7.12 (1H, m), 7.08 - 7.05 (1H, m), 6.86 - 6.85 (1H, m), 5.58 (2H, s), 4.08 (2H, q, *J* 7.0 Hz), 2.16 (3H, s), 1.21 (3H, t, *J* 7.0 Hz).

Adenosine Receptor Binding

Binding Affinities at hA_{2A} Receptors

The compounds were examined in an assay measuring *in vitro* binding to human adenosine A_{2A} receptors by determining the displacement of the adenosine A_{2A} receptor selective radioligand [^{3}H]-CGS 21680 using standard techniques. The results are summarised in Table 3.

Table 3

Example	K_i (nM)
Example 3	3
Example 4	4
Example 5	3
Example 8	3
Example 11	2
Example 12	7
Example 13	2
Example 15	4
Example 41	2
Example 57	2
Example 78	3
Example 92	2
Example 107	2
Example 120	1
Example 149	1
Example 156	2
Example 169	2
Example 188	1
Example 202	1

Example 209	1
Example 221	2
Example 233	4
Example 255	4

Evaluation of potential anti-Parkinsonian activity *in vivo*

Haloperidol-induced hypolocomotion model

It has previously been demonstrated that adenosine antagonists, such as theophylline, can reverse the behavioural depressant effects of dopamine antagonists, such as haloperidol, in rodents (Mandhane S.N. *et al.*, Adenosine A_2 receptors modulate haloperidol-induced catalepsy in rats. *Eur. J. Pharmacol.* 1997, **328**, 135 - 141). This approach is also considered a valid method for screening drugs with potential antiparkinsonian effects. Thus, the ability of novel adenosine antagonists to block haloperidol-induced deficits in locomotor activity in mice can be used to assess both *in vivo* and potential antiparkinsonian efficacy.

Method

Female TO mice (25-30g) obtained from TUCK, UK, are used for all experiments. Animals are housed in groups of 8 [cage size – 40 (width) x 40 (length) x 20 (height)cm] under 12hr light/dark cycle (lights on 08:00hr), in a temperature (20 ± 2°C) and humidity (55 ± 15%) controlled environment. Animals have free access to food and water, and are allowed at least 7 days to acclimatize after delivery before experimental use.

Drugs

Liquid injectable haloperidol (1 ml Serenance ampoules from Baker Norton, Harlow, Essex, each containing haloperidol BP 5 mg, batch # P424) are diluted to a final concentration of 0.02 mg/ml using saline. Test compounds are typically prepared as aqueous suspensions in 8% Tween. All compounds are administered intraperitoneally in a volume of 10 ml/kg.

Procedure

1.5 hours before testing, mice are administered 0.2 mg/kg haloperidol, a dose that reduces baseline locomotor activity by at least 50%. Test substances are typically administered 5-60 minutes prior to testing. The animals are then placed individually into clean, clear polycarbonate cages [20 (width) x 40 (length) x 20 (height) cm , with a flat perforated, Perspex lid]. Horizontal locomotor activity is determined by placing the cages within a frame containing a 3 x 6 array of photocells linked to a computer, which tabulates beam breaks. Mice are left undisturbed to explore for 1 hour, and the number of beams breaks made during this period serves as a record of locomotor activity which is compared with data for control animals for statistically significant differences.

6-OHDA Model

Parkinson's disease is a progressive neurodegenerative disorder characterised by symptoms of muscle rigidity, tremor, paucity of movement (hypokinesia), and postural instability. It has been established for some time that the primary deficit in PD is a loss of dopaminergic neurones in the substantia nigra which project to the striatum, and indeed a substantial proportion of striatal dopamine is lost (ca 80-85%) before symptoms are observed. The loss of striatal dopamine results in abnormal activity of the basal ganglia, a series of nuclei which regulate smooth and well co-ordinated movement (Blandini F. *et al.*, Glutamate and Parkinson's Disease. *Mol. Neurobiol.* 1996, **12**, 73 - 94). The neurochemical deficits seen in Parkinson's disease can be reproduced by local injection of the dopaminergic neurotoxin 6-hydroxydopamine into brain regions containing either the cell bodies or axonal fibres of the nigrostriatal neurones.

By unilaterally lesioning the nigrostriatal pathway on only one-side of the brain, a behavioural asymmetry in movement inhibition is observed. Although unilaterally-lesioned animals are still mobile and capable of self maintenance, the remaining dopamine-sensitive neurones on the lesioned side become supersenstive to stimulation. This is demonstrated by the observation that following systemic administration of dopamine agonists, such as apomorphine, animals show a pronounced rotation in a direction contralateral to the side of lesioning. The ability of compounds to induce contralateral rotations in 6-OHDA lesioned rats has proven to be a sensitive model to predict drug efficacy in the treatment of Parkinson's Disease.

Animals

Male Sprague-Dawley rats, obtained from Charles River, are used for all experiments. Animals are housed in groups of 5 under 12hr light/dark cycle (lights on 08:00hr), in a temperature (20 ± 2°C) and humidity (55 ± 15%) controlled environment. Animals have free access to food and water, and are allowed at least 7 days to acclimatize after delivery before experimental use.

Drugs

Ascorbic acid, desipramine, 6-OHDA and apomorphine (Sigma-Aldrich, Poole, UK). 6-OHDA is freshly prepared as a solution in 0.2% ascorbate at a concentration of 4 mg/mL prior to surgery. Desipramine is dissolved in warm saline, and administered in a volume of 1 ml/kg. Apomorphine is dissolved in 0.02% ascorbate and administered in a volume of 2 mL/kg. Test compounds are suspended in 8%Tween and injected in a volume of 2 mL/kg.

Surgery

15 minutes prior to surgery, animals are given an intraperitoneal injection of the noradrenergic uptake inhibitor desipramine (25 mg/kg) to prevent damage to non-dopamine neurones. Animals are then placed in an anaesthetic chamber and anaesthetised using a mixture of oxygen and isoflurane. Once unconscious, the animals are transferred to a stereotaxic frame, where anaesthesia is maintained through a mask. The top of the animal's head is shaved and sterilised using an iodine solution. Once dry, a 2 cm long incision is made along the midline of the scalp and the skin retracted and clipped back to expose the skull. A small hole is then drilled through the skill above the injection site. In order to lesion the nigrostriatal pathway, the injection cannula is slowly lowered to position above the right medial forebrain bundle at –3.2 mm anterior posterior, -1.5 mm medial lateral from bregma, and to a depth of 7.2 mm below the duramater. 2 minutes after lowing the cannula, 2 µL of 6-OHDA is infused at a rate of 0.5 µL/min over 4 minutes, yeilding a final dose of 8 µg. The cannula is then left in place for a further 5 minutes to facilitate diffusion before being slowly withdrawn. The skin is then sutured shut using Ethicon W501 Mersilk, and the animal removed from the strereotaxic frame and returned to its homecage. The rats are allowed 2 weeks to recover from surgery before behavioural testing.

Apparatus

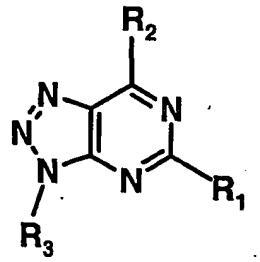
Rotational behaviour is measured using an eight station rotameter system provided by Med Associates, San Diego, USA. Each station is comprised of a stainless steel bowl (45 cm diameter x 15 cm high) enclosed in a transparent Plexiglas cover running around the edge of the bowl, and extending to a height of 29 cm. To assess rotation, rats are placed in cloth jacket attached to a spring tether connected to optical rotameter positioned above the bowl, which assesses movement to the left or right either as partial (45°) or full (360°) rotations. All eight stations are interfaced to a computer that tabulated data.

Procedure

To reduce stress during drug testing, rats are initially habituated to the apparatus for 15 minutes on four consecutive days. On the test day, rats are given an intraperitoneal injection of test compound 30 minutes prior to testing. Immediately prior to testing, animals are given a subcutaneous injection of a subthreshold dose of apomorphine, then placed in the harness and the number of rotations recorded for one hour. The total number of full contralatral rotations during the hour test period serves as an index of antiparkinsonian drug efficacy.

CLAIMS

1. The use of a compound of formula (I):



(I)

wherein

R_1 is selected from H, alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$;

R_2 is selected from aryl attached via an unsaturated carbon;

R_3 is selected from H, alkyl, COR_5, CO_2R_7, $CONR_5R_6$, $CONR_4NR_5R_6$ and SO_2R_7;

R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an NR_5R_6 group, R_5 and R_6 may be linked to form a heterocyclic group, or where R_4, R_5 and R_6 are in a ($CONR_4NR_5R_6$) group, R_4 and R_5 may be linked to form a heterocyclic group; and

R_7 is selected from alkyl and aryl,

or a pharmaceutically acceptable salt thereof or prodrug thereof, in the manufacture of a medicament for the treatment or prevention of a disorder in which the blocking of purine receptors may be beneficial.

2. Use according to claim 1 wherein R_1 is selected from alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

3. Use according to claim 1 wherein R_1 is selected from alkyl, alkoxy, alkylthio, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

4. Use according to claim 1 wherein R_1 is selected from NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$.

5. Use according to claim 1 wherein R_1 is selected from NR_5R_6.

6. Use according to claim 1 wherein R_1 is NH_2.

7. Use according to claim 1 wherein R_1 is selected from haloalkyl and arylalkyl.

8. Use according to any preceding claim wherein R_2 is not ortho,ortho-disubstituted.

9. Use according to any preceding claim wherein R_2 is not substituted at either ortho position.

10. Use according to any preceding claim wherein R_2 is a heteroaryl group.

11. Use according to claim 10 wherein R_2 is a heteroaryl group which is attached to the pyrimidine ring of formula (I) such that a heteroatom is adjacent to the unsaturated carbon atom attached to said pyrimidine ring.

12. Use according to claim 10 or 11 wherein R_2 is an N, O or S-containing heteroaryl group.

13. Use according to any of claims 10 to 12 wherein R_2 is selected from furyl, thienyl, pyridyl, thiazolyl, pyrazolyl, triazolyl, pyrrolyl and oxazolyl.

14. Use according to any of claims 10 to 12 wherein R_2 is selected from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl, 3-pyrazolyl, 2-pyrrolyl, 4-triazolyl and 5-oxazolyl.

15. Use according to any of claims 10 to 12 wherein R_2 is selected from furyl, thienyl, pyridyl, thiazolyl and pyrazolyl.

16. Use according to any of claims 10 to 12 wherein R_2 is selected from 2-furyl, 2-thienyl, 2-thiazolyl, 2-pyridyl and 3-pyrazolyl.

17. Use according to any of claims 10 to 12 wherein R_2 is 2-furyl.

18. Use according to any of claims 1 to 9 wherein R_2 is phenyl.

19. Use according to any preceding claim wherein R_3 is selected from H and substituted alkyl.

20. Use according to claim 19 wherein R_3 is selected from alkyl substituted by aryl, cycloalkyl, non-aromatic heterocyclyl, CN, CO_2R_5, $CONR_5R_6$, $CONR_4NR_5R_6$ or $C(=NR_4)NR_5R_6$.

21. Use according to claim 19 wherein R_3 is selected from alkyl substituted by aryl.

22. Use according to claim 21 wherein R_3 is selected from $(CR_9R_{10})_nR_{11}$ wherein n is 1 to 6, R_9 and R_{10} are independently selected from H, alkyl and aryl, and R_{11} is selected from the group consisting of substituted aryl (including heteroaryl) groups.

23. Use according to claim 22 wherein R_{11} is selected from mono-, di- or tri-substituted aryl groups represented by the formula $Ar(R_{12})_a(R_{13})_b(R_{14})_c$ wherein Ar is an aryl group; wherein R_{12}, R_{13} and R_{14} are substituent group(s), the same or different; and wherein a, b and c are 0 or 1 such that $a+b+c \geq 1$.

24. A use according to claim 22 or 23 wherein n is 1 to 3.

25. A use according to claim 22 or 23 wherein n is 1.

26. A use according to any of claims 22 to 25 wherein the or each R_9 and R_{10} are selected from H and alkyl.

27. A use according to any of claims 22 to 25 wherein at least one of the or each R_9 and R_{10} is H.

28. A use according to any of claims 22 to 25 wherein both the or each R_9 and R_{10} are H.

29. Use according to any of claims 23 to 28 wherein R_{12}, R_{13} and R_{14} are independently selected from NR_5R_6 , alkyl, alkoxy, halogen, NO_2, CN, hydroxy, NHOH, CHO, $CONR_5R_6$, CO_2R_5, NR_4COR_5, $NR_4CO_2R_7$, $NR_4SO_2R_7$, OCO_2R_7 and aryl.

30. Use according to any of claims 23 to 28 wherein R_{12}, R_{13} and R_{14} are independently selected from NR_5R_6, alkyl and halogen.

31. Use according to claim 29 or 30 wherein R_{12}, R_{13} and R_{14} are independently selected from alkyl, and said alkyl is substituted alkyl and is selected from alkoxyalkyl, hydroxyalkyl, aminoalkyl and haloalkyl.

32. Use according to claim 29 or 30 wherein R_{12}, R_{13} and R_{14} are independently selected from unsubstituted alkyl, NH_2 and fluoro.

33. A use according to any of claims 22 to 32 wherein said substituted aryl group R_{11} or Ar is selected from phenyl, pyridyl, indolyl, furyl, thienyl, isoindolyl, indolinyl, isoxazolyl, oxazolyl, thiazolyl, pyrazinyl, pyrimidinyl, quinolinyl, benzoxadiazolyl, benzothiadiazolyl, benzotriazolyl, indazolyl, benzodioxolyl and dihydrobenzofuranyl.

34. Use according to any of claims 22 to 32 wherein said substituted aryl group R_{11} or Ar is selected from phenyl, pyridyl, indolyl, furyl and thienyl.

35. Use according to claim 34 wherein said substituted aryl group R_{11} or Ar is selected from phenyl, thienyl, furyl and pyridyl.

36. Use according to claim 34 wherein said substituted aryl group R_{11} or Ar is selected from phenyl, 2-thienyl, 2-furyl and 2-pyridyl.

37. Use according to any of claims 1 to 18 wherein R_3 is selected from $(CR_9R_{10})_nR_8$ wherein n is 1 to 6, R_9 and R_{10} are independently selected from H, alkyl and aryl, and R_8 is selected from cycloalkyl, non-aromatic heterocyclic, CN, CO_2R_5, $CONR_5R_6$, $CONR_4NR_5R_6$ and $C(=NR_4)NR_5R_6$.

38. Use according to claim 37 wherein n, R_9 and R_{10} are as set out in any claims 24 to 28

39. Use according to claim 37 wherein R_8 is selected from $CONR_5R_6$, R_5 is hydrogen and R_6 is selected from unsubstituted alkyl and arylalkyl.

40. Use according to any of claims 1 to 18 wherein R_3 is selected from $CONR_5R_6$, R_5 is H and R_6 is selected from arylalkyl, preferably arylmethyl.

41. Use according to claim 1 wherein the compound is selected from:

7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N,N-bis(2-fluorobenzyl)-3-(2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

methyl 3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methylbenzoate

3-(3,5-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-chloro-2-thienyl)methyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methyl)phenyl-(1-methyl-1*H*-imidazol-4-yl)sulphonamide

5-amino-N-benzyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylcarboxamide

7-(2-furyl)-3-(3-methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

ethyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetate

3-(3-cyanobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-(3-pyridyl)propyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-trifluoromethylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-hydroxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(1*H*-pyrazol-3-yl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetic acid

3-(3-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-methyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)acetamide

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(3-chlorophenyl)acetamide

7-(2-furyl)-3-(6-methoxy-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(5-methyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate

3-(2,5-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,6-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(4-methyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-thienyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

6-chloro-N-(7-(2-furyl)-1*H*-[1,2,3]triazolo[4,5-d]pyrimidin-5-yl)pyridine-3-carboxamide

3-(3-nitrobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N,N-dimethylbenzamide

3-(3-aminobenzyl)-7-(2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-methylbenzamide

3-(3-aminobenzyl)-7-(5-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluoro-5-methoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(2-pyridyl)acetamide

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-(2-pyridylmethyl)acetamide

(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-N-phenylacetamide

3-(3,5-dinitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,3-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,4-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-3-(6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,6-difluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-3-(2-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-chlorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-methoxy-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-methylbenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,5-difluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-methoxy-5-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-methylbenzamide

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)acetamide

3-(2-fluorobenzyl)-7-(5-oxazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-chloro-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-fluoro-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-methoxybenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(3-(5-amino-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate

3-(2-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

3-(3,5-diaminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminomethylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

7-(2-furyl)-3-(2-methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluoro-5-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluorobenzyl)-7-(1*H*-triazol-4-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-chloro-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-3-(6-phenyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-(2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-2-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)-3-methylbutanamide

7-(5-methyl-2-furyl)-3-(4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-hydroxylamino-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-methyl-3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-amino-2-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-amino-4-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3,5-dimethylisoxazol-4-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methyl-2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-cyclohexylmethyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(5-methyl-2-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzoic acid

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzamide

7-(2-furyl)-3-(2-methylthiazol-4-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminomethylbenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-isopropyl-N-methylbenzamide

3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-N-isopropylbenzamide

3-(2-amino-5-methylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-cyano-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(5-methyl-2-pyrazinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(8-quinolinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-phenylthiazol-4-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(4-methyl-2-thiazolyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-chloro-3-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(1,2,5-benzoxadiazol-5-yl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-methoxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-benzyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-amino-4-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-hydroxylamino-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-methyl-4-nitro-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-hydroxylamino-6-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-chloro-2-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-amino-4-chlorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-cyanobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3,4-dimethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine trifluoroacetate salt

7-(5-methyl-2-furyl)-3-(3-methyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3-methylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(5-methyl-3-oxazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methyl-4-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(1,2,5-benzothiadiazol-4-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-pyrazinylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-fluoro-3-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-nitrobenzyl)-7-phenyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-4-pyridylmethyl)carbamate

7-(2-furyl)-3-(3-methoxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-ethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-ethyl-4-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

tert-butyl 4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

7-(2-furyl)-3-(4-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate

3-(4-aminobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl 5-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

tert-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-2-fluorophenyl)carbamate

3-(4-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-ethyl-2-furyl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl 6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

3-(4-amino-3-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl (4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-3,5-difluorophenyl)carbonate

3-(2,6-difluoro-4-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-(5-ethyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-phenyl-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(5-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(7-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-fluoro-2-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,6-difluoro-4-methoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)benzyl)carbamate

3-(1*H*-benzotriazol-5-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-methoxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)phenylacetamide

3-(2-aminomethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-(N,N-dimethylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-difluoromethoxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-phthalimidomethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-amino-4-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2,3-dihydrobenzofuran-5-ylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-bromo-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2,3,5-trifluorobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluoro-5-iodobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-furylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-amino-5-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl (5-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-2-nitrophenyl)carbonate

3-(4-amino-3-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3-fluorobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-aminobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-hydroxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl)acetamide

N-(2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)benzyl)acetamide

7-(2-furyl)-3-(3-thienylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-amino-2-methylbenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-methyl-2-thienyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-allyloxymethyl-2-pyridylmethyl)- N,N-diallyl-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-methoxymethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-aminobenzyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-allyloxymethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-allyloxymethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3-isopropyl-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(quinolin-2-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(4-(N-methylamino)benzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(6-methyl-2-pyridyl)propanone

3-(3-aminobenzyl)-7-(1*H*-pyrrol-2-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-nitrobenzyl)-7-(2-pyridyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)acetamide

7-(2-furyl)-3-(4-nitro-2-(2-trimethylsilylethoxy)methoxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-ethyl-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-(2-thienylethyl))-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-isopropyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(1-(2*H*-tetrahyropyran-2-yl)indazol-5-ylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4,6-diisopropyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(5-indazolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(2-hydroxy-4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-vinyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl 5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-carboxylate

tert-butyl 3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)pyridine-2-carboxaldehyde

tert-butyl 2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)indole-1-carboxylate

3-(2-indolylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-ethyl-2-thienylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(3,4-methylenedioxybenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3-ethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-phenylethanone

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)thiophene-2-carboxamide

7-(2-furyl)-3-(6-hydroxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)-3,3-dimethylbutanamide

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-methyl)phenyl)cyclopropanecarboxamide

7-(2-furyl)-3-(6-n-propyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-isobutyloxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-bromomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3-isopropylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-cyanomethyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-hydroxybenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-nitrophenyl)ethanone

4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylacetyl)-benzonitrile

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)propanesulphonamide

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-5-chloro-2-thiophenesulphonamide

7-(2-furyl)-3-(6-(N-methylamino)methyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-(N,N-diethylamino)phenyl)ethanone

7-(2-furyl)-3-(6-isopropyl-3-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(4-methoxyphenyl)ethanone

tert-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-5-chloroindole-1-carboxylate

3-(5-chloro-7-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-3,5-dimethylisoxazol-4-ylsulphonamide

3-(6-(N,N-dimethylamino)methyl-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-methylthiomethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-(2-nitrophenyl)ethanone

N-(3-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)phenyl)-1,2-dimethyl-1*H*-imidazol-4-ylsulphonamide

N,N-bis(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl) methanesulphonamide

7-(2-furyl)-3-(6-methylsulphonylmethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(6-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-pyridylmethyl)-N-methyl methanesulphonamide

3-(3-aminobenzyl)-7-(4,5-dimethyl-2-thiazolyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-2-fluorobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-indanone

7-(2-furyl)-3-(5-methyl-7-indolylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-ylmethyl)-2-methylphenyl)formamide

2-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)-1-phenylpropanone

3-(7-fluoro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-isopropoxymethyl-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-ethyl-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(7-bromo-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-(4-fluorobenzylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-ethoxy-2-pyridylmethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-ethoxy-2-pyridylmethyl)-7-(5-methyl-2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-(2-pyridylmethylamino)benzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(1-(4-trifluoromethylphenyl)ethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(6-fluoro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(5-fluoro-2-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3,5-dimethyl-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(1-(3-fluorophenyl)ethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(7-chloro-5-indolyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(4-amino-3,5-dimethylbenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(1-(3-aminophenyl)ethyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(6-(2-methoxyethyl)-2-pyridylmethyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(2-furyl)-3-(1-(5,6-dimethyl-2-pyridyl)propyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(3-nitrobenzyl)-7-(1*H*-pyrazol-3-yl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine hydrochloride

7-(5-methyl-2-furyl)-3-(2-methyl-3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

7-(5-methyl-2-furyl)-3-(4-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)phenyl)-N-methylcarbamate

tert-butyl 2-(5-amino-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-7-yl)pyrrole-1-carboxylate

7-(4,5-dimethylthiazol-2-yl)-3-(3-nitrobenzyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

3-(2-fluoro-4-nitrobenzyl)-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine

tert-butyl 7-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidine-3-ylmethyl)-5-methylindole-1-carboxylate, and

ethyl N-(4-(5-amino-7-(2-furyl)-3*H*-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl)methyl)-2-methylphenyl)carbamate.

42. Use according to claim 1 wherein the compound is selected from: 3-(2-fluorobenzyl)-7-(2-furyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

7-(2-furyl)-3-(3-nitrobenzyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

3-(3-aminobenzyl)-7-(2-furyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

3-(3-aminobenzyl)-7-(2-furyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

7-(2-furyl)-3-(3-methoxybenzyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

7-(2-furyl)-3-(2-nitrobenzyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine;

3-(2-aminobenzyl)-7-(2-furyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine; and

3-(3-cyanobenzyl)-7-(2-furyl)-3H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine.

43. A method of treating or preventing a disorder in which the blocking of purine receptors may be beneficial comprising administration to a subject in need of such treatment an effective dose of a compound as set out in any one of claims 1 to 42 or a pharmaceutically acceptable salt thereof.

44. A use or method according to any preceding claim wherein the disorder is caused by the hyperfunctioning of purine receptors.

45. A use or method according to any preceding claim wherein the purine receptors are adenosine receptors.

46. A use or method according to claim 45 wherein the adenosine receptors are A_{2A} receptors.

47. A use or method according to any preceding claim wherein the disorder is a movement disordert.

48. A use or method according to claim 47 wherein the movement disorder is Parkinson's disease.

49. A use or method according to claim 48 for treatment of drug-induced Parkinsonism, post-encephalitic Parkinsonism, Parkinsonism induced by poisoning or post-traumatic Parkinson's disease.

50. A use or method according to claim 47 wherein the movement disorder is progressive supernuclear palsy, Huntingtons disease, multiple system atrophy, corticobasal degeneration, Wilsons disease, Hallerrorden-Spatz disease, progressive pallidal atrophy, Dopa-responsive dystonia-Parkinsonism, spasticity or other disorders of the basal ganglia which result in dyskinesias.

51. A use or method according to any one of claims 47 to 50 wherein the compound of formula (I) is in combination with one or more additional drugs useful in the treatment of movement disorders, the components being in the same formulation or in separate formulations for administration simultaneously or sequentially.

52. A use or method according to claim 51 wherein said additional drug(s) useful in the treatment of movement disorders is/are a drug useful in the treatment of Parkinson's disease.

53. A use or method according to claim 51 or 52 wherein the or one of the additional drugs is L-DOPA or a dopamine agonist.

54. A use or method according to any one of claims 1 to 46 wherein said disorder is depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy.

55. A use or method according to claim 54 wherein said cognitive or memory impairment disorder is Alzheimer's disease.

56. Use of a compound as set out in any one of claims 1 to 42 or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for neuroprotection in a subject.

57. A method of neuroprotection comprising administration to a subject in need of such treatment an effective dose of a compound as set out in any one of claims 1 to 42 or a pharmaceutically acceptable salt thereof.

58. A use or method according to claim 56 or 57 wherein said medicament or said method is for neuroprotection in a subject suffering from or at risk from a neurodegenerative disorder.

59. A use or method according to claim 58 wherein said neurodegenerative disorder is a movement disorder.

60. A use or method according to claim 59 wherein said movement disorder is a disorder as set out in claim 48, 49 or 50.

61. A use or method according to any one of claims 1 to 60 wherein the subject is human.

62. A compound as set out in any one of claims 1 to 42, or a pharmaceutically acceptable salt or prodrug thereof, for use in therapy.

63. A compound as set out in any one of claims 1 to 42, *per se*, other than compounds wherein R_1 is H and R_3 is methyl.

64. A compound according to claim 63 other than compounds wherein R_3 is methyl.

INTERNATIONAL SEARCH REPORT

PCT/GB 02/00091

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A61K31/505 C07D487/04 A61P25/28 //(C07D487/04,249:00, 239:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 99 21617 A (BARALDI PIER GIOVANNI ;MEDCO RES INC (US)) 6 May 1999 (1999-05-06) claim 1 ---	1-64
A	BETTI L ET AL: "New amino derivatives of 1,2,3-triazolo'4,5-d!pyrimidines and their affinity towards A1 and A2A adenosine receptors" EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, vol. 34, no. 10, October 1999 (1999-10), pages 867-875, XP004202941 ISSN: 0223-5234 table II --- -/--	1-64

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
25 April 2002	07/05/2002

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Tx. 31 651 epo nl, Fax: (+31–70) 340–3016	Steendijk, M

Form PCT/ISA/210 (second sheet) (July 1992)

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
A	WO 99 01439 A (DU PONT PHARM CO) 14 January 1999 (1999-01-14) claim 1	1-64
A	COCUZZA A J ET AL: "Use of the suzuki reaction for the synthesis of aryl-substituted heterocycles as corticotropin-releasing hormone (CRH) antagonists" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 7, 5 April 1999 (1999-04-05), pages 1063-1066, XP004162586 ISSN: 0960-894X page 1064	1-64

INTERNATIONAL SEARCH REPORT

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		US 6323214 B1	27-11-2001
		AU 9671798 A	17-05-1999
		CA 2302396 A1	06-05-1999
		EP 1025106 A2	09-08-2000
		WO 9921617 A2	06-05-1999
		US 6177444 B1	23-01-2001
		US 6194449 B1	27-02-2001
		US 2001047008 A1	29-11-2001
WO 9901439 A	14-01-1999	AU 8181098 A	25-01-1999
		EP 0994860 A1	26-04-2000
		WO 9901439 A1	14-01-1999
		US 6103737 A	15-08-2000